PATENT COOPERATION TREATY

From the INTERNATIONAL BUREAU

PCT

NOTIFICATION OF ELECTION

(PCT Rule 61.2)

To:

Commissioner
US Department of Commerce
United States Patent and Trademark Office, PCT
2011 South Clark Place Room CP2/5C24
Arlington, VA 22202
ETATS-UNIS D'AMERIQUE

in its capacity as elected Office

Date of mailing (day/month/year) 25 April 2001 (25.04.01)	
International application No. PCT/EP00/08268	**Applicant's or agent's file reference** 99C110
International filing date (day/month/year) 11 August 2000 (11.08.00)	**Priority date** (day/month/year) 18 August 1999 (18.08.99)
Applicant COOKE, Tracey et al	

1. The designated Office is hereby notified of its election made:

   [X] in the demand filed with the International Preliminary Examining Authority on:

   22 February 2001 (22.02.01)

   [ ] in a notice effecting later election filed with the International Bureau on:

   _____

2. The election [X] was

   [ ] was not

   made before the expiration of 19 months from the priority date or, where Rule 32 applies, within the time limit under Rule 32.2(b).

The International Bureau of WIPO **34, chemin des Colombettes** **1211 Geneva 20, Switzerland**	Authorized officer Juan Cruz
Facsimile No.: (41-22) 740.14.35	Telephone No.: (41-22) 338.83.38

PATENT COOPERATION TREATY

From the
INTERNATIONAL PRELIMINARY EXAMINING AUTHORITY

To:

TETAZ, Franck
Aventis CropScience S.A.
14-20, rue Pierre Baizet
B.P. [illegible]
F-69263 Lyon Cedex 09
FRANCE

NOTIFICATION OF TRANSMITTAL OF THE INTERNATIONAL PRELIMINARY EXAMINATION REPORT
(PCT Rule 71.1)

Date of mailing *(day/month/year)*	20.11.2001
Applicant's or agent's file reference: 99C110	**IMPORTANT NOTIFICATION**

International application No.	International filing date *(day/month/year)*	Priority date *(day/month/year)*
PCT/EP00/08268	11/08/2000	18/08/1999

Applicant
AVENTIS CROPSCIENCE GMBH et al.

1. The applicant is hereby notified that this International Preliminary Examining Authority transmits herewith the international preliminary examination report and its annexes, if any, established on the international application.

2. A copy of the report and its annexes, if any, is being transmitted to the International Bureau for communication to all the elected Offices.

3. Where required by any of the elected Offices, the International Bureau will prepare an English translation of the report (but not of any annexes) and will transmit such translation to those Offices.

4. **REMINDER**

The applicant must enter the national phase before each elected Office by performing certain acts (filing translations and paying national fees) within 30 months from the priority date (or later in some Offices) (Article 39(1)) (see also the reminder sent by the International Bureau with Form PCT/IB/301).

Where a translation of the international application must be furnished to an elected Office, that translation must contain a translation of any annexes to the international preliminary examination report. It is the applicant's responsibility to prepare and furnish such translation directly to each elected Office concerned.

For further details on the applicable time limits and requirements of the elected Offices, see Volume II of the PCT Applicant's Guide.

4512

Name and mailing address of the IPEA/	Authorized officer
European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	DA ROCHA, O. Tel.+49 89 2399-8101

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference	FOR FURTHER ACTION	See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)
99C110		
International application No.	International filing date *(day/month/year)*	Priority date *(day/month/year)*
PCT/EP00/08268	11/08/2000	18/08/1999
International Patent Classification (IPC) or national classification and IPC C07D213/61		
Applicant AVENTIS CROPSCIENCE GMBH et al.		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 7 sheets, including this cover sheet.

   ☒ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

   These annexes consist of a total of 48 sheets.

3. This report contains indications relating to the following items:

   - I ☒ Basis of the report
   - II ☐ Priority
   - III ☒ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
   - IV ☐ Lack of unity of invention
   - V ☒ Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations suporting such statement
   - VI ☒ Certain documents cited
   - VII ☐ Certain defects in the international application
   - VIII ☒ Certain observations on the international application

Date of submission of the demand	Date of completion of this report
22/02/2001	20.11.2001
Name and mailing address of the international preliminary examining authority: European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized officer Zellner, A Telephone No. +49 89 2399 8078

Form PCT/IPEA/409 (cover sheet) (January 1994)

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/EP00/08268

I. Basis of the report

1. With regard to the **elements** of the international application *(Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17))*:
Description, pages:

1-46	as received on	07/11/2001	with letter of	05/11/2001

Claims, No.:

1-3	as received on	07/11/2001	with letter of	05/11/2001

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

   These elements were available or furnished to this Authority in the following language: , which is:

   - ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
   - ☐ the language of publication of the international application (under Rule 48.3(b)).
   - ☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

   - ☐ contained in the international application in written form.
   - ☐ filed together with the international application in computer readable form.
   - ☐ furnished subsequently to this Authority in written form.
   - ☐ furnished subsequently to this Authority in computer readable form.
   - ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
   - ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

   - ☐ the description, pages:
   - ☐ the claims, Nos.:
   - ☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)):

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application.

☒ claims Nos. 1-3 (part).

because:

☐ the said international application, or the said claims Nos. relate to the following subject matter which does not require an international preliminary examination (*specify*):

☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):

☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.

☒ no international search report has been established for the said claims Nos. 1-3 (part).

2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:

☐ the written form has not been furnished or does not comply with the standard.

☐ the computer readable form has not been furnished or does not comply with the standard.

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes:	Claims	1-3 (part)
	No:	Claims	
Inventive step (IS)	Yes:	Claims	
	No:	Claims	1-3 (part)
Industrial applicability (IA)	Yes:	Claims	1-3 (part)

No: Claims

2. Citations and explanations
see separate sheet

VI. Certain documents cited

1. Certain published documents (Rule 70.10)

and / or

2. Non-written disclosures (Rule 70.9)

see separate sheet

VIII. Certain observations on the international application

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:
see separate sheet

The following documents (D) are referred to:

D1: WO-A-99 42447
D3: EP-A-0 648 752
D4: EP-A-0 573 883
D5: EP-A-0 469 711
D6: EP-A-0 288 976
D7: EP-A-0 270 061
D8: PATENT ABSTRACTS OF JAPAN vol. 1995, no. 04, 31 May 1995 (1995-05-31) -& JP 07 025853 A (ISHIHARA SANGYO KAISHA LTD), 27 January 1995 (1995-01-27)
D10: WO-A-99 07687
D11: WO-A-98 50352

1. The present application relates to the use of a compound of general formula I or salts thereof as phytopathogenic fungicides, to a pesticidal composition comprising at least one of said compound I and to a method of combating pests.

2. The amendments filed with letter dated 05.11.2001 were found to be in accordance with Art. 34(2)b) PCT. Basis for the amendment of claim 1 (limitation of A^1) can be found in the description (see examples). The introduction of the proviso excludes subject-matter disclosed in documents D3 to D6. Deletion of several groups L does not contravene Art. 34(2)b) PCT either. A basis for the limitation of the method according to claim 3 to a method of combating plant pests can be found in the description (p. 5). The description was amended according to the claims.

item **III**

The international search report only covers part of the originally claimed subject-matter, i.e. subject-matter relating to compounds of formula I, wherein A1 represents 3-chloro-5-trifluoromethyl-pyrid-2-yl and A2 is (opt. substit.) phenyl, pyridyl, pyrimidyl, pyrazinyl, furanyl, thienyl, (iso)thiazolyl and (iso)oxazolyl and closely related compounds. The international search report does not cover subject-matter related

to compounds wherein A2 is not selected from the groups cited above, i.e. compounds generally comprising a group A2 being optionally substituted heterocyclyl or optionally substituted carbocyclyl. The present report therefore only relates to said subject-matter as well (Rule 66.1(e) PCT).

item **V**

1. Novelty (Art. 33(2) PCT)

Due to the amendments filed, the present application does fulfill the requirements of Art. 33(2) PCT, the claimed subject-matter can be considered novel in view of the cited prior art.

2. Inventive step (Art. 33(3) PCT)

2.1. The problem to be solved by the present application can be considered as to provide alternative compounds which can be used as phytopathogenic fungicides and as pesticides in general, since claim 2 is not limited to fungicidal compositions. The problem was solved by the provision of compounds of general formula (I) as defined in amended claim 1. The compounds of formula (I) comprise a 3-Cl-5-CF_3-2-pyridyl group being linked to an optionally substituted heterocyclyl or optionally substituted carbocyclyl via a linker selected from a group of different 3-atom linker.

2.2. Fungicidally and pesticidally active compounds comprising a 3-Cl-5-CF_3-2-pyridyl group are known to the skilled person. Several of these compounds comprise a further group which is either an optionally substituted heterocyclic or an optionally substituted carbocyclic group. The cited prior art furthermore discloses a wide variety of fungicidally active compounds as well as compounds being active against other types of pests which additionally comprise a 3-atom linker between the said two moieties (D6: e.g. examples 1.3 and 1.4; D10: compound 53b; D9: compound 205; and D3: compounds 304-307, 345, 346; D4: example 172; D5: compounds 90-92, 151; D7: example 16; D8: example 21).

2.3. The difference between the compounds according to general formula (I) of the present application and the compounds disclosed in the prior art is either the exact structure of the 3-atom linker or the fact that the compounds according to the state of the art are excluded by way of a disclaimer. The effect of the exact arrangement of the atoms forming the backbone of the 3-atom linker does not appear to be disclosed in the application documents presently on file. It would thus appear obvious for the skilled person to provide further compounds having a structure "(3-Cl-5-CF_3-2-pyridyl) - (3-atom linker) - (optionally substituted heterocyclyl or optionally substituted carbocyclyl)" in order to solve the technical problem with the reasonable expectation to obtain compounds having pesticidal or fungicidal activity. The provision of a pesticidal composition according to present claim 2 can therefore not be considered comprising an inventive step. The use of the said compounds according to present claim 2 and the method of present claim 3 are not considered based on an inventive step either. The application does not meet the requiremnts of Art. 33(3) PCT.

3. Industrial applicability (Art. 33(4) PCT)

Can be acknowledged for the present claims.

item **VI**

Document D1 was published after the priority date of the present application but before its international filing date. Its content would be considered as forming part of the state of the art if the priority of the present application was found to be invalid. Applicant's attention is drawn to the fact that the said document will also have to be considered under Art. 54(3) EPC in the European phase of the present application.

item **VIII**

Table B appears to contain an obvious error, "phenyl" is used instead of "pyridyl" (see ex. 4, and original claim 1).

INTERNATIONAL SEARCH REPORT

nal Application No
PCT/EP 00/08268

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07D213/61 C07D213/89 C07D405/12 C07D213/77 C07D401/12
C07D213/81 C07D213/64 C07D409/12 C07D417/12 C07D498/04
C07D401/06 A01N43/40

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 7 C07D A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)
EPO-Internal, PAJ, CHEM ABS Data, WPI Data, BIOSIS

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X,P	WO 99 42447 A (MOLONEY BRIAN ANTHONY ;SAVILLE STONES ELIZABETH ANNE (GB); AGREVO) 26 August 1999 (1999-08-26) the whole document;tautomers with Rb=H	1-3
X	EP 0 882 717 A (KYOWA HAKKO KOGYO KK) 9 December 1998 (1998-12-09) example 275	1
X	EP 0 648 752 A (IHARA CHEMICAL IND CO ;KUMIAI CHEMICAL INDUSTRY CO (JP)) 19 April 1995 (1995-04-19) examples 302-307,345,346	1-3
X	EP 0 573 883 A (BAYER AG) 15 December 1993 (1993-12-15) examples 172,173,245,246	1-3

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[X] Further documents are listed in the continuation of box C.

[X] Patent family members are listed in annex.

° Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
22 November 2000	05/12/2000

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL – 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Frelon, D

Form PCT/ISA/210 (second sheet) (July 1992)

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	EP 0 469 711 A (SUMITOMO CHEMICAL CO) 5 February 1992 (1992-02-05) examples 90-92,151	1-3
X	EP 0 288 976 A (CIBA GEIGY AG) 2 November 1988 (1988-11-02) page 8 -page 15; examples	1-3
X	EP 0 270 061 A (HOFFMANN LA ROCHE) 8 June 1988 (1988-06-08) example 16	1-3
X	DATABASE CHEMABS 'Online! CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; MINN, KLEMENS: "Chalcones via a palladium-catalyzed coupling of iodoheterocycles to 1-phenyl-2-propyn-1-ol" retrieved from STN Database accession no. 115:8710 CA XP002152591 RN 134182-89-1 & SYNLETT (1991), (2), 115-16 , 1991,	1
X	PATENT ABSTRACTS OF JAPAN vol. 1995, no. 04, 31 May 1995 (1995-05-31) -& JP 07 025853 A (ISHIHARA SANGYO KAISHA LTD), 27 January 1995 (1995-01-27) example 21	1-3
Y	WO 99 07687 A (AGREVO UK LTD ;COOPER IAN PAUL (GB); WEST PETER JOHN (GB); CARVER) 18 February 1999 (1999-02-18) example 19B; table B	1-3
Y	WO 98 50352 A (BRIGGS GEOFFREY GOWER ;CORNELL CLIVE LEONARD (GB); AGREVO UK LTD () 12 November 1998 (1998-11-12) page 27; example 313	1-3
Y	WO 98 42671 A (HAMPRECHT GERHARD ;BASF AG (DE); MENGES MARKUS (DE); WALTER HELMUT) 1 October 1998 (1998-10-01) the whole document	1-3
Y	WO 97 10215 A (BASF AG ;WAGNER OLIVER (DE); WETTERICH FRANK (DE); EICKEN KARL (DE) 20 March 1997 (1997-03-20) page 32 -page 33; table 4	1-3

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication,where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 92 07848 A (UNIROYAL CHEM CO INC ;UNIROYAL CHEMICAL LTD (CA)) 14 May 1992 (1992-05-14) abstract; claims	1-3
Y	EP 0 648 729 A (SUMITOMO CHEMICAL CO) 19 April 1995 (1995-04-19) pages 46, 49-77	1-3
Y	EP 0 577 555 A (CIBA GEIGY AG) 5 January 1994 (1994-01-05) page 24; example 5.22	1-3
Y	EP 0 350 691 A (BASF AG) 17 January 1990 (1990-01-17) page 8 -page 10	1-3
A	EP 0 287 691 A (DOW CHEMICAL CO) 26 October 1988 (1988-10-26) example 14	1-3
A	GB 2 307 177 A (AGREVO UK LTD) 21 May 1997 (1997-05-21) example 524	1-3
A	GB 2 068 365 A (DOW CHEMICAL CO) 12 August 1981 (1981-08-12) the whole document	
A	PATENT ABSTRACTS OF JAPAN vol. 016, no. 148 (C-0928), 13 April 1992 (1992-04-13) -& JP 04 005282 A (MITSUBISHI PETROCHEM CO LTD), 9 January 1992 (1992-01-09) example 27	1-3
A	PATENT ABSTRACTS OF JAPAN vol. 014, no. 310 (C-0736), 4 July 1990 (1990-07-04) -& JP 02 104575 A (ISHIHARA SANGYO KAISHA LTD), 17 April 1990 (1990-04-17) example 4	1-3
A	PATENT ABSTRACTS OF JAPAN vol. 013, no. 379 (C-628), 22 August 1989 (1989-08-22) -& JP 01 131146 A (MITSUI PETROCHEM IND LTD;OTHERS: 01), 24 May 1989 (1989-05-24) example 21	1-3

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INTERNATIONAL SEARCH REPORT

Inter .nal Application No
PCT/EP 00/08268

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication,where appropriate, of the relevant passages	Relevant to claim No.
A	PATENT ABSTRACTS OF JAPAN vol. 007, no. 114 (C-166), 18 May 1983 (1983-05-18) -& JP 58 035174 A (ISHIHARA SANGYO KK), 1 March 1983 (1983-03-01) abstract	1-3

Form PCT/ISA/210 (continuation of second sheet) (July 1992)

Continuation of Box I.2

Present claims 1-3 relate to an extremely large number of possible compounds. Support within the meaning of Article 6 PCT and disclosure within the meaning of Article 5 PCT is to be found, however, for only a very small proportion of the compounds claimed. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Consequently, the search has been carried out for those parts of the claims which appear to be supported and disclosed, namely the examples and closely related homologues wherein A1 represents 3-chloro-5-trifluoro-pyrid-2-yl and A2 is (opt. substit.) phenyl, pyridyl, pyrimidyl, pyrazinyl, furanyl, thienyl, (iso)thiazolyl, (iso)oxazolyl.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

INTERNATIONAL SEARCH REPORT

Information on patent family members

Interr. nal Application No
PCT/EP 00/08268

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9942447 A	26-08-1999	AU 2527199 A	06-09-1999
		NO 20004159 A	17-10-2000
EP 0882717 A	09-12-1998	AU 719392 B	11-05-2000
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		BR 8706464 A	12-07-1988
		JP 63154678 A	27-06-1988
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JP 07025853 A	27-01-1995	NONE	

INTERNATIONAL SEARCH REPORT

Information on patent family members

Intern. nal Application No
PCT/EP 00/08268

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9907687 A	18-02-1999	AU 8635298 A	01-03-1999
WO 9850352 A	12-11-1998	AU 7220498 A	27-11-1998
WO 9842671 A	01-10-1998	AU 6829298 A	20-10-1998
		EP 0968188 A	05-01-2000
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		DE 19535208 A	27-03-1997
		AU 6987096 A	01-04-1997
		EP 0852579 A	15-07-1998
		JP 11512406 T	26-10-1999
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Intern. nal Application No
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JP 01131146 A	24-05-1989	NONE	
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INTERNATIONAL SEARCH REPORT

International Application No
PCT/EP 00/08268

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D213/61 C07D213/89 C07D405/12 C07D213/77 C07D401/12
C07D213/81 C07D213/64 C07D409/12 C07D417/12 C07D498/04
C07D401/06 A01N43/40

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A01N

PCT/PCT Rec'd 19 FEB 2002

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, PAJ, CHEM ABS Data, WPI Data, BIOSIS

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X,P	WO 99 42447 A (MOLONEY BRIAN ANTHONY ;SAVILLE STONES ELIZABETH ANNE (GB); AGREVO) 26 August 1999 (1999-08-26) the whole document;tautomers with Rb=H	1-3
X	EP 0 882 717 A (KYOWA HAKKO KOGYO KK) 9 December 1998 (1998-12-09) example 275	1
X	EP 0 648 752 A (IHARA CHEMICAL IND CO ;KUMIAI CHEMICAL INDUSTRY CO (JP)) 19 April 1995 (1995-04-19) examples 302-307,345,346	1-3
X	EP 0 573 883 A (BAYER AG) 15 December 1993 (1993-12-15) examples 172,173,245,246	1-3

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[X] Further documents are listed in the continuation of box C.

[X] Patent family members are listed in annex.

° Special categories of cited documents :

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"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
22 November 2000	05/12/2000

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL – 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Frelon, D

Form PCT/ISA/210 (second sheet) (July 1992)

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication,where appropriate, of the relevant passages	Relevant to claim No.
X	EP 0 469 711 A (SUMITOMO CHEMICAL CO) 5 February 1992 (1992-02-05) examples 90-92,151	1-3
X	EP 0 288 976 A (CIBA GEIGY AG) 2 November 1988 (1988-11-02) page 8 -page 15; examples	1-3
X	EP 0 270 061 A (HOFFMANN LA ROCHE) 8 June 1988 (1988-06-08) example 16	1-3
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Y	WO 99 07687 A (AGREVO UK LTD ;COOPER IAN PAUL (GB); WEST PETER JOHN (GB); CARVER) 18 February 1999 (1999-02-18) example 19B; table B	1-3
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Y	WO 97 10215 A (BASF AG ;WAGNER OLIVER (DE); WETTERICH FRANK (DE); EICKEN KARL (DE) 20 March 1997 (1997-03-20) page 32 -page 33; table 4	1-3

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 92 07848 A (UNIROYAL CHEM CO INC ;UNIROYAL CHEMICAL LTD (CA)) 14 May 1992 (1992-05-14) abstract; claims	1-3
Y	EP 0 648 729 A (SUMITOMO CHEMICAL CO) 19 April 1995 (1995-04-19) pages 46, 49-77	1-3
Y	EP 0 577 555 A (CIBA GEIGY AG) 5 January 1994 (1994-01-05) page 24; example 5.22	1-3
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INTERNATIONAL SEARCH REPORT

Inter nal Application No
PCT/EP 00/08268

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

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Form PCT/ISA/210 (continuation of second sheet) (July 1992)

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Present claims 1-3 relate to an extremely large number of possible compounds. Support within the meaning of Article 6 PCT and disclosure within the meaning of Article 5 PCT is to be found, however, for only a very small proportion of the compounds claimed. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Consequently, the search has been carried out for those parts of the claims which appear to be supported and disclosed, namely the examples and closely related homologues wherein A1 represents 3-chloro-5-trifluoro-pyrid-2-yl and A2 is (opt. substit.) phenyl, pyridyl, pyrimidyl, pyrazinyl, furanyl, thienyl, (iso)thiazolyl, (iso)oxazolyl.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

INTERNATIONAL SEARCH REPORT

Information on patent family members

Interr. nal Application No
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Interr. nal Application No
PCT/EP 00/08268

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		US 4670045 A	02-06-1987
GB 2307177 A	21-05-1997	NONE	
GB 2068365 A	12-08-1981	US 4331669 A	25-05-1982
		US 4472583 A	18-09-1984
JP 04005282 A	09-01-1992	NONE	
JP 02104575 A	17-04-1990	NONE	
JP 01131146 A	24-05-1989	NONE	
JP 58035174 A	01-03-1983	NONE	

PATENT COOPERATION TREATY

PCT

INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference	**FOR FURTHER ACTION**	see Notification of Transmittal of International Search Report (Form PCT/ISA/220) as well as, where applicable, item 5 below.
99C110		
International application No.	International filing date *(day/month/year)*	(Earliest) Priority Date *(day/month/year)*
PCT/EP 00/ 08268	11/08/2000	18/08/1999
Applicant		
AVENTIS CROPSCIENCE GMBH		

This International Search Report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This International Search Report consists of a total of 7 sheets.

[X] It is also accompanied by a copy of each prior art document cited in this report.

1. **Basis of the report**

   a. With regard to the **language,** the international search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.

   [ ] the international search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).

   b. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international search was carried out on the basis of the sequence listing :

   [ ] contained in the international application in written form.

   [ ] filed together with the international application in computer readable form.

   [ ] furnished subsequently to this Authority in written form.

   [ ] furnished subsequently to this Authority in computer readble form.

   [ ] the statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.

   [ ] the statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished

2. [X] **Certain claims were found unsearchable** (See Box I).

3. [ ] **Unity of invention is lacking** (see Box II).

4. With regard to the **title,**

   [X] the text is approved as submitted by the applicant.

   [ ] the text has been established by this Authority to read as follows:

5. With regard to the **abstract,**

   [X] the text is approved as submitted by the applicant.

   [ ] the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box III. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority.

6. The figure of the **drawings** to be published with the abstract is Figure No. _____

   [ ] as suggested by the applicant.

   [ ] because the applicant failed to suggest a figure.

   [ ] because this figure better characterizes the invention.

   [ ] None of the figures.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Present claims 1-3 relate to an extremely large number of possible compounds. Support within the meaning of Article 6 PCT and disclosure within the meaning of Article 5 PCT is to be found, however, for only a very small proportion of the compounds claimed. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Consequently, the search has been carried out for those parts of the claims which appear to be supported and disclosed, namely the examples and closely related homologues wherein A1 represents 3-chloro-5-trifluoro-pyrid-2-yl and A2 is (opt. substit.) phenyl, pyridyl, pyrimidyl, pyrazinyl, furanyl, thienyl, (iso)thiazolyl, (iso)oxazolyl.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

INTERNATIONAL SEARCH REPORT

International Application No
PCT/EP 00/08268

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07D213/61 C07D213/89 C07D405/12 C07D213/77 C07D401/12
C07D213/81 C07D213/64 C07D409/12 C07D417/12 C07D498/04
C07D401/06 A01N43/40

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 7 C07D A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)
EPO-Internal, PAJ, CHEM ABS Data, WPI Data, BIOSIS

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X	EP 0 573 883 A (BAYER AG) 15 December 1993 (1993-12-15) examples 172,173,245,246	1-3

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"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
22 November 2000	05/12/2000

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Frelon, D

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

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X	EP 0 469 711 A (SUMITOMO CHEMICAL CO) 5 February 1992 (1992-02-05) examples 90-92,151 ---	1-3
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X	DATABASE CHEMABS 'Online! CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; MINN, KLEMENS: "Chalcones via a palladium-catalyzed coupling of iodoheterocycles to 1-phenyl-2-propyn-1-ol" retrieved from STN Database accession no. 115:8710 CA XP002152591 RN 134182-89-1 & SYNLETT (1991), (2), 115-16 , 1991, ---	1
X	PATENT ABSTRACTS OF JAPAN vol. 1995, no. 04, 31 May 1995 (1995-05-31) -& JP 07 025853 A (ISHIHARA SANGYO KAISHA LTD), 27 January 1995 (1995-01-27) example 21 ---	1-3
Y	WO 99 07687 A (AGREVO UK LTD ;COOPER IAN PAUL (GB); WEST PETER JOHN (GB); CARVER) 18 February 1999 (1999-02-18) example 19B; table B ---	1-3
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Y	WO 98 42671 A (HAMPRECHT GERHARD ;BASF AG (DE); MENGES MARKUS (DE); WALTER HELMUT) 1 October 1998 (1998-10-01) the whole document ---	1-3
Y	WO 97 10215 A (BASF AG ;WAGNER OLIVER (DE); WETTERICH FRANK (DE); EICKEN KARL (DE) 20 March 1997 (1997-03-20) page 32 -page 33; table 4 ---	1-3

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

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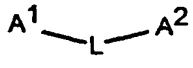
(54) Title: FUNGICIDES



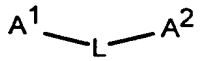
(I)

(57) Abstract: Use of compounds of general formula (I) or salts thereof as phytopathogenic fungicides wherein the various radicals and substituents are as defined in the description, pesticidal compositions containing them and method for combatting pests which comprises applying these.

Fungicides

This invention relates to compounds having fungicidal activity.

In a first aspect the invention provides the use of a compound of general formula I or salts thereof as phytopathogenic fungicides



(I)

where

A^1 is 2-pyridyl or its *N*-oxide, each of which may be substituted by up to four groups at least one of which is haloalkyl;

A^2 is optionally substituted heterocyclyl or optionally substituted carbocyclyl (A^2 is preferably phenyl, cyclohexyl, cyclopropyl or heterocyclyl, each of which may be substituted);

L is a 3-atom linker selected from the list: $-CH(R^1)N(R^3)CH(R^2)-$, $-N(R^3)N(R^4)C(=X)-$, $-C(=X)N(R^3)CH(R^1)-$, $-CH(R^1)OC(=X)-$, $-CH(R^1)OCH(R^2)-$, $-N(R^3)C(=X)N(R^4)-$, $-C(R^1)=C(R^2)C(=X)-$, $-C(R^1)=N-N(R^3)-$, $-CH(R^1)N=C(R^2)-$, $-O-N=C(R^1)-$, $-O-N(R^3)C(=X)-$, $-N(R^3)N(R^4)CH(R^1)$, $-N(R^3)C(Y)=N-$, $-N=C(Y)-N(R^3)-$, $-N(R^3)N=C(Y)-$, $-C(=X)-N(R^3)N(R^4)-$, $-C(Y)=N-N(R^4)-$ and $-N(R^3)CH(R^1)C(=X)-$; wherein A^1 is attached to the left hand side of linker L (L is preferably selected from the list: $-CH(R^1)N(R^3)CH(R^2)-$, $-N(R^3)N(R^4)C(=X)-$, $-C(=X)N(R^3)CH(R^1)-$, $-CH(R^1)OC(=X)-$, $-CH(R^1)OCH(R^2)-$, $-N(R^3)C(=X)N(R^4)-$, $-C(R^1)=C(R^2)C(=X)-$, $-C(R^1)=N-N(R^3)-$, $-CH(R^1)N=C(R^2)-$, $-O-N=C(R^1)-$, $-O-N(R^3)C(=X)-$);

where R^1 and R^2, which may be the same or different, are R^b, cyano, nitro, halogen, $-OR^b$, $-SR^b$ or optionally substituted amino (R^1 and R^2 are preferably hydrogen, acyl, optionally substituted alkyl, cyano or optionally substituted phenyl);

R^3 and R^4, which may be the same or different, are R^b, cyano or nitro (R^3 and R^4 are preferably hydrogen, acyl or optionally substituted alkyl);

or any R^1, R^2, R^3 or R^4 group, together with the interconnecting atoms, can form a 5- or 6-membered ring with any other R^1, R^2, R^3 or R^4, or any R^1, R^2, R^3 or R^4 group, together with the interconnecting atoms can form a 5- or 6-membered ring with A^2;

X is oxygen, sulfur, N-OR^b, N-R^b or N-$N(R^b)_2$ (X is preferably oxygen or sulfur); and

Y is halogen, $-OR^b$, $-SR^b$, $-N(R^b)_2$, $-NR^b(OR^b)$ or $-NR^bN(R^b)_2$;

wherein R^b is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted; or hydrogen or acyl, or two adjacent R^b groups together with the nitrogen atom to which they are attached may form a 5- or 6-membered ring.

Preferred substituents on the 2-pyridyl group (A^1) are halogen, hydroxy, cyano, nitro, SF_5, trialkylsilyl, optionally substituted amino, acyl, or a group $-R^a$, $-OR^a$ or $-SR^a$, or a group $-C(R^a)=N-Q$, where Q is $-R^a$, $-OR^a$, $-SR^a$ or optionally substituted amino, wherein R^a is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted; or two adjacent substituents together with the atoms to which they are attached form an optionally substituted ring which can contain up to 3 hetero atoms. Especially preferred substituents are alkoxy, alkyl, cyano, halogen, nitro, alkoxycarbonyl, alkylsulfinyl, alkylsulfonyl and trifluoromethyl, particularly chlorine and trifluoromethyl.

Preferably, the 2-pyridyl group is substituted at the 3 and/or 5 position.

The invention also includes any of the compounds specifically exemplified hereinafter.

Any alkyl group may be straight or branched and is preferably of 1 to 10 carbon atoms, especially 1 to 7 and particularly 1 to 5 carbon atoms.

Any alkenyl or alkynyl group may be straight or branched and is preferably of 2 to 7 carbon atoms and may contain up to 3 double or triple bonds which may be conjugated, for example vinyl, allyl, butadienyl or propargyl.

Any carbocyclyl group may be saturated, unsaturated or aromatic, and contain 3 to 8 ring-atoms. Preferred saturated carbocyclyl groups are cyclopropyl, cyclopentyl or cyclohexyl. Preferred unsaturated carbocyclyl groups contain up to 3 double bonds. A preferred aromatic carbocyclyl group is phenyl. The term carbocylic should be similarly construed. In addition, the term carbocyclyl includes any fused combination of carbocyclyl groups, for example naphthyl, phenanthryl, indanyl and indenyl.

Any heterocyclyl group may be saturated, unsaturated or aromatic, and contain 5 to 7 ring-atoms up to 4 of which may be hetero-atoms such as nitrogen, oxygen and sulfur. Examples of heterocyclyl groups are furyl, thienyl, pyrrolyl, pyrrolinyl, pyrrolidinyl, imidazolyl, dioxolanyl, oxazolyl, thiazolyl, imidazolyl, imidazolinyl, imidazolidinyl, pyrazolyl, pyrazolinyl, pyrazolidinyl, isoxazolyl, isothiazolyl, oxadiazolyl, triazolyl, thiadiazolyl, pyranyl, pyridyl, piperidinyl, dioxanyl, morpholino, dithianyl, thiomorpholino, pyridazinyl, pyrimidinyl, pyrazinyl, piperazinyl, sulfolanyl, tetrazolyl, triazinyl, azepinyl, oxazepinyl, thiazepinyl, diazepinyl and thiazolinyl. In addition, the term heterocyclyl includes fused heterocyclyl groups, for example benzimidazolyl, benzoxazolyl, imidazopyridinyl, benzoxazinyl, benzothiazinyl, oxazolopyridinyl, benzofuranyl, quinolinyl, quinazolinyl, quinoxalinyl, dihydroquinazolinyl, benzothiazolyl, phthalimido, benzofuranyl, benzodiazepinyl, indolyl and isoindolyl. The term heterocyclic should be similarly construed.

Any alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl group, when substituted, may be substituted by one or more substituents, which may be the same or different, and may be selected from the list: hydroxy; mercapto; azido; nitro; halogen; cyano; acyl; optionally substituted amino; optionally substituted carbocyclyl; optionally substituted heterocyclyl; cyanato; thiocyanato; $-SF_5$; $-OR^a$; $-SR^a$ and $-Si(R^a)_3$, where R^a is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted. In the case of any carbocyclyl or heterocyclyl group the list includes additionally: alkyl, alkenyl and alkynyl, each of which may be substituted. Preferred substituents on any alkyl, alkenyl or alkynyl group are alkoxy, haloalkoxy or alkylthio, each containing 1 to 5 carbon atoms; halogen; or optionally substituted phenyl. Preferred substituents on any carbocyclyl or heterocyclyl

group are alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio, each containing 1 to 5 carbon atoms; halogen; or optionally substituted phenyl.

In the case of any alkyl group or any unsaturated ring-carbon in any carbocyclyl or heterocyclyl group the list includes a divalent group such as oxo or imino, which may be substituted by optionally substituted amino, R^a or $-OR^a$. Preferred groups are oxo, imino, alkylimino, oximino, alkyloximino or hydrazono.

Any amino group, when substituted and where appropriate, may be substituted by one or two substituents which may be the same or different, selected from the list: optionally substituted alkyl, optionally substituted amino, $-OR^a$ and acyl groups. Alternatively two substituents together with the nitrogen to which they are attached may form a heterocyclyl group, preferably a 5 to 7-membered heterocyclyl group, which may be substituted and may contain other hetero atoms, for example morpholino, thiomorpholino or piperidinyl.

The term acyl includes the residues of sulfur and phosphorus-containing acids as well as carboxylic acids. Typically the residues are covered by the general formulae $-C(=X^a)R^c$, $-S(O)_pR^c$ and $-P(=X^a)(OR^a)(OR^a)$, where appropriate X^a is O or S, R^c is as defined for R^a, $-OR^a$, $-SR^a$, optionally substituted amino or acyl; and p is 1 or 2. Preferred groups are $-C(=O)R^d$, $-C(=S)R^d$,and $-S(O)_pR^d$ where R^d is alkyl, C_1 to C_5 alkoxy, C_1 to C_5 alkylthio, phenyl, heterocyclyl or amino, each of which may be substituted.

Complexes of compounds of the invention are usually formed from a salt of formula MAn_2, in which M is a divalent metal cation, e.g. copper, manganese, cobalt, nickel, iron or zinc and An is an anion, e.g. chloride, nitrate or sulfate.

In cases where the compounds of the invention exist as the E and Z isomers, the invention includes individual isomers as well as mixtures thereof.

In cases where compounds of the invention exist as tautomeric isomers, the invention includes individual tautomers as well as mixtures thereof.

In cases where the compounds of the invention exist as optical isomers, the invention includes individual isomers as well as mixtures thereof.

The compounds of the invention have activity as fungicides, especially against fungal diseases of plants, e.g. mildews and particularly cereal powdery mildew (*Erysiphe graminis*) and vine downy mildew (*Plasmopara viticola*), rice blast (*Pyricularia oryzae*), cereal eyespot (*Pseudocercosporella herpotrichoides*), rice sheath blight (*Pellicularia sasakii*), grey mould (*Botrytis cinerea*), damping off (*Rhizoctonia solani*), wheat brown rust (*Puccinia recondita*), late tomato or potato blight (*Phytophthora infestans*), apple scab (*Venturia inaequalis*), and glume blotch (*Leptosphaeria nodorum*). Other fungi against which the compounds may be active include other powdery mildews, other rusts, and other general pathogens of Deuteromycete, Ascomycete, Phycomycete and Basidomycete origin.

The invention thus also provides a method of combating fungi at a locus infested or liable to be infested therewith, which comprises applying to the locus a compound of formula I.

The invention also provides an agricultural composition comprising a compound of formula I in admixture with an agriculturally acceptable diluent or carrier.

The composition of the invention may of course include more than one compound of the invention.

In addition, the composition can comprise one or more additional active ingredients, for example compounds known to possess plant-growth regulant, herbicidal, fungicidal, insecticidal, acaricidal, antimicrobial or antibacterial properties. Alternatively the compound of the invention can be used in sequence with the other active ingredient.

The diluent or carrier in the composition of the invention can be a solid or a liquid optionally in association with a surface-active agent, for example a dispersing agent, emulsifying agent or wetting agent. Suitable surface-active agents include anionic compounds such as a carboxylate, for example a metal carboxylate of a long chain fatty acid; an *N*-acylsarcosinate; mono- or di-esters of phosphoric acid with fatty alcohol ethoxylates or alkyl phenol ethoxylates or salts of such esters; fatty alcohol sulfates such as sodium dodecyl sulfate, sodium octadecyl sulfate or sodium cetyl sulfate; ethoxylated fatty

alcohol sulfates; ethoxylated alkylphenol sulfates; lignin sulfonates; petroleum sulfonates; alkyl-aryl sulfonates such as alkyl-benzene sulfonates or lower alkylnaphthalene sulfonates, e.g. butyl-naphthalene sulfonate; salts of sulfonated naphthalene-formaldehyde condensates; salts of sulfonated phenol-formaldehyde condensates; or more complex sulfonates such as the amide sulfonates, e.g. the sulfonated condensation product of oleic acid and *N*-methyl taurine; the dialkyl sulfosuccinates, e.g. the sodium sulfonate of dioctyl succinate; acid derivatives of alkyl glycosides and alkylpolyglycosides materials and their metal salts, e.g. alkyl polyglycoside citrate or tartrate materials; or mono-, di- and tri-alkyl esters of citric acid and their metal salts.

Nonionic agents include condensation products of fatty acid esters, fatty alcohols, fatty acid amides or fatty-alkyl- or alkenyl-substituted phenols with ethylene and/or propylene oxide; fatty esters of polyhydric alcohol ethers, e.g. sorbitan fatty acid esters; condensation products of such esters with ethylene oxide, e.g. polyoxyethylene sorbitan fatty acid esters; alkyl glycosides, alkyl polyglycoside materials; block copolymers of ethylene oxide and propylene oxide; acetylenic glycols such as 2,4,7,9-tetramethyl-5-decyne-4,7-diol, ethoxylated acetylenic glycols; acrylic based graft copolymers; alkoxylated siloxane surfactants; or imidazoline type surfactants, e.g. 1-hydroxyethyl-2-alkylimidazoline.

Examples of a cationic surface-active agent include, for instance, an aliphatic mono-, di-, or polyamine as an acetate, naphthenate or oleate; an oxygen-containing amine such as an amine oxide, polyoxyethylene alkylamine or polyoxypropylene alkylamine; an amide-linked amine prepared by the condensation of a carboxylic acid with a di- or polyamine; or a quaternary ammonium salt.

The compositions of the invention can take any form known in the art for the formulation of agrochemicals, for example, a solution, an aerosol, a dispersion, an aqueous emulsion, a microemulsion, a dispersible concentrate, a dusting powder, a seed dressing, a fumigant, a smoke, a dispersible powder, an emulsifiable concentrate, granules or an impregnated strip. Moreover it can be in a suitable form for direct application or as a concentrate or primary composition which requires dilution with a suitable quantity of water or other diluent before application.

A dispersible concentrate comprises a compound of the invention dissolved in one or more water miscible or semi-water miscible solvents together with one or more surface activeand/or polymeric material. Addition of the formulation to water results in the crystalisation of the active ingredient, the process being controlled by the surfactants and/or polymers resulting in a fine dispersion.

A dusting powder comprises a compound of the invention intimately mixed and ground with a solid pulverulent diluent, for example, kaolin.

An emulsifiable concentrate comprises a compound of the invention dissolved in a water-immiscible solvent which forms an emulsion or microemulsion on addition to water in the presence of an emulsifying agent.

A granular solid comprises a compound of the invention associated with similar diluents to those that may be employed in dusting powders, but the mixture is granulated by known methods. Alternatively it comprises the active ingredient absorbed or coated on a pre-formed granular carrier, for example, Fuller's earth, attapulgite, silica or limestone grit.

Wettable powders, granules or grains usually comprise the active ingredient in admixture with suitable surfactants and an inert powder diluent such as clay or diatomaceous earth.

Another suitable concentrate is a flowable suspension concentrate which is formed by grinding the compound with water or other liquid, surfactants and a suspending agent.

The concentration of the active ingredient in the composition of the present invention, as applied to plants is preferably within the range of 0.0001 to 1.0 per cent by weight, especially 0.0001 to 0.01 per cent by weight. In a primary composition, the amount of active ingredient can vary widely and can be, for example, from 5 to 95 per cent by weight of the composition.

The invention is generally applied to seeds, plants or their habitat. Thus, the compound can be applied directly to the soil before, at or after drilling so that the presence of active compound in the soil can control the growth of fungi which may attack seeds. When the soil is treated directly the active compound can be applied in any manner which allows it to

be intimately mixed with the soil such as by spraying, by broadcasting a solid form of granules, or by applying the active ingredient at the same time as drilling by inserting it in the same drill as the seeds. A suitable application rate is within the range of from 5 to 1000 g per hectare, more preferably from 10 to 500 g per hectare.

Alternatively the active compound can be applied directly to the plant by, for example, spraying or dusting either at the time when the fungus has begun to appear on the plant or before the appearance of fungus as a protective measure. In both such cases the preferred mode of application is by foliar spraying. It is generally important to obtain good control of fungi in the early stages of plant growth, as this is the time when the plant can be most severely damaged. The spray or dust can conveniently contain a pre- or post-emergence herbicide if this is thought necessary. Sometimes, it is practicable to treat the roots, bulbs, tubers or other vegetative propagule of a plant before or during planting, for example, by dipping the roots in a suitable liquid or solid composition. When the active compound is applied directly to the plant a suitable rate of application is from 0.025 to 5 kg per hectare, preferably from 0.05 to 1 kg per hectare.

In addition, the compounds of the invention can be applied to harvested fruits, vegetables or seeds to prevent infection during storage.

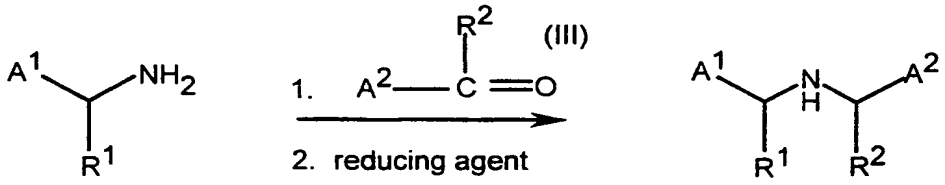
In addition, the compounds of the invention can be applied to plants or parts thereof which have been genetically modified to exhibit a trait such as fungal and/or herbicidal resistance.

In addition the compounds of the invention can be used to treat fungal infestations in timber and in public health applications. Also the compounds of the invention can be used to treat insect and fungus infestations in domestic and farm animals.

Compounds of the invention may be prepared, in known manner, in a variety of ways.

Compounds of formula Iai, i.e. compounds of general formula I where L is $-CH(R^1)NHCH(R^2)-$, may be prepared according to reaction scheme 1. Compounds of formula II or their hydrochloride salts can be condensed with compounds of formula III and the intermediate reduced with a suitable reagent such as sodium cyanoborohydride to give compounds of formula Iai.

Scheme 1

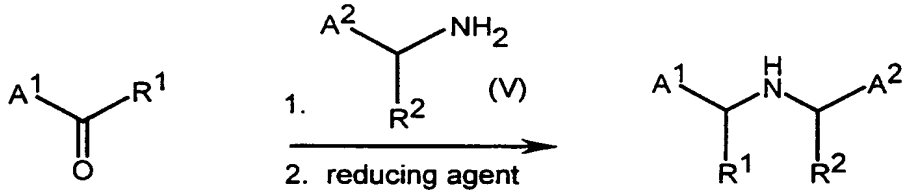


(II) (Iai)

Compounds of formula II may be prepared by methods described in international application PCT/GB/99/00304.

Compounds of formula Iai may also be prepared by reacting compounds of formula IV with compounds of formula V in the same manner as above (Scheme 2).

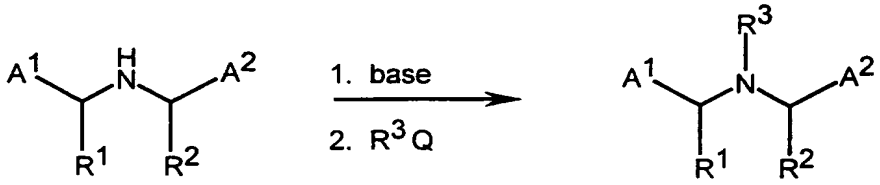
Scheme 2



(IV) (Iai)

Compounds of formula Iaii, i.e. compounds of general formula I where L is $-CH(R^1)N(R^3)CH(R^2)-$ and R^3 is not hydrogen, may be prepared by reacting compounds of formula Iai with a base and R^3Q, where Q is a leaving group such as a halogen. A suitable base is triethylamine (Scheme 3).

Scheme 3

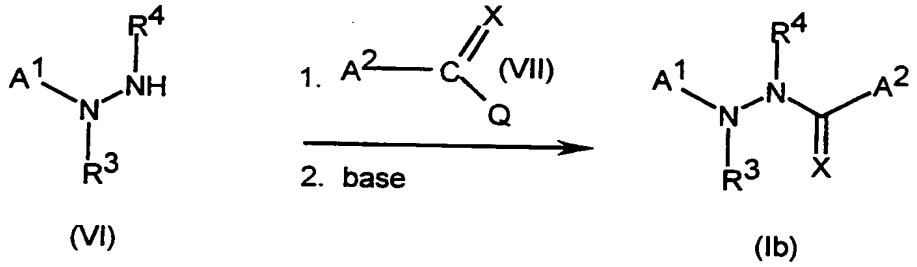


(Iai) (Iaii)

Compounds of formula Ib, i.e. compounds of general formula I where L is $-N(R^3)N(R^4)C(=X)-$, may be prepared according to reaction scheme 4 by reacting

compounds of formula VI with compounds of formula VII, where Q is a leaving group such as halogen, preferably chlorine. A preferred base is triethylamine.

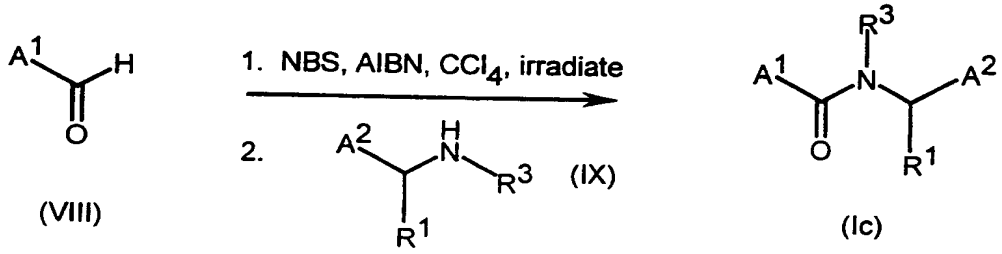
Scheme 4



(VI) (Ib)

Compounds of formula Ic, i.e. compounds of general formula I where L is $-C(=O)N(R^3)CH(R^1)-$, may be prepared by radical bromination of compounds of formula VIII, followed by reaction of these intermediates with compounds of formula IX according to scheme 5. Preferred reaction conditions are irradiation of a solution of VIII in carbon tetrachloride in the presence of *N*-bromosuccinimide and a catalytic amount of 2,2'-azobisisobutyronitrile, followed by addition of IX..

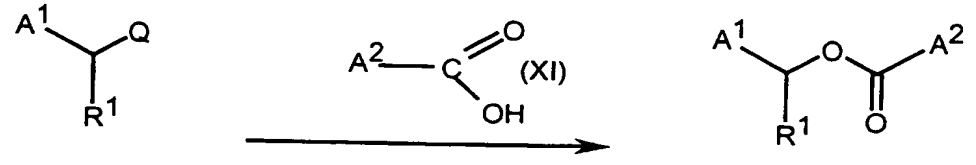
Scheme 5



(VIII) (Ic)

Compounds of formula Id, i.e. compounds of general formula I where L is $-CH(R^1)O(C=O)-$, may be prepared according to reaction scheme 6 by formation of the cesium salt of compounds of formula XI, followed by reaction with compounds of formula X where Q is a suitable leaving group, such as chlorine.

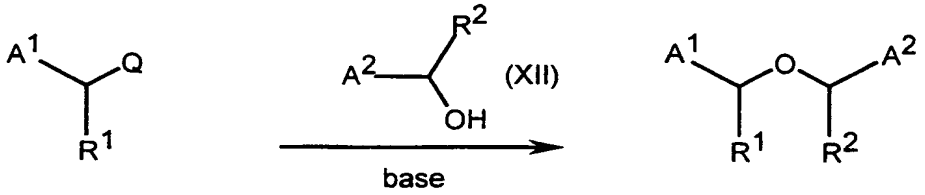
Scheme 6



(X) (Id)

Compounds of formula Ie, i.e. compounds of general formula I where L is -CH(R^1)OCH(R^2)-, may be prepared by reaction of compounds of formula XII with a suitable base such as sodium hydride, followed by reaction of the resulting anion with compounds of formula X, where Q is a suitable leaving group such as halogen, according to reaction scheme 7.

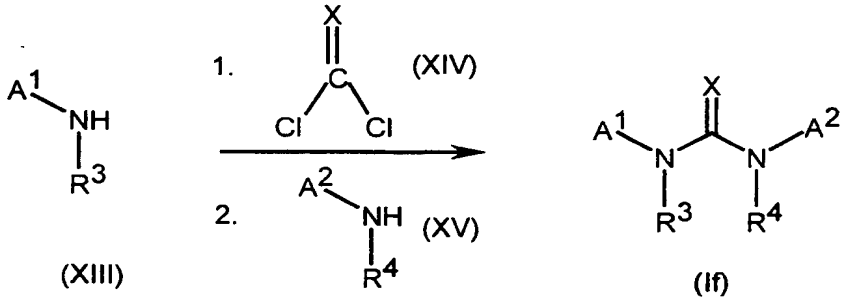
Scheme 7



(X) (Ie)

Compounds of formula If, i.e. compounds of general formula I where L is -N(R^3)C(=X)N(R^4)- and X is O or S, may be prepared according to reaction scheme 8 by reaction of compounds of formula XIII with compounds of formula XIV, where X is O or S, followed by the addition of compounds of formula XV. The order of addition of compounds of formulae XIII and XV may be reversed.

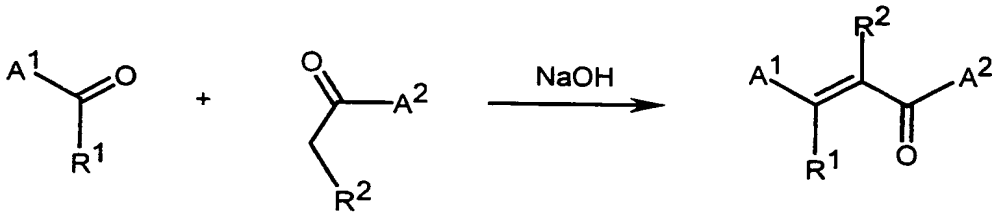
Scheme 8



(XIII) (If)

Compounds of formula Ig, i.e. compounds of general formula I where L is -C(R^1)=C(R^2)C(=O)-, may be prepared according to reaction scheme 9 by reaction of compounds of formula XVI with compounds of formula XVII in the presence of sodium hydroxide.

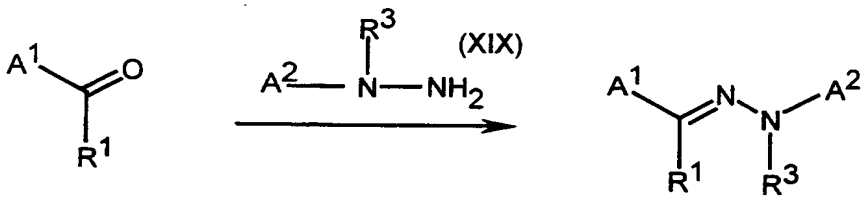
Scheme 9



(XVI) (XVII) (Ig)

Compounds of formula Ih, i.e. compounds of general formula I where L is -C(R^1)=N-N(R^3)-, may be prepared by reacting compounds of formula XVIII with compounds of formula XIX according to reaction scheme 10.

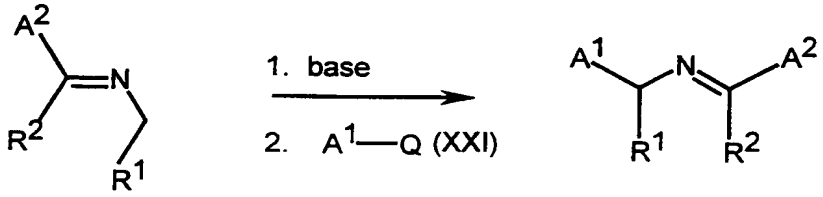
Scheme 10



(XVIII) (Ih)

Compounds of formula Ii, i.e. compounds of general formula I where L is -CH(R^1)N=C(R^2)-, may be prepared according to reaction scheme 11 by reacting compounds of formula XX with a base, followed by reaction with compounds of formula XXI, where Q is a suitable leaving group, preferably chlorine. A suitable base is sodium hydride. Compounds of formula XX are known or can be prepared in a known manner by a skilled chemist.

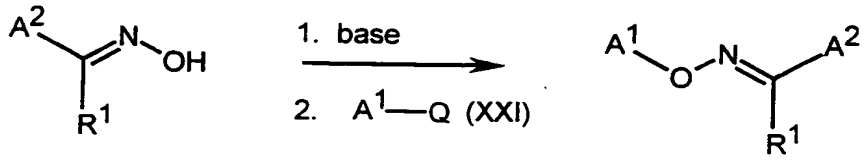
Scheme 11



(XX) (Ii)

Compounds of formula Ij, i.e. compounds of general formula I where L is -O-N=C(R^1)-, may be prepared according to reaction scheme 12 by the reaction of compounds of formula XXII with a base, followed by reaction with compounds of formula XXI, where Q is a suitable leaving group, preferably chlorine. A suitable base is sodium hydride.

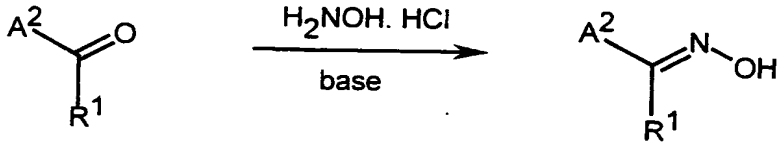
Scheme 12



(XXII) (Ij)

Compounds of formula XXII may be prepared according to reaction scheme 13.

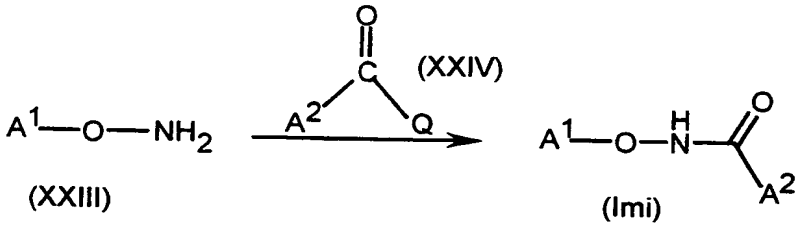
Scheme 13



(XXII)

Compounds of formula Imi, i.e. compounds of general formula I where L is -O-NHC(=O)-, may be prepared according to reaction scheme 14 by the reaction of compounds of formula XXIII with compounds of formula XXIV, where Q is a suitable leaving group.

Scheme 14

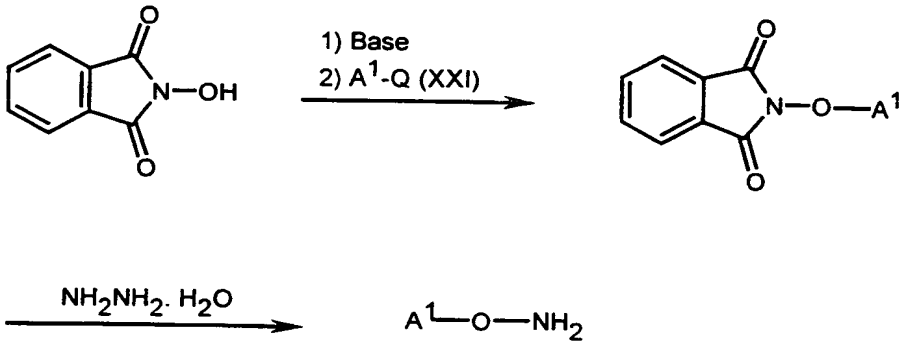


(XXIII) (Imi)

Compounds of formula XXIV can be prepared from the corresponding hydroxy compounds by methods known to the skilled chemist. Compounds of formula XXIV can be isolated and used according to scheme 14 or generated *in situ* and used without isolation. A typical method, known to the skilled chemist, uses carbonyldiimidazole to generate compounds of formula XXIV *in situ*.

Compounds of formula XXIII can be prepared according to reaction scheme 15.

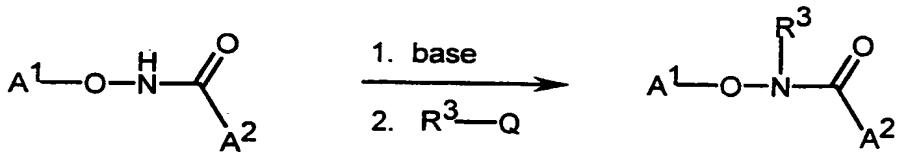
Scheme 15



(XXIII)

Compounds of formula Imii, i.e. compounds of general formula I where L is -O-N(R^3)C(=O)- wherein R^3 is not hydrogen, may be prepared by reaction of compounds of formula Imi with a base, followed by reaction with R^3Q, where Q is a suitable leaving group, such as a halogen. A suitable base is potassium *tert*-butoxide (Scheme 16).

Scheme 16



(Imi) (Imii)

Other methods will be apparent to the chemist skilled in the art, as will be the methods for preparing starting materials and intermediates.

Collections of compounds of formula I may also be prepared in a parallel manner, either manually, automatically or semi-automatically. This parallel preparation may be applied to the reaction procedure, work-up or purification of products or intermediates. For a review of such procedures see by S.H. DeWitt in "Annual Reports in Combinatorial Chemistry and Molecular Diversity: Automated synthesis", Volume 1, Verlag Escom 1997, pages 69 to 77.

Furthermore, compounds of the formula I may be prepared using solid-supported methods, where the reactants are bound to a synthetic resin. See for example: Barry A. Bunin in "The

Combinatorial Index", Academic Press, 1998 and "The tea-bag method" (Houghten, US 4,631,211; Houghten et al., Proc. Natl. Acad. Sci, 1985, 82, 5131-5135).

The invention is illustrated in the following Examples. Structures of isolated, novel compounds were confirmed by NMR and/or other appropriate analyses.

Example 1

N-(2-Chlorobenzyl)-*N*-{1-[3-chloro-5-(trifluorormethyl)-2-pyridyl]ethyl}amine (Compound 27)

α-Methyl-[3-chloro-5-(trifluoromethyl)-2-pyridyl]methylamine (0.2 g) was dissolved in trimethylorthoformate (10 ml) and triethylamine (0.22 ml) was added. After 5 minutes 2-chlorobenzaldehyde (0.26 g) was added and the resulting mixture stirred for 3.5 hours at room temperature to give a precipitate. Sodium cyanoborohydride (1.5 ml, 0.1M solution in tetrahydrofuran) and acetic acid (0.1 ml) were then added and the mixture stirred for 16 hours at room temperature. Brine (5 ml) and water (10 ml) were then added and the mixture stirred for 20 minutes. The phases were separated and the organic phase evaporated. The residue was purified by silica gel chromatography to give the title product, m.p.117 °C.

Example 2

N-{[3-Chloro-5-(trifluoromethyl)-2-pyridyl]methyl}-N-[1-(3,4-difluorophenyl)-ethyl]amine (Compound 23)

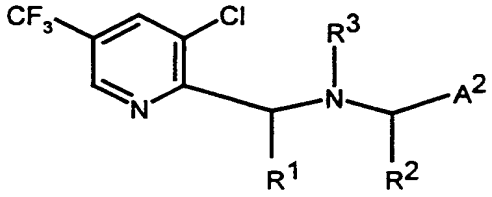
Triethylamine (0.08 ml) and 1-(3,4-difluorophenyl)-1-ethanamine (0.11 g) were dissolved in trimethylorthoformate (10 ml). 3-Chloro-(5-trifluoromethyl)-pyridine-2-carboxaldehyde (0.15 g) was added and the solution stirred for 4 hours at room temperature. Sodium cyanoborohydride (1 ml, 0.1M solution in tetrahydrofuran) and acetic acid (0.07 ml) were then added and the mixture stirred for 16 hours at room temperature. Brine (5 ml) and water (10 ml) were then added and the mixture stirred for 20 minutes. The phases were separated and the organic phase evaporated. The crude material was purified by silica gel chromatography to give the title product, ^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.4 (3H, d), 2.6 (1H, broad s), 3.8 (1H, q), 3.9 (1H, s), 7.1–7.3 (3H, m), 7.9 (1H, s) and 8.8 (1H, s).

Example 3

Ethyl 2-[acetyl(benzyl)amino]-2-[3-chloro-5-(trifluoromethyl)-2-pyridyl]acetate (Compound 4)

To a solution of compound 1 (0.6 mmol) in diethyl ether was added triethylamine (0.7 mmol) followed by acetyl chloride in diethyl ether (0.7 mmol). The mixture was stirred for two hours at room temperature before the addition of hydrochloric acid (8 ml, 2M). The organic phase was isolated, washed with sodium bicarbonate (10ml), dried over magnesium sulfate and evaporated to yield the title compound, ^{1}H N.M.R ($CDCl_3$) (ppm) δ1.2 (3H, t), 2.3 (3H, s), 4.2 (2H, q), 4.8 (2H, q), 6.8-7.1 (6H, m), 7.5 (1H, s) and 8.5 (1H, s).

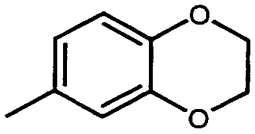
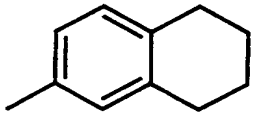
The following compounds of formula Iz (see Table A), i.e. compounds of general formula I where A^1 is 3-Cl-5-CF_3-2-pyridyl and L is –$CH(R^1)N(R^3)CH(R^2)$-, may be prepared by methods analogous to those of Examples 1, 2 and 3. The amine starting materials were obtained using methods described in international application PCT/GB/99/00304.

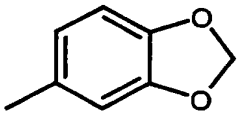


(Iz)

Table A

Cmp	R^1	R^2	R^3	A^2	m.p./°C
1	EtOC(=O)-	H	H	phenyl	oil
2	EtOC(=O)-	H	H	2-Cl-phenyl	oil
3	EtOC(=O)-	H	H	3,4-methylenedioxyphenyl	oil
4	EtOC(=O)-	H	MeC(=O)-	phenyl	oil
5	EtOC(=O)-	H	$MeOCH_2C(=O)$-	phenyl	oil
6	EtOC(=O)-	H	MeOC(=O)-C(=O)-	phenyl	104-7
7	EtOC(=O)-	H	MeC(=O)-	2-Cl-phenyl	77-81
8	EtOC(=O)-	H	$MeOCH_2C(=O)$-	2-Cl-phenyl	oil
9	EtOC(=O)-	H	MeOC(=O)-C(=O)-	2-Cl-phenyl	128-31
10	EtOC(=O)-	H	MeC(=O)-	3,4-methylenedioxyphenyl	oil

Cmp	R^1	R^2	R^3	A^2	m.p./°C
11	EtOC(=O)-	H	$MeOCH_2C(=O)$-	3,4-methylenedioxyphenyl	oil
12	EtOC(=O)-	H	MeOC(=O)-C(=O)-	3,4-methylenedioxyphenyl	106-9
13	H	$MeOC(=O)CH_2$-	H	phenyl	oil
14	H	$EtOC(=O)CH_2$-	H	phenyl	oil
15	H	H	H	phenyl	oil
16	H	H	H	2-Cl-6-F-phenyl	oil
17	H	Me	H	2-Cl-phenyl	oil
18	H	Me	H	2,6-diF-phenyl	oil
19	H	Me	H		oil
20	H	Me	H	4-tolyl	oil
21	H	H	H	2,5-diF-phenyll	oil
22	H	Me	H	$4\text{-}NO_2$-phenyl	oil
23	H	Me	H	3,4-diF-phenyl	oil
24	H	H	H	2-Cl-phenyl	oil
25	H	H	H	4-PhO-phenyl	oil
26	H	H	H	$2\text{-}NO_2$-phenyl	oil
27	Me	H	H	2-Cl-phenyl	117
28	Me	H	H	$2\text{-}NO_2$-phenyl	136
29	H	Me	H	phenyl	oil
30	H	Me	H	$3\text{-}CF_3O$-phenyl	oil
31	H	Me	H	$4\text{-}CF_3O$-phenyl	oil
32	H	Me	H		oil
33	H	Me	H	4-Cl-phenyl	oil
34	H	Me	H	4-Br-phenyl	oil
35	Me	H	H	cyclohexyl	oil
36	Me	H	H	2-F-phenyl	oil
37	Me	H	H	4-Cl-phenyl	oil
38	Me	H	H	2,5-diMeO-phenyl	oil

Cmp	R^1	R^2	R^3	A^2	m.p./°C
39	Me	H	H	2-Cl-6-F-phenyl	oil
40	Me	H	H	2-Br-phenyl	oil
41	Me	H	H	3-CF_3O-phenyl	oil
42	Me	H	H	4-MeS-phenyl	oil
43	Me	H	H	2,5-xylyl	oil
44	H	H	H	cyclohexyl	oil
45	H	H	H	3-Br-phenyl	oil
46	H	H	H	4-Me_2N-phenyl	oil
47	H	H	H	4-Cl-phenyl	oil
48	H	H	H	2-F-phenyl	oil
49	H	H	H	2,5-diMeO-phenyl	oil
50	H	H	H	2-Br-phenyl	oil
51	H	H	H	4-NO_2-phenyl	oil
52	H	H	H	2,5-xylyl	oil
53	H	Me	H		oil
54	H	H	H	pentaF-phenyl	oil

The ^1H N.M.R. or mass spectral data of those compounds in Table A which were not solid at room temperature are presented below.

Compound 1

^1H N.M.R ($CDCl_3$) δ (ppm) 1.2 (3H, t), 2.9 (1H, broad s), 3.8 (1H, q), 4.2 (2H, m), 5.0 (1H, s), 7.4-7.2 (5H, m), 7.9 (1H, s), 8.7 (1H, s).

Compound 2

^1H N.M.R ($CDCl_3$) δ (ppm) 1.2 (3H, t), 3.1 (1H, broad s), 4.0 (2H, q), 4.2 (2H, m), 5.1 (1H, s), 7.5-7.2 (4H, m), 8.0 (1H, s), 8.7 (1H, s).

Compound 3

^{1}H N.M.R ($CDCl_3$) δ (ppm) 1.2 (3H, t), 2.4 (1H, broad s), 3.8 (2H, q), 4.2 (2H, m), 5.0 (1H, s), 5.9 (2H, s), 6.74 (1H, d), 6.76 (1H, s), 6.83 (1H, s), 7.9 (1H, s), 8.7 (1H, s).

Compound 4

^{1}H N.M.R ($CDCl_3$) δ (ppm) 1.2 (3H, t), 2.3 (3H, s), 4.2 (2H, q), 4.8 (2H, q), 6.8-7.1 (6H, m), 7.5 (1H, s), 8.5 (1H, s).

Compound 5

m/z (APCI) 445 $(M+H)^+$.

Compound 8

m/z (APCI) 479 $(M+H)^+$.

Compound 10

m/z (APCI) 487 (M^-)

Compound 11

m/z (APCI) 459 $(M+H)^+$.

Compound 13

^{1}H N.M.R ($CDCl_3$) δ(ppm) 2.7 (1H, dd), 2.9 (1H, dd), 3.6 (3H, s), 3.9 (2H, s), 4.2 (1H, m), 7.3 (5H, m), 7.8 (1H, s), 8.8 (1H, m).

Compound 14

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.2 (3H, t), 2.7 (1H, dd), 2.8 (1h, dd), 3.9 (2H, s), 4.1 (2H, q), 4.2 (1H, m), 7.2-7.4 (5H, m), 7.8 (1H, s), 8.8 (1H, s).

Compound 15

^{1}H N.M.R ($CDCl_3$) δ(ppm) 4.2 (2H, s), 5.3 (2H, s), 7.3 (6H, m), 8.8 (1H, s), 8.9 (1H, s).

Compound 16

^{1}H N.M.R ($CDCl_3$) δ(ppm) 2.7 (1H, broad s), 4.05 (4H, s), 6.9-7.2 (3H, m), 7.8 (1H, s), 8.6 (1H, s).

Compound 17

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.4 (3H, d), 2.5 (1H, broad s), 3.9 (2H, m), 4.3 (1H, q), 7.1-7.3 (3H, m) 7.5 (1H, m) 7.8 (1H, s), 8.7 (1H, s).

Compound 18

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.5 (3H, d), 2.6 (1H, broad s), 3.8 (1H, m), 4.0 (1H, m) 4.3 (1H, q), 6.8 (2H, m) 7.1 (1H, m) 7.8 (1H, s) 8.6 (1H, s).

Compound 19

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.4 (3H, d) 2.6 (1H, broad s), 3.8 (1H, q), 4.0 (2H, s), 4.3 (4H, s) 6.8 (2H, s), 6.9 (1H, s), 7.9 (1H, s), 8.7 (1H, s).

Compound 20

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.5 (3H, d), 2.4 (3H, s), 2.8 (1H, broad s), 3.8 (1H, q), 4.0 (2H, m), 7.2 (2H, d), 7.3 (2H, d), 7.8 (1H, s), 8.7 (1H, s).

Compound 21

^{1}H N.M.R ($CDCl_3$) δ(ppm) 2.5 (1H, broad s), 4.0 (2H, s), 4.1 (2H, s), 6.8 (2H, m), 7.2 (1H, m), 7.8 (1H, s), 8.6 (1H, s).

Compound 22

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.4 (3H, d), 2.5 (1H, broad s), 3.86 (2H, s), 3.9 (1H, m), 7.5 (2H, d), 7.8 (1H, s), 8.1 (2H, d), 8.7 (1H, s).

Compound 23

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.4 (3H, d), 2.6 (1H, broad s), 3.8 (1H, q), 3.9 (1H, s), 7.1–7.3 (3H, m), 7.9 (1H, s), 8.8 (1H, s).

Compound 24

^{1}H N.M.R ($CDCl_3$) δ(ppm) 4.1 (4H, m), 4.4 (1H, dd), 4.6 (1H, dd), 6.5 (1H, broad s), 7.2-7.4 (5H, m), 7.8 (1H, s), 8.6 (1H, s).

Compound 25

^{1}H N.M.R ($CDCl_3$) δ(ppm) 3.9 (1H, dd), 4.2 (1H, dd), 4.4 (2H, m), 6.0 (1H, broad s), 6.9-7.0 (5H, m), 7.2-7.4 (4H, m), 8.0 (1H, s), 8.7 (1H, s).

Compound 26

^{1}H N.M.R ($CDCl_3$) δ(ppm) 4.3 (2H, m), 4.7 (2H, m), 7.0 (1H, broad s), 7.6 (1H, m), 7.7 (2H, m), 7.9 (1H, s), 8.2 (1H, m), 8.6 (1H, s).

Compound 29

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.5 (3H, s), 2.6 (1H, broad s), 3.9 (1H, q), 4.0 (2H, s), 7.2 –7.4 (5H, m), 7.8 (1H, s), 8.7 (1H, s).

Compound 30

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.4 (3H, d), 2.6 (1H, broad s), 3.8 (1H, q), 3.9 (2H, s), 4.0 (2H, s), 7.0 (1H, m), 7.2-7.3 (3H, m), 7.8 (1H, s), 8.7 (1H, s).

Compound 31

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.5 (3H, d), 2.7 (1H, broad s), 3.8 (1H, q), 6.5 (1H, m), 7.1 (2H, d), 7.4 (2H, d), 7.9 (1H, s), 8.8 (1H, s).

Compound 32

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.5 (3H, d), 1.8 (4H, m), 2.8 (4H, m), 3.8 (1H, q), 4.0 (2H, s), 7.1 (3H, m), 7.9 (1H, s), 8.8 (1H, s).

Compound 33

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.42 (3H, d), 2.62 (1H, broad, s), 3.83 (1H, q), 3.92 (2H, s), 7.3 (4H, s), 7.82 (1H, s); 8.75 (1H, s).

Compound 34

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.42 (3H, d), 2.58 (1H, s, broad), 3.82 (1H, q), 3.92 (2H, s), 7.25 (2H, m), 7.45 (2H, m), 7.85 (1H, s), 8.72 (1H, s).

Compound 35

^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 1.38 (3H, d), 4.35 (1H, q), 7.85 (1H, s), 8.75 (1H, s).

Compound 36

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.38 (3H, d), 2.35 (1H, broad, s), 3.68 (2H, m), 4.42 (1H, q), 6.95 (1H, m), 7.05 (1H, m), 7.16 (1H, m), 7.32 (1H, m), 7.82 (1H, s), 8.75 (1H, s).

Compound 37

^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 1.38 (3H, d), 3.56 (2H, m), 4.4 (1H, q), 7.88 (1H, s), 8.78 (1H, s).

Compound 39

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.3 (3H, d), 2.7 (1H, broad, s), 3.8 (2H, s), 4.4 (1H. q), 6.75 (1H, m), 6.98 (2H, m), 7.72 (1H, s), 8.55 (1H, s).

Compound 40

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.41 (3H, d), 2.3 (1H, broad, s), 3.72 (2H, m), 4.25 (1H, q), 7.05-7.5 (4H, m), 7.85 (1H, s), 8.75 (1H, s).

Compound 41

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.38 (3H, d), 2.4 (1H, s, broad), 3.6 (2H, m), 4.4 (1H, q), 7.05-7.5 (4H, m), 7.88 (1H, s), 8.78 (1H, s).

Compound 42

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.38 (3H, d), 2.48 (3H, s), 3.6 (2H, m), 4.45 (1H, q), 7.2 (4H, m), 7.88 (1H, s), 8.78 (1H, s).

Compound 43

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.4 (3H, d), 2.25 (3H, s), 2.32 (3H, s), 2.5 (1H, broad, s), 3.58 (2H, m), 4.48 (1H, q), 6.9-7.08 (3H, m), 7.9 (1H, s), 8.78 (1H, s).

Compound 44

^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 2.53 (2H, d), 4.1 (2H, s), 7.85 (1H, s), 8.75 (1H, s).

Compound 45

^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 3.85 (1H, s), 4.1 (1H, s), 7.9 (1H, s), 8.75 (1H, s).

Compound 46

^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 7.8 (1H, s), 8.68 (1H, s), 3.5 (1H, m), 3.9 (2H, m), 4.1 (1H, m).

Compound 47

^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 3.86 (2H, s), 4.08 (2H, s), 7.90 (1H, s), 8.72 (1H, s).

Compound 48

^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 2.65 (1H, s, broad), 3.98 (2H, s), 4.15 (2H, s), 7.9 (1H, s), 8.75 (1H, s).

Compound 49

^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 3.80 (3H, s), 3.85 (3H, s), 6.88 (2H, m), 7.06 (1H, m), 7.90 (1H, s), 8.72 (1H, s).

Compound 50

^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 4.0 (2H, s), 4.1 (2H, s), 7.85 (1H, s), 8.70 (1H, s).

Compound 51

^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 2.65 (1H, broad, s), 3.83 (2H, s), 4.0 (2H, s), 7.8 (1H, s), 8.65 (1H, s).

Compound 52

^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 3.83 (2H, s), 4.18 (2H, s), 7.9 (1H, s), 8.75 (1H, s).

Compound 53

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.4 (3H, d), 2.5 (1H, broad s), 3.8 (1H, q), 3.9 (2H, s), 6.0 (2H, s), 6.8-6.9 (3H, m), 7.9 (1H, s), 8.7 (1H, s).

Compound 54

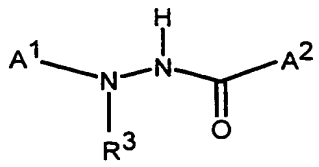
^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 7.75 (1H, s), 8.80 (1H, s).

Example 4

N'-1-[3-Chloro-5-(trifluoromethyl)-2-pyridyl]-2,6-dichloro-1-benzenecarbohydrazide (Compound 102)

3-Chloro-5-(trifluoromethyl)pyrid-2-ylhydrazine (0.32 g) was dissolved in dichloromethane (7 ml) and treated dropwise with 2,6-dichlorobenzoyl chloride (0.31 g) in dichloromethane (2 ml). Triethylamine (0.15 g) was then added and the reaction stirred at room temperature overnight. The organic solution was washed sequentially with sodium bicarbonate solution and brine and evaporated to give a solid. The residue was purified by trituration (dichloromethane) to give the title compound, m.p. 212-5 °C.

The following compounds of formula Iy (see Table B), i.e. compounds of general formula I where L is $-N(R^3)NHC(=O)-$, may be prepared by methods analogous to those of Example 4.



(Iy)

Table B

Cmp	A^1	R^3	A^2	m.p./°C
101	3-Cl-5-CF_3-phenyl	H	2-Cl-phenyl	168-70
102	3-Cl-5-CF_3-phenyl	H	2,6-diCl-phenyl	212-5
103	3-Cl-5-CF_3-phenyl	H	2-NO_2-phenyl	182-3
104	3-Cl-5-CF_3-phenyl	H	2,6-diMeO-phenyl	204-6
105	3-Cl-5-CF_3-phenyl	H	2-tolyl	168-9
106	3-Cl-5-CF_3-phenyl	H	4,6-diMeO-pyrimidin-2-yl	170-1
107	3-Cl-5-CF_3-phenyl	H	cyclopropyl	152-4
108	3-Cl-5-CF_3-phenyl	H	cyclohexyl	111-4
109	3-Cl-5-CF_3-phenyl	Me	2,6-diCl-phenyl	219-20
110	3-Cl-5-CF_3-phenyl	Me	2-NO_2-phenyl	198-9
111	3-Cl-5-CF_3-phenyl	Me	2,6-diMeO-phenyl	234-6
112	3-Cl-5-CF_3-phenyl	Me	2-tolyl	202-4
113	3-Cl-5-CF_3-phenyl	Me	2-Cl-6-F-phenyl	207-8
114	3-Cl-5-CF_3-phenyl	Me	4,6-diMeO-pyrimidin-2-yl	178-80
115	3-Cl-5-CF_3-phenyl	Me	cyclopropyl	159-60
116	3-Cl-5-CF_3-phenyl	Me	cyclohexyl	216-9
117	5-Cl-3-CF_3-phenyl	H	2,6-diCl-phenyl	199-203
118	5-Cl-3-CF_3-phenyl	H	2-NO_2-phenyl	156-8
119	5-Cl-3-CF_3-phenyl	H	2,6-diMeO-phenyl	194-5
120	5-Cl-3-CF_3-phenyl	H	2-tolyl	180-1
121	5-Cl-3-CF_3-phenyl	H	2-Cl-6-F-phenyl	173-5
122	5-Cl-3-CF_3-phenyl	H	4,6-diMeO-pyrimidin-2-yl	158
123	5-Cl-3-CF_3-phenyl	H	cyclopropyl	143-5
124	5-Cl-3-CF_3-phenyl	H	cyclohexyl	121

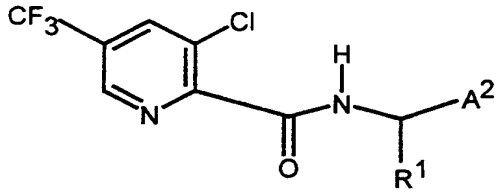
Cmp	A^1	R^3	A^2	m.p./°C
125	3-Cl-5-CF_3-phenyl	H	2,3,6-triF-phenyl	154-6
126	3-Cl-5-CF_3-phenyl	H	2-Cl-6-F-phenyl	192

Example 5

N-2-(Phenylethyl)-3-chloro-5-(trifluoromethyl)-2-pyridinecarboxamide (Compound 206)

3-Chloro-(5-trifluoromethyl)pyridine-2-carboxaldehyde (0.15 g) was dissolved in carbon tetrachloride (10 ml). 2,2'-Azobisisobutyronitrile (0.002 g) and *N*-bromosuccinimide (0.16 g) were added and the mixture was heated to reflux using a sun lamp. After 45 minutes the solution was cooled down to 0 °C. (R)-(+)-α-methylbenzylamine (0.09 g) in carbon tetrachloride (0.3 ml) was added and stirred for 20 minutes at 0°C, then for 3 hours at room temperature. The mixture was diluted with dichloromethane and washed with water. The organic layer was isolated, dried over magnesium sulphate and evaporated to give the crude compound. The crude material was purified by silica gel chromatography to give the title product, m.p. 88 °C.

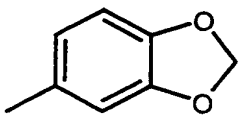
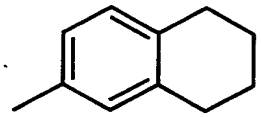
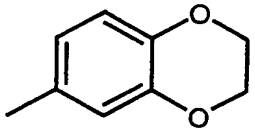
The following compounds of formula Ix (see Table C), i.e. compounds of general formula I where A^1 is 3-Cl-5-CF_3-2-pyridyl and L is –C(=O)NHCH(R^1)-, may be prepared by methods analogous to those of Example 5.



(Ix)

Table C

Cmp	R^1	A^2	m.p.(°C)
201	H	2,6-diF-phenyl	137
202	Me	2,6-diF-phenyl	97
203	H	2-Cl-phenyl	100-7
204	H	2,6-diCl-phenyl	114-6

Cmp	R^1	A^2	m.p.(°C)
205	Me	2-Cl-phenyl	120
206	Me	phenyl	88
207	Me	4-Cl-phenyl	129
208	Me	4-Br-phenyl	139
209	Me	3,4-diF-phenyl	127
210	Me		123
211	Me	4-CF_3O-phenyl	95
212	Me	3-CF_3O-phenyl	114
213	Me		125
214	Me		129
215	H	4-tolyl	113

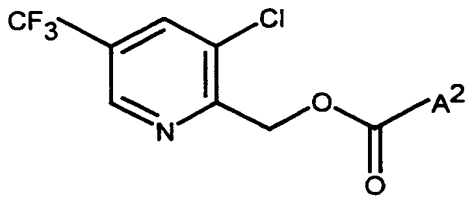
Example 6

[3-Chloro–5-(trifluoromethyl)-2-pyridyl]methyl 2-chlorobenzoate

Compound 301

To a solution of 2-chlorobenzoic acid (0.1 g) in dimethylformamide was added cesium carbonate (0.1 g) and the resulting solution was stirred for 1 hour. 3-Chloro-2-(chloromethyl)-5-trifluoromethyl pyridine (0.14 g) was added and stirring was continued for a further 48 hours. The solution was diluted with diethyl ether (10 ml) and washed with water (10 ml). The organic phase was separated, dried and evaporated to give a crude product. Silica gel chromatography (petrol/diethyl ether 7:3) gave the title compound, ^1H N.M.R ($CDCl_3$) δ(ppm) 5.6 (2H, s), 7.3 (1H, m), 7.4 (2H, m) 7.87 (1H, s), 7.88 (1H, d) and 8.8 (1H, s).

The following compounds of formula Iw (see Table D), i.e. compounds of general formula I where A^1 is 3-Cl-5-CF_3-2-pyridyl and L is $-CH_2O(C=O)-$, may be prepared by methods analogous to those of Example 6.



(Iw)

Table D

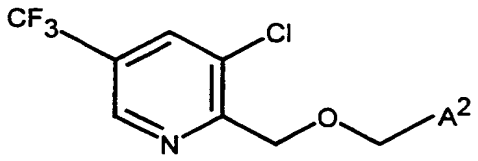
Cmp	A^2	m.p./°C
301	2-Cl-phenyl	oil
302	2,6-diCl-phenyl	93-5

Example 7

[3-Chloro-5-(trifluoromethyl)-2-pyridyl]methyl(2,4-dichlorobenzyl) ether (Compound 401)

To a solution of 2,4-dichlorobenzyl alcohol (0.27 g) in tetrahydrofuran under nitrogen was added sodium hydride (1.1 equivalents) portionwise. The resulting solution was stirred at room temperature for 1 hour before the addition of 3-chloro-2-(chloromethyl)-5-trifluoromethyl pyridine (0.35 g) in tetrahydrofuran dropwise. The solution was then stirred at room temperature for 16 hours. The solution was treated with a tetrahydrofuran/methanol solution and the solvent then evaporated. The residue was partitioned between water and ethyl acetate, the organic phase was isolated, washed with brine, dried and evaporated to yield the crude product. Silica gel chromatography (petrol/ethyl acetate 95:5) furnished the title compound, ^{1}H N.M.R ($CDCl_3$) δ(ppm) 4.8 (2H, s), 4.9 (2H, s), 7.3 (1H, m), 7.4 (1H, m), 7.5 (1H, m) 8.0 (1H, s) and 8.8 (1H, m).

The following compounds of formula Iv (see Table E), i.e. compounds of general formula I where A^1 is 3-Cl-5-CF_3-2-pyridyl and L is $-CH_2OCH_2-$, may be prepared by methods analogous to those of Example 7.



(Iv)

Table E

Cmp	A^2	m.p./°C
401	2,4-diCl-phenyl	oil
402	2,6-diCl-phenyl	oil

The ^{1}H N.M.R. data of those compounds in Table E which were not solid at room temperature are presented below.

Compound 402

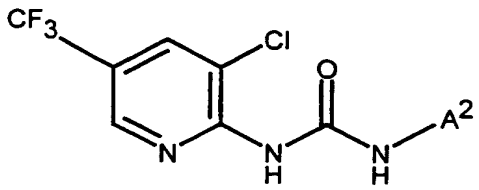
^{1}H N.M.R ($CDCl_3$) δ (ppm) 4.9 (2H, s), 5.0 (2H, s), 7.2 (1H, m), 7.3 (2H, m), 8.0 (1H, s), 8.8 (1H, s).

Example 8

N-[2-Chloro-5-(trifluoromethyl)-2-pyridyl]-*N'*-(2,6-dichlorophenyl)urea (Compound 501)

A solution of triphosgene (1.1 g) in dichloromethane (20 ml) was added over 30 minutes at room temperature to a stirred solution of 2-amino-3-chloro-5-(trifluoromethyl)pyridine (1.96 g) and triethylamine (2 ml) in dichloromethane (35 ml). After 15 minutes a solution of 2,6-dichloroaniline (1.62 g) and triethylamine (2 ml) in dichloromethane (20 ml) was added rapidly and the resulting mixture stirred for 30 minutes before solvent evaporation. The residue was suspended in ethyl acetate and the solid filtered off. The filtrate was washed with potassium hydrogen sulfate solution, sodium bicarbonate solution and then brine. Drying ($MgSO_4$) and solvent evaporation yielded the crude product, which was purified by silica gel chromatography to give the title compound, m.p. 155-8 °C.

The following compounds of formula Iu (see Table F), i.e. compounds of general formula I where A^1 is 3-Cl-5-CF_3-2-pyridyl and L is -NHC(=O)NH-, may be prepared by methods analogous to those of Example 8.



(Iu)

Table F

Cmp	A^2	m.p./°C
501	2,6-diCl-phenyl	155-8
502	phenyl	173-5
503	2-NO_2-phenyl	178-80

Example 9

3-[3-Chloro-5-(trifluoromethyl)-2-pyridyl]-1-(2-nitrophenyl)-2-propen-1-one (Compound 601)

Sodium hydroxide (0.55 g) was dissolved in water (5 ml) and the resulting solution was diluted with ethanol (3 ml). 2-Nitroacetophenone (1.8 g) was added at 20°C, and the solution was stirred for 5 minutes. 3-Chloro-5-(trifluoromethyl)pyridine-2-carboxaldehyde (2.25 g) was added and stirring was continued for 16 hours. The solution was acidified with acetic acid, the organic layer separated, dried over magnesium sulfate, filtered and evaporated to give a brown oil. Silica gel column chromatography, followed by recrystallisation (petrol) afforded the title compound, 88-9 °C.

Example 10

3-Chloro-5-(trifluoromethyl)-2-pyridinecarbaldehyde 2-(2-nitrophenyl)hydrazone (Compound 701)

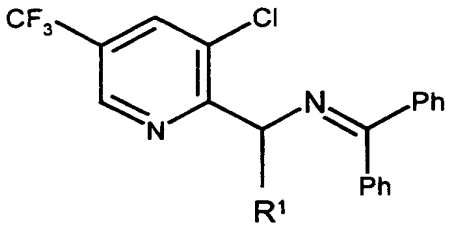
A mixture of 3-chloro-5-(trifluoromethyl)pyridine-2-carboxaldehyde (1.05 g) and 2-nitrophenylhydrazine (0.76 g) in ethanol (75 ml) was heated at reflux for 2.5 hours and then allowed to cool to room temperarure overnight. The resulting orange solid was isolated by filtration and recrystallised (petrol) to afford the title compound as a mixture of isomers, m.p. 127-35 °C.

Example 11

[3-Chloro-5-(trifluoromethyl)-2-pyridyl][(diphenylmethylene)amino]methyl cyanide (Compound 803)

To a suspension of 60% sodium hydride (4.0 g) in dimethylformamide under a nitrogen atmosphere at 0°C was added a solution of [(diphenylmethylene)amino]methyl cyanide (11.1g) in dimethylformamide dropwise, whilst maintaining the temperature between 0°C and 2°C. The solution was stirred at 0°C for 1 hour. 2,3-Dichloro-5-trifluoromethylpyridine (7 ml) in dimethylformamide was added dropwise and the mixture stirred for 30 minutes at 0°C before warming to ambient temperature over 3 hours. The mixture was cooled to 10°C, ethanol (3 ml) added and the solution stirred for 15 minutes. The reaction mixture was then poured as a thin stream into a vigorously stirred mixture of diethyl ether (500ml) and ammonium chloride solution (500 ml). The organic layer was separated and washed with ammonium chloride solution (2x150 ml), dried, filtered and evaporated to give a residue. Silica gel chromatography (diethyl ether:petrol 5:95) gave the title product as a pale brown solid, m.p. 108-10 °C.

The following compounds of formula It (see Table G), i.e. compounds of general formula I where A^1 is 3-Cl-5-CF_3-2-pyridyl, L is -$CH(R^1)$N=C(Ph)-, and A^2 is phenyl may be prepared by methods analogous to those of Example 11.



(It)

Table G

Cmp	R^1	m.p./°C
801	CH_2CN	82-4
802	CO_2Et	oil
803	CN	108-10

The mass spectral data of the compound in Table G which was not solid at room temperature is presented below.

Compound 802

m/z (EI) 373 (M^+-CO_2Et)

Example 12

1-Biphenylyl-1-ethanone *O*-1-[3-chloro-5-(trifluoromethyl)-2-pyridyl] oxime (Compound 936)

To 4-acetylbiphenyl oxime (2.5 g) in dimethylformamide (13 ml) under a nitrogen atmosphere was added sodium hydride (0.5 g) portionwise with cooling. The resulting mixture was stirred at 40°C for 20 minutes until the formation of a suspension occurred. 2,3-Dichloro-5-(trifluoromethyl)pyridine (2.5 g) in dimethylformamide (7 ml) was then added and the resulting mixture stirred for 18 hours at room temperature. The mixture was treated with isopropanol (2 ml) and stirred for 5 minutes before pouring into an ice water/brine solution (300 ml). The resulting precipitate was extracted with diethyl ether (2x125 ml), the organics washed with water, dried, filtered and evaporated to give a solid which on trituration (diethyl ether) and recrystallisation (toluene) yielded the title compound, m.p. 122 °C.

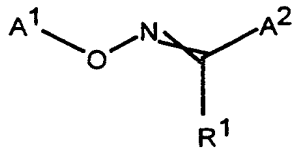
Preparation of Starting Material

4-Acetylbiphenyl Oxime

To a suspension of 4-acetylbiphenyl (25.4 g) in ethanol (230 ml) and water (4 ml) under a nitrogen atmosphere was added hydroxylamine hydrochloride (14.5 g) in water (25 ml) followed by 50% aqueous potassium hydroxide solution (40 g). The resulting mixture was heated at reflux for 18 hours and then cooled to room temperature. The mixture was added to ice/water (500 ml) and acidified to pH 2 to give a precipitate. The solid was filtered off, washed with water until the washings were at pH 6 and then recrystallised from ethanol to give the title compound.

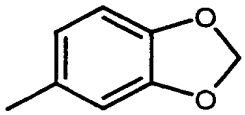
The following compounds of formula Is (see Table H), i.e. compounds of general formula I where L is –O-N=C(R^1)-, may be prepared by methods analogous to those of Example 12.

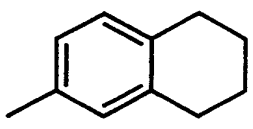
The crossed bond in Is indicates that the compounds may exist as cis or trans isomers about the double bond. Isolation of both isomers was possible for some compounds.



(Is)

Table H

Cmp	A^1	R^1	A^2	m.p.(°C)
901	3-Cl-5-CF_3-2-pyridyl	Me	2-Cl-phenyl	96-7
902	3-Cl-5-CF_3-2-pyridyl	H	4-pyridyl	205-6
903	3-Cl-5-CF_3-2-pyridyl	Me	3-(2-Cl-4-CF_3-phenoxy)phenyl	65-7
904	3-Cl-5-CF_3-2-pyridyl	H	2-Cl-6-F-phenyl	119-23
905	3-Cl-5-CF_3-2-pyridyl	H	2,6-diCl-phenyl	136-7
906	3-Cl-5-CF_3-2-pyridyl	Me	1-Me-2-pyrolyl	88-9
907	3-Cl-5-CF_3-2-pyridyl	Me	2-tolyl	oil
908	3-Cl-5-CF_3-2-pyridyl	Me	2-tolyl	oil
909	3-Cl-5-CF_3-2-pyridyl	Me	3-CF_3-phenyl	oil
910	3-Cl-5-CF_3-2-pyridyl	Me	2-CF_3-phenyl	oil
911	3-Cl-5-CF_3-2-pyridyl	Me		oil
912	3-Cl-5-CF_3-2-pyridyl	tBu	2-pyridyl	oil
913	3-Cl-5-CF_3-2-pyridyl	Me	2-thienyl	oil
914	3-Cl-5-CF_3-2-pyridyl	H	4-MeO-phenyl	oil
915	3-Cl-5-CF_3-2-pyridyl	Me	2,4-xylyl	oil
916	3-Cl-5-CF_3-2-pyridyl	H	6-Me-2-pyridyl	oil
917	3-Cl-5-CF_3-2-pyridyl	Me	2-naphthyl	oil
918	3-Cl-5-CF_3-2-pyridyl	Me	1-naphthyl	oil
919	3-Cl-5-CF_3-2-pyridyl	H	4-EtO-phenyl	oil
920	3-Cl-5-CF_3-2-pyridyl	H	2-tolyl	oil
921	3-Cl-5-CF_3-2-pyridyl	H	2-MeO-phenyl	oil

Cmp	A^1	R^1	A^2	m.p.(°C)
922	3-Cl-5-CF_3-2-pyridyl	Et	phenyl	oil
923	3-Cl-5-CF_3-2-pyridyl	H	3-NO_2-phenyl	116-8
924	3-Cl-5-CF_3-2-pyridyl	CF_3	2-tolyl	oil
925	3-Cl-5-CF_3-2-pyridyl	$(EtO)_2P(=O)$-	cyclohexyl	oil
926	3-Cl-5-CF_3-2-pyridyl	-CN	phenyl	76
927	3-Cl-5-CF_3-2-pyridyl	Me	phenyl	oil
928	3-Cl-5-CF_3-2-pyridyl	H	2-NO_2-phenyl	oil
929	3-Cl-5-CF_3-2-pyridyl	H	2-Cl-phenyl	87
930	3-Cl-5-CF_3-2-pyridyl	H	3-tolyl	oil
931	3-Cl-5-CF_3-2-pyridyl	H	3-pyridyl	oil
932	3-Cl-5-CF_3-2-pyridyl	H	3-pyridyl	137-8
933	3-Cl-5-CF_3-2-pyridyl	H	1-naphthyl	85-90
934	3,5-diCl-2-pyridyl	Me	2-Cl-phenyl	127
935	3,5-diCl-2-pyridyl	Me	2-Cl-phenyl	70-1
936	3-Cl-5-CF_3-2-pyridyl	Me	biphenylyl	122
937	3-Cl-5-CF_3-2-pyridyl	-CN	2,6-diCl-phenyl	128-9
938	3-Cl-5-CF_3-2-pyridyl	-CN	2,6-diCl-phenyl	71-2
939	3-Cl-5-CF_3-2-pyridyl	-CN	2-CN-phenyl	139-43
940	3-Cl-5-CF_3-2-pyridyl	-CN	2-Cl-phenyl	83-4
941	3-Cl-5-CF_3-2-pyridyl	-CN	2-Cl-phenyl	88
942	3-Cl-5-CF_3-2-pyridyl	Me	2-$MeSO_2$-phenyl	oil
943	3-Cl-5-CF_3-2-pyridyl	Ph	2-naphthyl	oil
944	3-Cl-5-CF_3-2-pyridyl	Me	6-MeO-2-naphthyl	oil
945	3-Cl-5-CF_3-2-pyridyl	Me	4-F-1-naphthyl	oil
946	3-Cl-5-CF_3-2-pyridyl	Me	4-cyclohexyl-phenyl	oil
947	3-Cl-5-CF_3-2-pyridyl	Me		oil
948	3-Cl-5-CF_3-2-pyridyl	Pr	4-Cl-phenyl	oil
949	3-Cl-5-CF_3-2-pyridyl	Me	cyclohexyl	oil

Cmp	A^1	R^1	A^2	m.p.(°C)
950	3-Cl-5-CF_3-2-pyridyl	Me	4-PhO-phenyl	oil
951	3-Cl-5-CF_3-2-pyridyl	Me	2,5-diMe-3-furyl	oil
952	3-Cl-5-CF_3-2-pyridyl	Me	3,5-diMe-isothiazol-4-yl	oil
953	3-Cl-5-CF_3-2-pyridyl	Et	2,4-diCl-phenyl	oil
954	3-Cl-5-CF_3-2-pyridyl	Me	2,3-diCl-phenyl	oil
955	3-Cl-5-CF_3-2-pyridyl	-CN	2-pyridyl	oil
956	3-Cl-5-CF_3-2-pyridyl	CF_3	2-thienyl	oil
957	3-Cl-5-CF_3-2-pyridyl	Me	4-pyridyl	oil
958	3-Cl-5-CF_3-2-pyridyl	4-Cl-phenyl	4-Cl-phenyl	oil

The ^{1}H N.M.R or mass spectral data of those compounds in Table H which were not solid at room temperature are presented below.

Compound 907

^{1}H N.M.R ($CDCl_3$) δ (ppm) 2.4 (6H, s), 7.2-7.4 (4H, m), 7.95 (1H, s), 8.45 (1H, s).

Compound 908

^{1}H N.M.R ($CDCl_3$) δ (ppm) 2.3 (3H), 2.4 (3H0, 7.1 (1H), 7.3 (3H, m), 7.8 (1H), 8.45(1H).

Compound 909

m/z (EI) 382 (M^+).

Compound 910

^{1}H N.M.R ($CDCl_3$) δ (ppm) 2.5 (3H), 7.45 (1H, d), 7.5-7.7 (2H, m), 7.75 (1H, d), 8.0 (1H, d), 8.5 (1H, d).

Compound 911

^{1}H N.M.R ($CDCl_3$) δ (ppm) 0.8 (t), 1.15 (d), 1.4 (quintet), 2.0 (s), 2.3 (s), 3.65 (dd), 7.7 (m), 7.95 (m).

Compound 912

m/z (EI) 357 (M^+).

Compound 913

m/z (EI) 320 (M^+).

Compound 914

m/z (EI) 330 (M^+).

Compound 915

m/z (EI) 342 (M^+).

Compound 916

m/z (EI) 315 (M^+).

Compound 917

m/z (EI) 364 (M^+).

Compound 918

m/z (EI) 364 (M^+).

Compound 919

m/z (EI) 344 (M^+).

Compound 920

^1H N.M.R ($CDCl_3$) δ (ppm) 2.35 (s), 2.5 (s), 7.4 (d), 7.8 (m), 7.9 (d).

Compound 921

^1H N.M.R ($CDCl_3$) δ (ppm) 3.9 (3H, m), 6.9-7.05 (2H, m), 7.5-7.75 (2H, m), 7.95 (1H, d), 8.0 (1H, d), 8.5 (1H), 9.1 (1H).

Compound 922

m/z (EI) 328 (M^+).

Compound 924

m/z (EI) 382 (M^+).

Compound 925

^1H N.M.R ($CDCl_3$) δ (ppm) 1.3 (m), 2.7 (m), 4.2 (m), 7.75 (d), 7.95 (d).

Compound 927

m/z (EI) 314 (M^+).

Compound 928

m/z (EI) 345 (M^+).

Compound 930

^1H N.M.R ($CDCl_3$) δ (ppm) 2.35 (d), 7.25 (m), 7.5 (d), 7.9 (d), 8.5 (d), 8.65 (s).

Compound 931

m/z (EI) 301 (M^+).

Compound 942

^1H N.M.R ($CDCl_3$) δ (ppm) 2.6 (3H, s), 3.05 (3H, s), 8.0 (5H, m), 8.5 (1H, s).

Compound 943

^1H N.M.R ($CDCl_3$) δ (ppm) 7.4-7.6 (7H, m), 7.8-8.0 (6H, m), 8.5 (1H, d).

Compound 944

m/z (EI) 393 (M^+).

Compound 945

^1H N.M.R ($CDCl_3$) δ (ppm) 2.7 (3H, s), 7.15 (1H, dd), 7.5-7.65 (3H, m), 7.95 (1H, d), 8.1-8.25 (2H, m), 8.5 (1H, d).

Compound 946

m/z (EI) 396 (M^+).

Compound 947

m/z (EI) 368 (M^+).

Compound 948

m/z (EI) 376 (M^+).

Compound 949

^1H N.M.R ($CDCl_3$) δ (ppm) 0.1-1.5 (5H, m), 1.7-1.9 (5H, m), 2.6 (1H, t), 8.0 (1H, m), 8.55 (1H, m).

Compound 950

m/z (EI) 406 (M^+).

Compound 951

m/z (EI) 332 (M^+).

Compound 952

m/z (EI) 349 (M^+).

Compound 953

^1H N.M.R ($CDCl_3$) δ (ppm) 1.4 (3H, t), 3.0 (2H, q), 7.2 (3H, t) isomer, 7.3 (1H, d), 7.55 (1H, dd), 8.0 (1H, d, m), 8.55 (1H, d, m).

Compound 954

^1H N.M.R ($CDCl_3$) δ (ppm) 2.5 (3H, m), 7.2-7.4 (2H, m), 7.5 (1H, d), 7.9 (1H), 8.4 (1H).

Compound 955

^1H N.M.R ($CDCl_3$) δ (ppm) 2.6 (s), 4.8 (s), 7.25 (t), 7.5 (dd), 7.9 (m), 8.05 (d), 8.15 (d), 8.5 (s), 8.8 (d).

Compound 956

m/z (EI) 374 (M^+).

Compound 957

m/z (EI) 314 (M^+).

Compound 958

^1H N.M.R ($CDCl_3$) δ (ppm) 7.35-7.6 (8H, m), 7.9 (1H), 8.5 (1H).

Example 13

N-(3-Chloro-5-trifluoromethyl-2-pyridyloxy)-1-naphthalenecarboxamide (Compound 1012)

A mixture of 1-naphthoic acid (0.46 g) and carbonyldiimidazole (0.44 g) in tetrahydrofuran (40 ml) was stirred for 16 hours under a nitrogen atmosphere. The product from stage b) (0.57 g) was then added, and the mixture stirred for 5 days. The solution was poured into saturated brine solution and the organic portion extracted with ethyl acetate (x3), dried ($MgSO_4$), filtered and evaporated. The residue was purified by silica gel chromatography (ethyl acetate/petrol) and triturated (diisopropyl ether) to give the title product, m.p.198-9 °C.

Preparation of Starting Materials

a) 2-{[3-Chloro-5-(trifluoromethyl)-2-pyridyl]oxy}-1,3-isoindolinedione

2,3-Dichloro-5-trifluoromethylpyridine (50.0 g) was added over 5 minutes to a stirred solution of *N*-hydroxyphthalimide (37.5 g) and triethylamine (25.8 g) in acetone (750 ml). The mixture was refluxed for 8 hours and allowed to stand at room temperature for 16 hours. The solution was filtered and the filtrate evaporated to yield a solid which was partitioned between ethyl acetate and sodium bicarbonate solution. The organic fraction was isolated and the aqueous material re-extracted using further portions of ethyl acetate. The combined organic extracts were washed with water, dried, filtered and evaporated to give the crude product. The residue was triturated with diisopropyl ether to furnish the title compound as a white solid.

b) *O*-[3-Chloro-5-(trifluoromethyl)-2-pyridyl]hydroxylamine

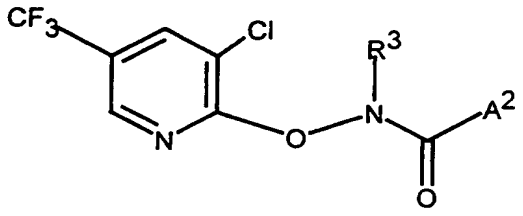
Hydrazine monohydrate (1.7 g) was added to a solution of the product from stage a) (11.3 g) in tetrahydrofuran (200 ml) and the mixture stirred for 16 hours. The mixture was then filtered and the residual solid washed with a small volume of tetrahydrofuran and ethyl acetate, then four times with a 0.02M solution of sodium hydroxide saturated with sodium chloride. The combined aqueous layers were extracted with dichloromethane (x2) and the combined organic extracts dried, filtered and evaporated to give the title compound.

Example 14

N-(3-Chloro-5-trifluoromethyl-2-pyridyloxy)-*N*-methyl-1-naphthalenecarboxamide (Compound 1017)

Iodomethane (0.82 g) was added to a stirred solution of the product from Example 13 (Compound1012) (1.93 g) and potassium *tert*-butoxide (0.61 g) in tetrahydrofuran (50 ml). The reaction mixture was stirred for 48 hours. The solvent was evaporated and the residue partitioned between ethyl acetate and saturated aqueous ammonium chloride. The aqueous layer was separated and extracted with 3 portions of ethyl acetate. The combined organic phases were dried, filtered and evaporated to give a residue which was purified by silica gel chromatography (ethyl acetate/petrol) to give the title compound, *m/z* (EI) 380 (M^+).

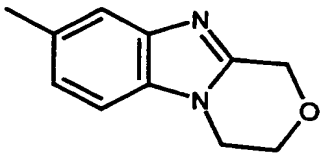
The following compounds of formula Ir (see Table J), i.e. compounds of general formula I where A^1 is 3-Cl-5-CF_3-2-pyridyl and L is –O-N(R^3)C(=O)-, may be prepared by methods analogous to those of Examples 13 and 14.



(Ir)

Table J

Cmp	R^3	A^2	m.p.(°C)
1001	H	5-Me-2-pyrazinyl	202-6

Cmp	R^3	A^2	m.p.(°C)
1002	H	4-tolyl	190-3
1003	H	2-Cl-4-CF_3-pyrimidin-5-yl	204-5
1004	H	4-Cl-phenyl	191-3
1005	H	2-NO_2-5-(2-Cl-4-CF_3-phenoxy)-phenyl	168-70
1006	H	3,5-diMe-4-isoxazolyl	108-11
1007	H	2,4-diMe-5-thiazolyl	152-5
1008	H	4,6-diMeO-2-(α,α-diMe-4-Cl-benzyl)-pyrimidin-5-yl	124-5
1009	H	5-(3,5-diCl-phenoxy)-2-furyl	120-2
1010	H	6-MeO-3-pyridyl	157-9
1011	H	2-naphthyl	180
1012	H	1-naphthyl	198-9
1013	H	2-Cl-phenyl	170
1014	H	3-quinolinyl	238-9
1015	H		oil
1016	H	4-morpholinyl-3-NO_2-phenyl	217-8
1017	Me	1-naphthyl	oil
1018	H	1-naphthyl	218-20
1019	H	2,6-diCl-phenyl	246-7

The mass spectral data of the compounds in Table J which were not solid at room temperature are presented below.

Compound 1015

m/z (EI) 412 (M^+).

Compound 1017

m/z (EI) 380 (M^+).

Example 15

2-Methyl-1,2,3,4-tetrahydro-1-naphthalenone *O*-1-[3-chloror-5-(trifluoromethyl)-2-pyridyl]oxime

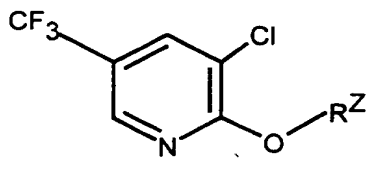
(Compound 1101)

The starting material (0.58 g) was dissolved in tetrahydrofuran (5 ml) and to this was added potassium *tert*-butoxide (0.42 g) dissolved in tetrahydrofuran (5 ml). The mixture was stirred overnight and a solution of 2,3-dichloro-5-trifluoromethyl pyridine (0.72 g) in tetrahydrofuran (2 ml) was added. The mixture was stirred for 48 hours at room temperature, then the solvent was evaporated. The residue was partitioned between ethyl acetate and water. The organic layer was isolated, dried, filtered and evaporated to yield the title product as a light yellow gum, *m/z* (EI) 354 (M^+).

a) 2-Methyl-1,2,3,4-tetrahydro-1-naphthalenone oxime

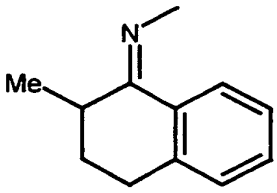
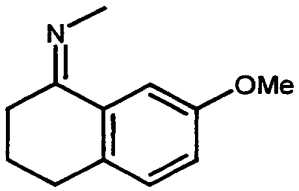
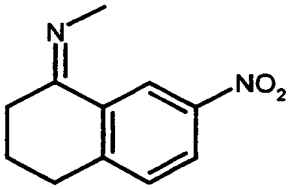
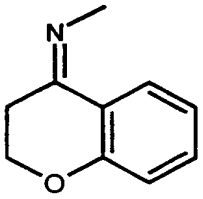
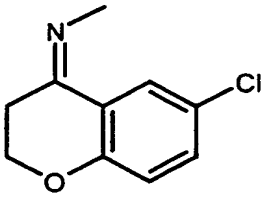
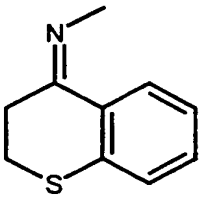
To a solution of 2-methyl-1-tetralone (3.20 g) in methanol (5 ml) was added hydroxylamine hydrochloride (1.81 g) in methanol (15 ml) and triethylamine (2.63 g). The mixture was stirred at 65°C for 5 hours, allowed to cool and stand at room temperature for 16 hours. The solvent was evaporated and water added to the residue. The product was extracted with ethyl acetate (3 portions) and the combined extracts were dried, filtered and evaporated to give an orange oil. On standing this separated into two layers. The top layer was removed and the bottom layer slowly solidified to give the title product as an orange solid.

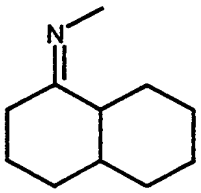
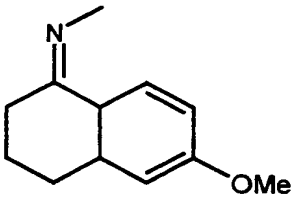
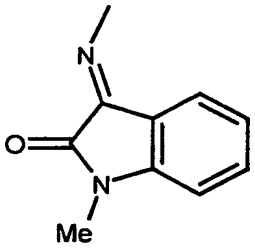
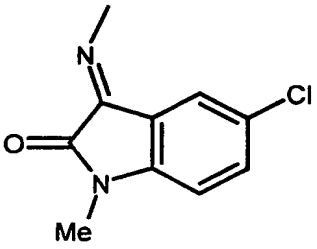
The following compounds of formula Iq (see Table K), i.e. compounds of general formula I where A^1 is 3-Cl-5-CF_3-2-pyridyl and L is –O-N=C(R^1)-, wherein R^1 and A^2, together with the interconnecting atoms forms a 5- or 6- membered ring, may be prepared by methods analogous to those of Example 15.



(Iq)

Table K

Cmp	R^Z	m.p.(°C)
1101		oil
1102		oil
1103		oil
1104		oil
1105		oil
1106		oil

Cmp	R^Z	m.p.(°C)
1107		oil
1108		oil
1109		oil
1110		oil

Those compounds in Table K which do not have discrete melting points have the following characteristic mass spectral data.

Compound 1101

m/z (EI) 354 (M^+).

Compound 1102

m/z (EI) 370 (M^+).

Compound 1103

m/z (EI) 385 (M^+).

Compound 1104

m/z (EI) 342 (M^+).

Compound 1105

m/z (EI) 376 (M^+).

Compound 1106

m/z (EI) 358 (M^+).

Compound 1107

m/z (EI) 346 (M^+).

Compound 1108

m/z (EI) 370 (M^+).

Compound 1109

m/z (EI) 355 (M^+).

Compound 1110

m/z (EI) 389 (M^+).

Example 16

2-{[2-(3-Bromo-4-methoxyphenyl)-1*H*-1-imidazolyl]methyl}-3-chloro-5-(trifluoromethyl)pyridine

(Compound 1201)

To a solution of 2-(3-bromo-4-methoxyphenyl)-1*H*-imidazole (0.5 g) in tetrahydrofuran was added sodium hydride (0.08 g). After 30 minutes 3-chloro-2-(chloromethyl)-5-trifluoromethyl pyridine (0.46 g) was added and the solution heated until the reaction was complete. The reaction mixture was cooled, poured onto water and the organic phase extracted using dichloromethane, dried and evaporated to yield the crude product as an orange gum. Silica gel column chromatography yielded a gum which was further treated with diisopropyl ether and filtered. Evaporation of the filtrate afforded the title compound, *m/z* (APCI) 445 (M^-).

2-(3-Bromo-4-methoxyphenyl)imidazole was synthesised from 3-bromo-4-methoxybenzonitrile using a method known to the skilled chemist.

Test Example

Compounds were assessed for activity against one or more of the following:

Phytophthora infestans: late tomato blight

Plasmopara viticola: vine downy mildew

Erysiphe graminis f. sp. tritici: wheat powdery mildew

Pyricularia oryzae: rice blast

Leptosphaeria nodorum: glume blotch

Aqueous solutions or dispersions of the compounds at the desired concentration, including a wetting agent, were applied by spray or by drenching the stem base of the test plants, as appropriate. After a given time, plants or plant parts were inoculated with appropriate test pathogens before or after application of the compounds as appropriate, and kept under controlled environmental conditions suitable for maintaining plant growth and development of the disease. After an appropriate time, the degree of infection of the affected part of the plant was visually estimated. Compounds are assessed on a score of 1 to 3 where 1 is little or no control, 2 is moderate control and 3 is good to total control. At a concentration of 500 ppm (w/v) or less, the following compounds scored 2 or more against the fungi specified.

Phytophthora infestans: 49, 102, 119, 126, 202, 214, 215, 601, 902, 912, 927, 953, 1101 and 1102.

Plasmopara viticola: 5-7, 9, 10, 12, 102, 109, 126, 214, 215, 601, 901, 907, 914, 915, 921, 926-30, 958, 1001 and 1013.

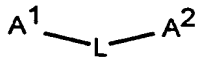
Erysiphe graminis f. sp. tritici: 501, 901, 906, 913-5, 923, 926-931, 933, 935, 936, 948-50, 952, 954, 1008, 1102, 1104, 1107 and 1108.

Pyricularia oryzae: 7, 9, 11, 17, 126, 901, 906, 907, 913, 922, 923, 926-31, 937, 938, 939 and 1001.

Leptosphaeria nodorum: 23, 51, 53, 126, 207, 208, 906, 923, 926, 929, 933, 1007 and 1109.

Claims

1. The use of a compound of general formula I or salts thereof as phytopathogenic fungicides



(I)

where

A^1 is 2-pyridyl or its *N*-oxide, each of which may be substituted by up to four groups at least one of which is haloalkyl;

A^2 is optionally substituted heterocyclyl or optionally substituted carbocyclyl;

L is a 3-atom linker selected from the list: $-CH(R^1)N(R^3)CH(R^2)-$, $-N(R^3)N(R^4)C(=X)-$, $-C(=X)N(R^3)CH(R^1)-$, $-CH(R^1)OC(=X)-$, $-CH(R^1)OCH(R^2)-$, $-N(R^3)C(=X)N(R^4)-$, $-C(R^1)=C(R^2)C(=X)-$, $-C(R^1)=N-N(R^3)-$, $-CH(R^1)N=C(R^2)-$, $-O-N=C(R^1)-$, $-O-N(R^3)C(=X)-$, $-N(R^3)N(R^4)CH(R^1)$, $-N(R^3)C(Y)=N-$, $-N=C(Y)-N(R^3)-$, $-N(R^3)N=C(Y)-$, $-C(=X)-N(R^3)N(R^4)-$, $-C(Y)=N-N(R^4)-$ and $-N(R^3)CH(R^1)C(=X)-$; wherein A^1 is attached to the left hand side of linker L;

where R^1 and R^2, which may be the same or different, are R^b, cyano, nitro, halogen, $-OR^b$, $-SR^b$ or optionally substituted amino;

R^3 and R^4, which may be the same or different, are R^b, cyano or nitro;

or any R^1, R^2, R^3 or R^4 group, together with the interconnecting atoms, can form a 5- or 6-membered ring with any other R^1, R^2, R^3 or R^4, or any R^1, R^2, R^3 or R^4 group, together with the interconnecting atoms can form a 5- or 6-membered ring with A^2;

X is oxygen, sulfur, $N-OR^b$, $N-R^b$ or $N-N(R^b)_2$; and

Y is halogen, $-OR^b$, $-SR^b$, $-N(R^b)_2$, $-NR^b(OR^b)$ or $-NR^bN(R^b)_2$;

wherein R^b is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted; or hydrogen or acyl, or two adjacent R^b groups together with the nitrogen atom to which they are attached may form a 5- or 6-membered ring.

2. A pesticidal composition comprising at least one compound as claimed in claim 1 in admixture with an agriculturally acceptable diluent or carrier.

3. A method of combating pests at a locus infested or liable to be infested therewith, which comprises applying to the locus a compound as claimed in claim 1.

INTERNATIONAL SEARCH REPORT

International Application No
PC[redacted] 00/08268

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07D213/61 C07D213/89 C07D405/12 C07D213/77 C07D401/12
C07D213/81 C07D213/64 C07D409/12 C07D417/12 C07D498/04
C07D401/06 A01N43/40

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 7 C07D A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)
EPO-Internal, PAJ, CHEM ABS Data, WPI Data, BIOSIS

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
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[X] Further documents are listed in the continuation of box C.

[X] Patent family members are listed in annex.

° Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
22 November 2000	05/12/2000

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL – 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Frelon, D

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INTERNATIONAL SEARCH REPORT

International Application No
PC[redacted] 00/08268

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication,where appropriate, of the relevant passages	Relevant to claim No.
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Y	WO 97 10215 A (BASF AG ;WAGNER OLIVER (DE); WETTERICH FRANK (DE); EICKEN KARL (DE) 20 March 1997 (1997-03-20) page 32 -page 33; table 4	1-3

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Form PCT/ISA/210 (continuation of second sheet) (July 1992)

INTERNATIONAL SEARCH REPORT

[redacted] Application No
PC[redacted] 00/08268

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication,where appropriate, of the relevant passages	Relevant to claim No.
A	PATENT ABSTRACTS OF JAPAN vol. 007, no. 114 (C-166), 18 May 1983 (1983-05-18) -& JP 58 035174 A (ISHIHARA SANGYO KK), 1 March 1983 (1983-03-01) abstract	1-3

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FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Present claims 1-3 relate to an extremely large number of possible compounds. Support within the meaning of Article 6 PCT and disclosure within the meaning of Article 5 PCT is to be found, however, for only a very small proportion of the compounds claimed. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Consequently, the search has been carried out for those parts of the claims which appear to be supported and disclosed, namely the examples and closely related homologues wherein A1 represents 3-chloro-5-trifluoro-pyrid-2-yl and A2 is (opt. substit.) phenyl, pyridyl, pyrimidyl, pyrazinyl, furanyl, thienyl, (iso)thiazolyl, (iso)oxazolyl.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

INTERNATIONAL SEARCH REPORT

Information on patent family members

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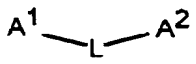
Fungicides

This invention relates to compounds having fungicidal activity.

In a first aspect the invention provides the use of a compound of general formula I or salts thereof as phytopathogenic fungicides



(I)

where

A^1 is 2-pyridyl or its *N*-oxide, each of which may be substituted by up to four groups at least one of which is haloalkyl;

A^2 is optionally substituted heterocyclyl or optionally substituted carbocyclyl (A^2 is preferably phenyl, cyclohexyl, cyclopropyl or heterocyclyl, each of which may be substituted);

L is a 3-atom linker selected from the list: $-CH(R^1)N(R^3)CH(R^2)-$, $-N(R^3)N(R^4)C(=X)-$, $-C(=X)N(R^3)CH(R^1)-$, $-CH(R^1)OC(=X)-$, $-CH(R^1)OCH(R^2)-$, $-N(R^3)C(=X)N(R^4)-$, $-C(R^1)=C(R^2)C(=X)-$, $-C(R^1)=N-N(R^3)-$, $-CH(R^1)N=C(R^2)-$, $-O-N=C(R^1)-$, $-O-N(R^3)C(=X)-$, $-N(R^3)N(R^4)CH(R^1)$, $-N(R^3)C(Y)=N-$, $-N=C(Y)-N(R^3)-$, $-N(R^3)N=C(Y)-$, $-C(=X)-N(R^3)N(R^4)-$, $-C(Y)=N-N(R^4)-$ and $-N(R^3)CH(R^1)C(=X)-$; wherein A^1 is attached to the left hand side of linker L (L is preferably selected from the list: $-CH(R^1)N(R^3)CH(R^2)-$, $-N(R^3)N(R^4)C(=X)-$, $-C(=X)N(R^3)CH(R^1)-$, $-CH(R^1)OC(=X)-$, $-CH(R^1)OCH(R^2)-$, $-N(R^3)C(=X)N(R^4)-$, $-C(R^1)=C(R^2)C(=X)-$, $-C(R^1)=N-N(R^3)-$, $-CH(R^1)N=C(R^2)-$, $-O-N=C(R^1)-$, $-O-N(R^3)C(=X)-$);

where R^1 and R^2, which may be the same or different, are R^b, cyano, nitro, halogen, $-OR^b$, $-SR^b$ or optionally substituted amino (R^1 and R^2 are preferably hydrogen, acyl, optionally substituted alkyl, cyano or optionally substituted phenyl);

R^3 and R^4, which may be the same or different, are R^b, cyano or nitro (R^3 and R^4 are preferably hydrogen, acyl or optionally substituted alkyl);

or any R^1, R^2, R^3 or R^4 group, together with the interconnecting atoms, can form a 5- or 6-membered ring with any other R^1, R^2, R^3 or R^4, or any R^1, R^2, R^3 or R^4 group, together with the interconnecting atoms can form a 5- or 6-membered ring with A^2;

X is oxygen, sulfur, N-OR^b, N-R^b or N-$N(R^b)_2$ (X is preferably oxygen or sulfur); and

Y is halogen, $-OR^b$, $-SR^b$, $-N(R^b)_2$, $-NR^b(OR^b)$ or $-NR^bN(R^b)_2$;

wherein R^b is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted; or hydrogen or acyl, or two adjacent R^b groups together with the nitrogen atom to which they are attached may form a 5- or 6-membered ring.

Preferred substituents on the 2-pyridyl group (A^1) are halogen, hydroxy, cyano, nitro, SF_5, trialkylsilyl, optionally substituted amino, acyl, or a group $-R^a$, $-OR^a$ or $-SR^a$, or a group $-C(R^a)=N-Q$, where Q is $-R^a$, $-OR^a$, $-SR^a$ or optionally substituted amino, wherein R^a is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted; or two adjacent substituents together with the atoms to which they are attached form an optionally substituted ring which can contain up to 3 hetero atoms. Especially preferred substituents are alkoxy, alkyl, cyano, halogen, nitro, alkoxycarbonyl, alkylsulfinyl, alkylsulfonyl and trifluoromethyl, particularly chlorine and trifluoromethyl.

Preferably, the 2-pyridyl group is substituted at the 3 and/or 5 position.

The invention also includes any of the compounds specifically exemplified hereinafter.

Any alkyl group may be straight or branched and is preferably of 1 to 10 carbon atoms, especially 1 to 7 and particularly 1 to 5 carbon atoms.

Any alkenyl or alkynyl group may be straight or branched and is preferably of 2 to 7 carbon atoms and may contain up to 3 double or triple bonds which may be conjugated, for example vinyl, allyl, butadienyl or propargyl.

Any carbocyclyl group may be saturated, unsaturated or aromatic, and contain 3 to 8 ring-atoms. Preferred saturated carbocyclyl groups are cyclopropyl, cyclopentyl or cyclohexyl. Preferred unsaturated carbocyclyl groups contain up to 3 double bonds. A preferred aromatic carbocyclyl group is phenyl. The term carbocylic should be similarly construed. In addition, the term carbocyclyl includes any fused combination of carbocyclyl groups, for example naphthyl, phenanthryl, indanyl and indenyl.

Any heterocyclyl group may be saturated, unsaturated or aromatic, and contain 5 to 7 ring-atoms up to 4 of which may be hetero-atoms such as nitrogen, oxygen and sulfur. Examples of heterocyclyl groups are furyl, thienyl, pyrrolyl, pyrrolinyl, pyrrolidinyl, imidazolyl, dioxolanyl, oxazolyl, thiazolyl, imidazolyl, imidazolinyl, imidazolidinyl, pyrazolyl, pyrazolinyl, pyrazolidinyl, isoxazolyl, isothiazolyl, oxadiazolyl, triazolyl, thiadiazolyl, pyranyl, pyridyl, piperidinyl, dioxanyl, morpholino, dithianyl, thiomorpholino, pyridazinyl, pyrimidinyl, pyrazinyl, piperazinyl, sulfolanyl, tetrazolyl, triazinyl, azepinyl, oxazepinyl, thiazepinyl, diazepinyl and thiazolinyl. In addition, the term heterocyclyl includes fused heterocyclyl groups, for example benzimidazolyl, benzoxazolyl, imidazopyridinyl, benzoxazinyl, benzothiazinyl, oxazolopyridinyl, benzofuranyl, quinolinyl, quinazolinyl, quinoxalinyl, dihydroquinazolinyl, benzothiazolyl, phthalimido, benzofuranyl, benzodiazepinyl, indolyl and isoindolyl. The term heterocyclic should be similarly construed.

Any alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl group, when substituted, may be substituted by one or more substituents, which may be the same or different, and may be selected from the list: hydroxy; mercapto; azido; nitro; halogen; cyano; acyl; optionally substituted amino; optionally substituted carbocyclyl; optionally substituted heterocyclyl; cyanato; thiocyanato; $-SF_5$; $-OR^a$; $-SR^a$ and $-Si(R^a)_3$, where R^a is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted. In the case of any carbocyclyl or heterocyclyl group the list includes additionally: alkyl, alkenyl and alkynyl, each of which may be substituted. Preferred substituents on any alkyl, alkenyl or alkynyl group are alkoxy, haloalkoxy or alkylthio, each containing 1 to 5 carbon atoms; halogen; or optionally substituted phenyl. Preferred substituents on any carbocyclyl or heterocyclyl

group are alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio, each containing 1 to 5 carbon atoms; halogen; or optionally substituted phenyl.

In the case of any alkyl group or any unsaturated ring-carbon in any carbocyclyl or heterocyclyl group the list includes a divalent group such as oxo or imino, which may be substituted by optionally substituted amino, R^a or $-OR^a$. Preferred groups are oxo, imino, alkylimino, oximino, alkyloximino or hydrazono.

Any amino group, when substituted and where appropriate, may be substituted by one or two substituents which may be the same or different, selected from the list: optionally substituted alkyl, optionally substituted amino, $-OR^a$ and acyl groups. Alternatively two substituents together with the nitrogen to which they are attached may form a heterocyclyl group, preferably a 5 to 7-membered heterocyclyl group, which may be substituted and may contain other hetero atoms, for example morpholino, thiomorpholino or piperidinyl.

The term acyl includes the residues of sulfur and phosphorus-containing acids as well as carboxylic acids. Typically the residues are covered by the general formulae $-C(=X^a)R^c$, $-S(O)_pR^c$ and $-P(=X^a)(OR^a)(OR^a)$, where appropriate X^a is O or S, R^c is as defined for R^a, $-OR^a$, $-SR^a$, optionally substituted amino or acyl; and p is 1 or 2. Preferred groups are $-C(=O)R^d$, $-C(=S)R^d$, and $-S(O)_pR^d$ where R^d is alkyl, C_1 to C_5 alkoxy, C_1 to C_5 alkylthio, phenyl, heterocyclyl or amino, each of which may be substituted.

Complexes of compounds of the invention are usually formed from a salt of formula MAn_2, in which M is a divalent metal cation, e.g. copper, manganese, cobalt, nickel, iron or zinc and An is an anion, e.g. chloride, nitrate or sulfate.

In cases where the compounds of the invention exist as the E and Z isomers, the invention includes individual isomers as well as mixtures thereof.

In cases where compounds of the invention exist as tautomeric isomers, the invention includes individual tautomers as well as mixtures thereof.

In cases where the compounds of the invention exist as optical isomers, the invention includes individual isomers as well as mixtures thereof.

The compounds of the invention have activity as fungicides, especially against fungal diseases of plants, e.g. mildews and particularly cereal powdery mildew (*Erysiphe graminis*) and vine downy mildew (*Plasmopara viticola*), rice blast (*Pyricularia oryzae*), cereal eyespot (*Pseudocercosporella herpotrichoides*), rice sheath blight (*Pellicularia sasakii*), grey mould (*Botrytis cinerea*), damping off (*Rhizoctonia solani*), wheat brown rust (*Puccinia recondita*), late tomato or potato blight (*Phytophthora infestans*), apple scab (*Venturia inaequalis*), and glume blotch (*Leptosphaeria nodorum*). Other fungi against which the compounds may be active include other powdery mildews, other rusts, and other general pathogens of Deuteromycete, Ascomycete, Phycomycete and Basidomycete origin.

The invention thus also provides a method of combating fungi at a locus infested or liable to be infested therewith, which comprises applying to the locus a compound of formula I.

The invention also provides an agricultural composition comprising a compound of formula I in admixture with an agriculturally acceptable diluent or carrier.

The composition of the invention may of course include more than one compound of the invention.

In addition, the composition can comprise one or more additional active ingredients, for example compounds known to possess plant-growth regulant, herbicidal, fungicidal, insecticidal, acaricidal, antimicrobial or antibacterial properties. Alternatively the compound of the invention can be used in sequence with the other active ingredient.

The diluent or carrier in the composition of the invention can be a solid or a liquid optionally in association with a surface-active agent, for example a dispersing agent, emulsifying agent or wetting agent. Suitable surface-active agents include anionic compounds such as a carboxylate, for example a metal carboxylate of a long chain fatty acid; an *N*-acylsarcosinate; mono- or di-esters of phosphoric acid with fatty alcohol ethoxylates or alkyl phenol ethoxylates or salts of such esters; fatty alcohol sulfates such as sodium dodecyl sulfate, sodium octadecyl sulfate or sodium cetyl sulfate; ethoxylated fatty

alcohol sulfates; ethoxylated alkylphenol sulfates; lignin sulfonates; petroleum sulfonates; alkyl-aryl sulfonates such as alkyl-benzene sulfonates or lower alkylnaphthalene sulfonates, e.g. butyl-naphthalene sulfonate; salts of sulfonated naphthalene-formaldehyde condensates; salts of sulfonated phenol-formaldehyde condensates; or more complex sulfonates such as the amide sulfonates, e.g. the sulfonated condensation product of oleic acid and *N*-methyl taurine; the dialkyl sulfosuccinates, e.g. the sodium sulfonate of dioctyl succinate; acid derivatives of alkyl glycosides and alkylpolyglycosides materials and their metal salts, e.g. alkyl polyglycoside citrate or tartrate materials; or mono-, di- and tri-alkyl esters of citric acid and their metal salts.

Nonionic agents include condensation products of fatty acid esters, fatty alcohols, fatty acid amides or fatty-alkyl- or alkenyl-substituted phenols with ethylene and/or propylene oxide; fatty esters of polyhydric alcohol ethers. e.g. sorbitan fatty acid esters; condensation products of such esters with ethylene oxide, e.g. polyoxyethylene sorbitan fatty acid esters; alkyl glycosides, alkyl polyglycoside materials; block copolymers of ethylene oxide and propylene oxide; acetylenic glycols such as 2,4,7,9-tetramethyl-5-decyne-4,7-diol, ethoxylated acetylenic glycols; acrylic based graft copolymers; alkoxylated siloxane surfactants; or imidazoline type surfactants, e.g. 1-hydroxyethyl-2-alkylimidazoline.

Examples of a cationic surface-active agent include, for instance, an aliphatic mono-, di-, or polyamine as an acetate, naphthenate or oleate; an oxygen-containing amine such as an amine oxide, polyoxyethylene alkylamine or polyoxypropylene alkylamine; an amide-linked amine prepared by the condensation of a carboxylic acid with a di- or polyamine; or a quaternary ammonium salt.

The compositions of the invention can take any form known in the art for the formulation of agrochemicals, for example, a solution, an aerosol, a dispersion, an aqueous emulsion, a microemulsion, a dispersible concentrate, a dusting powder, a seed dressing, a fumigant, a smoke, a dispersible powder, an emulsifiable concentrate, granules or an impregnated strip. Moreover it can be in a suitable form for direct application or as a concentrate or primary composition which requires dilution with a suitable quantity of water or other diluent before application.

A dispersible concentrate comprises a compound of the invention dissolved in one or more water miscible or semi-water miscible solvents together with one or more surface activeand/or polymeric material. Addition of the formulation to water results in the crystalisation of the active ingredient, the process being controlled by the surfactants and/or polymers resulting in a fine dispersion.

A dusting powder comprises a compound of the invention intimately mixed and ground with a solid pulverulent diluent, for example, kaolin.

An emulsifiable concentrate comprises a compound of the invention dissolved in a water-immiscible solvent which forms an emulsion or microemulsion on addition to water in the presence of an emulsifying agent.

A granular solid comprises a compound of the invention associated with similar diluents to those that may be employed in dusting powders, but the mixture is granulated by known methods. Alternatively it comprises the active ingredient absorbed or coated on a pre-formed granular carrier, for example, Fuller's earth, attapulgite, silica or limestone grit.

Wettable powders, granules or grains usually comprise the active ingredient in admixture with suitable surfactants and an inert powder diluent such as clay or diatomaceous earth.

Another suitable concentrate is a flowable suspension concentrate which is formed by grinding the compound with water or other liquid, surfactants and a suspending agent.

The concentration of the active ingredient in the composition of the present invention, as applied to plants is preferably within the range of 0.0001 to 1.0 per cent by weight, especially 0.0001 to 0.01 per cent by weight. In a primary composition, the amount of active ingredient can vary widely and can be, for example, from 5 to 95 per cent by weight of the composition.

The invention is generally applied to seeds, plants or their habitat. Thus, the compound can be applied directly to the soil before, at or after drilling so that the presence of active compound in the soil can control the growth of fungi which may attack seeds. When the soil is treated directly the active compound can be applied in any manner which allows it to

be intimately mixed with the soil such as by spraying, by broadcasting a solid form of granules, or by applying the active ingredient at the same time as drilling by inserting it in the same drill as the seeds. A suitable application rate is within the range of from 5 to 1000 g per hectare, more preferably from 10 to 500 g per hectare.

Alternatively the active compound can be applied directly to the plant by, for example, spraying or dusting either at the time when the fungus has begun to appear on the plant or before the appearance of fungus as a protective measure. In both such cases the preferred mode of application is by foliar spraying. It is generally important to obtain good control of fungi in the early stages of plant growth, as this is the time when the plant can be most severely damaged. The spray or dust can conveniently contain a pre- or post-emergence herbicide if this is thought necessary. Sometimes, it is practicable to treat the roots, bulbs, tubers or other vegetative propagule of a plant before or during planting, for example, by dipping the roots in a suitable liquid or solid composition. When the active compound is applied directly to the plant a suitable rate of application is from 0.025 to 5 kg per hectare, preferably from 0.05 to 1 kg per hectare.

In addition, the compounds of the invention can be applied to harvested fruits, vegetables or seeds to prevent infection during storage.

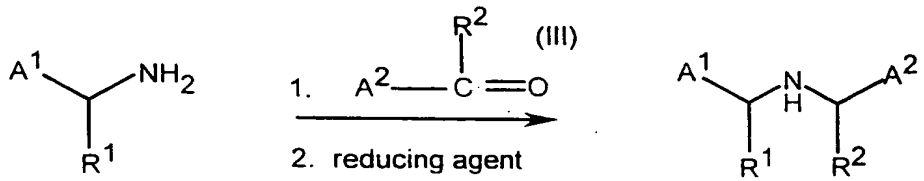
In addition, the compounds of the invention can be applied to plants or parts thereof which have been genetically modified to exhibit a trait such as fungal and/or herbicidal resistance.

In addition the compounds of the invention can be used to treat fungal infestations in timber and in public health applications. Also the compounds of the invention can be used to treat insect and fungus infestations in domestic and farm animals.

Compounds of the invention may be prepared, in known manner, in a variety of ways.

Compounds of formula Iai, i.e. compounds of general formula I where L is $-CH(R^1)NHCH(R^2)-$, may be prepared according to reaction scheme 1. Compounds of formula II or their hydrochloride salts can be condensed with compounds of formula III and the intermediate reduced with a suitable reagent such as sodium cyanoborohydride to give compounds of formula Iai.

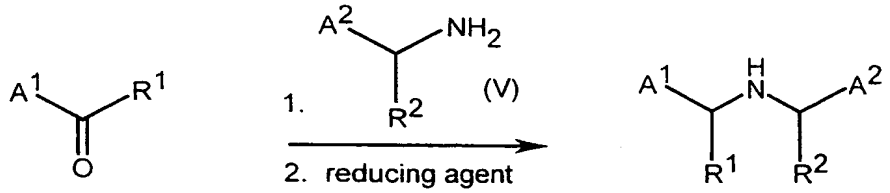
Scheme 1



Compounds of formula II may be prepared by methods described in international application PCT/GB/99/00304.

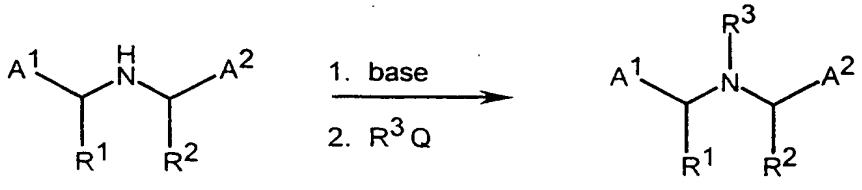
Compounds of formula Iai may also be prepared by reacting compounds of formula IV with compounds of formula V in the same manner as above (Scheme 2).

Scheme 2



Compounds of formula Iaii, i.e. compounds of general formula I where L is $-CH(R^1)N(R^3)CH(R^2)-$ and R^3 is not hydrogen, may be prepared by reacting compounds of formula Iai with a base and R^3Q, where Q is a leaving group such as a halogen. A suitable base is triethylamine (Scheme 3).

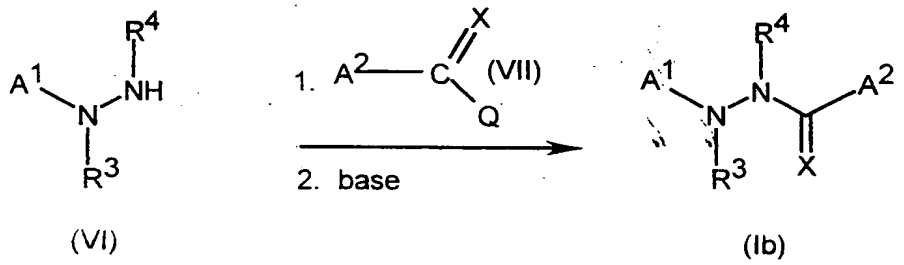
Scheme 3



Compounds of formula Ib, i.e. compounds of general formula I where L is $-N(R^3)N(R^4)C(=X)-$, may be prepared according to reaction scheme 4 by reacting

compounds of formula VI with compounds of formula VII, where Q is a leaving group such as halogen, preferably chlorine. A preferred base is triethylamine.

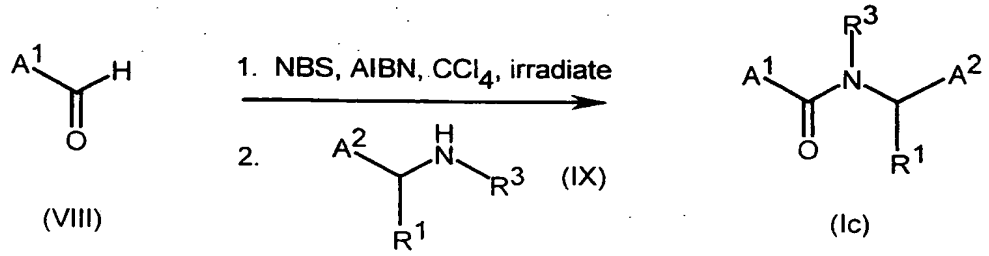
Scheme 4



(VI) (Ib)

Compounds of formula Ic, i.e. compounds of general formula I where L is $-C(=O)N(R^3)CH(R^1)-$, may be prepared by radical bromination of compounds of formula VIII, followed by reaction of these intermediates with compounds of formula IX according to scheme 5. Preferred reaction conditions are irradiation of a solution of VIII in carbon tetrachloride in the presence of *N*-bromosuccinimide and a catalytic amount of 2,2'-azobisisobutyronitrile, followed by addition of IX..

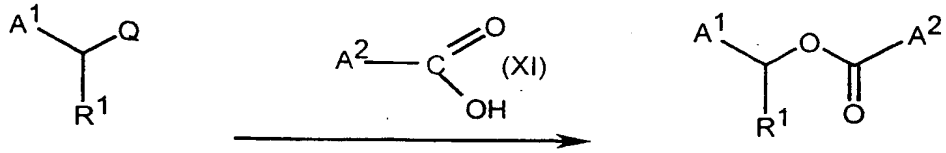
Scheme 5



(VIII) (Ic)

Compounds of formula Id, i.e. compounds of general formula I where L is $-CH(R^1)O(C=O)-$, may be prepared according to reaction scheme 6 by formation of the cesium salt of compounds of formula XI, followed by reaction with compounds of formula X where Q is a suitable leaving group, such as chlorine.

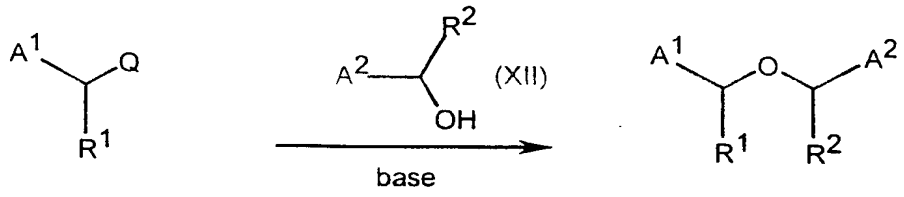
Scheme 6



(X) (Id)

Compounds of formula Ie, i.e. compounds of general formula I where L is -CH(R^1)OCH(R^2)-, may be prepared by reaction of compounds of formula XII with a suitable base such as sodium hydride, followed by reaction of the resulting anion with compounds of formula X, where Q is a suitable leaving group such as halogen, according to reaction scheme 7.

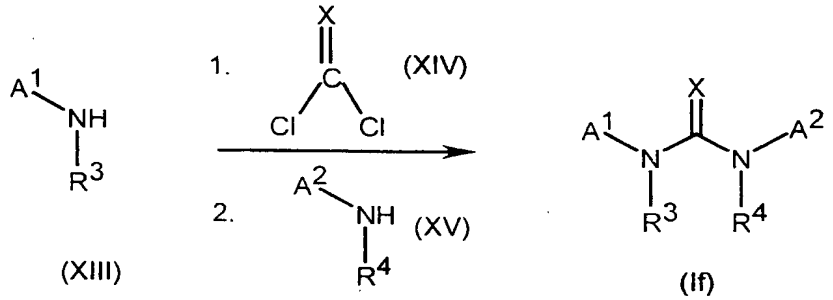
Scheme 7



(X) (Ie)

Compounds of formula If, i.e. compounds of general formula I where L is -N(R^3)C(=X)N(R^4)- and X is O or S, may be prepared according to reaction scheme 8 by reaction of compounds of formula XIII with compounds of formula XIV, where X is O or S, followed by the addition of compounds of formula XV. The order of addition of compounds of formulae XIII and XV may be reversed.

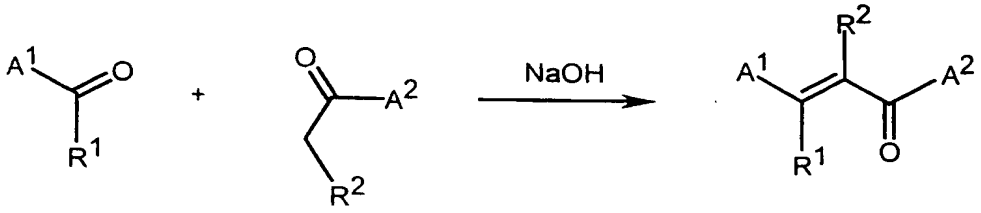
Scheme 8



(XIII) (If)

Compounds of formula Ig, i.e. compounds of general formula I where L is -C(R^1)=C(R^2)C(=O)-, may be prepared according to reaction scheme 9 by reaction of compounds of formula XVI with compounds of formula XVII in the presence of sodium hydroxide.

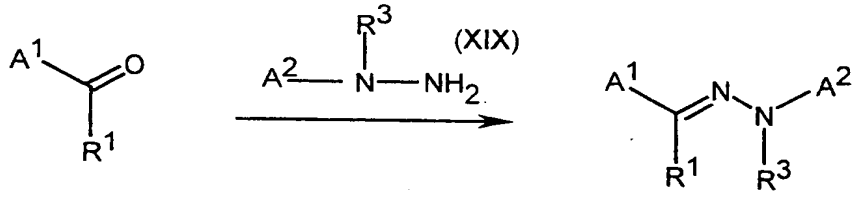
Scheme 9



(XVI) (XVII) (Ig)

Compounds of formula Ih, i.e. compounds of general formula I where L is -C(R^1)=N-N(R^3)-, may be prepared by reacting compounds of formula XVIII with compounds of formula XIX according to reaction scheme 10.

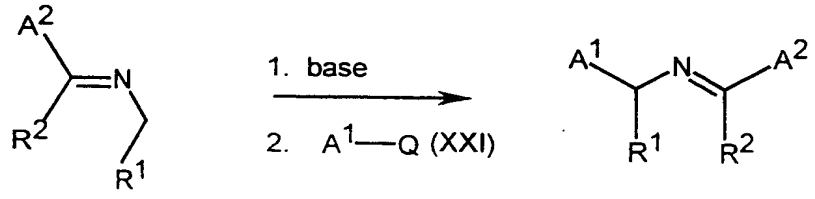
Scheme 10



(XVIII) (Ih)

Compounds of formula Ii, i.e. compounds of general formula I where L is -CH(R^1)N=C(R^2)-, may be prepared according to reaction scheme 11 by reacting compounds of formula XX with a base, followed by reaction with compounds of formula XXI, where Q is a suitable leaving group, preferably chlorine. A suitable base is sodium hydride. Compounds of formula XX are known or can be prepared in a known manner by a skilled chemist.

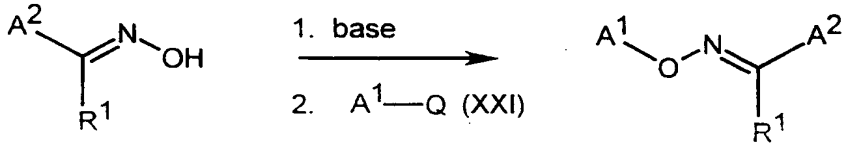
Scheme 11



(XX) (Ii)

Compounds of formula Ij, i.e. compounds of general formula I where L is -O-N=C(R^1)-, may be prepared according to reaction scheme 12 by the reaction of compounds of formula XXII with a base, followed by reaction with compounds of formula XXI, where Q is a suitable leaving group, preferably chlorine. A suitable base is sodium hydride.

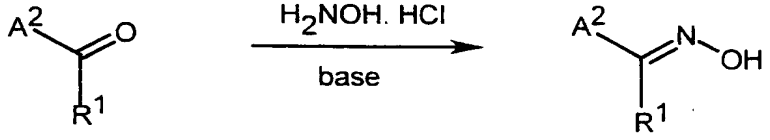
Scheme 12



(XXII) (Ij)

Compounds of formula XXII may be prepared according to reaction scheme 13.

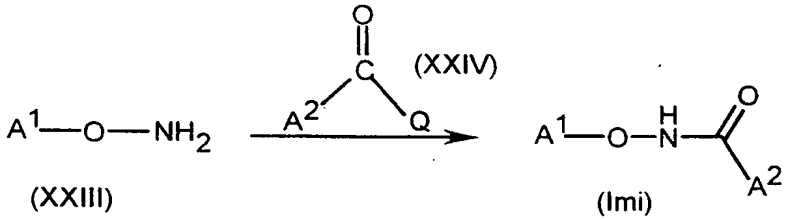
Scheme 13



(XXII)

Compounds of formula Imi, i.e. compounds of general formula I where L is -O-NHC(=O)-, may be prepared according to reaction scheme 14 by the reaction of compounds of formula XXIII with compounds of formula XXIV, where Q is a suitable leaving group.

Scheme 14

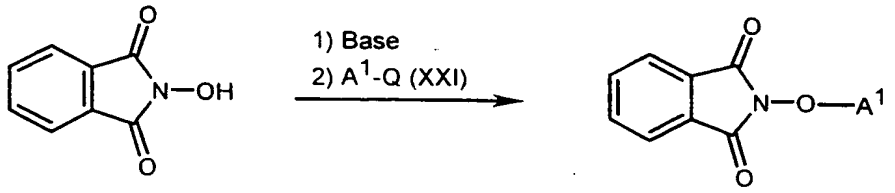


(XXIII) (Imi)

Compounds of formula XXIV can be prepared from the corresponding hydroxy compounds by methods known to the skilled chemist. Compounds of formula XXIV can be isolated and used according to scheme 14 or generated *in situ* and used without isolation. A typical method, known to the skilled chemist, uses carbonyldiimidazole to generate compounds of formula XXIV *in situ*.

Compounds of formula XXIII can be prepared according to reaction scheme 15.

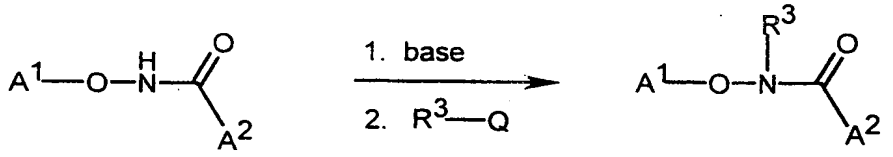
Scheme 15



(XXIII)

Compounds of formula Imii, i.e. compounds of general formula I where L is -O-N(R^3)C(=O)- wherein R^3 is not hydrogen, may be prepared by reaction of compounds of formula Imi with a base, followed by reaction with R^3Q, where Q is a suitable leaving group, such as a halogen. A suitable base is potassium *tert*-butoxide (Scheme 16).

Scheme 16



(Imi) (Imii)

Other methods will be apparent to the chemist skilled in the art, as will be the methods for preparing starting materials and intermediates.

Collections of compounds of formula I may also be prepared in a parallel manner, either manually, automatically or semi-automatically. This parallel preparation may be applied to the reaction procedure, work-up or purification of products or intermediates. For a review of such procedures see by S.H. DeWitt in "Annual Reports in Combinatorial Chemistry and Molecular Diversity: Automated synthesis", Volume 1, Verlag Escom 1997, pages 69 to 77.

Furthermore, compounds of the formula I may be prepared using solid-supported methods, where the reactants are bound to a synthetic resin. See for example: Barry A. Bunin in "The

Combinatorial Index", Academic Press, 1998 and "The tea-bag method" (Houghten, US 4,631,211; Houghten et al., Proc. Natl. Acad. Sci, 1985, 82, 5131-5135).

The invention is illustrated in the following Examples. Structures of isolated, novel compounds were confirmed by NMR and/or other appropriate analyses.

Example 1

N-(2-Chlorobenzyl)-*N*-{1-[3-chloro-5-(trifluorormethyl)-2-pyridyl]ethyl}amine (Compound 27)

α-Methyl-[3-chloro-5-(trifluoromethyl)-2-pyridyl]methylamine (0.2 g) was dissolved in trimethylorthoformate (10 ml) and triethylamine (0.22 ml) was added. After 5 minutes 2-chlorobenzaldehyde (0.26 g) was added and the resulting mixture stirred for 3.5 hours at room temperature to give a precipitate. Sodium cyanoborohydride (1.5 ml, 0.1M solution in tetrahydrofuran) and acetic acid (0.1 ml) were then added and the mixture stirred for 16 hours at room temperature. Brine (5 ml) and water (10 ml) were then added and the mixture stirred for 20 minutes. The phases were separated and the organic phase evaporated. The residue was purified by silica gel chromatography to give the title product, m.p.117 °C.

Example 2

N-{[3-Chloro-5-(trifluoromethyl)-2-pyridyl]methyl}-N-[1-(3,4-difluorophenyl)-ethyl]amine (Compound 23)

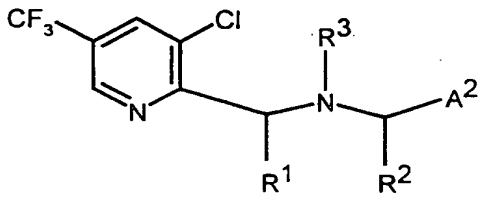
Triethylamine (0.08 ml) and 1-(3,4-difluorophenyl)-1-ethanamine (0.11 g) were dissolved in trimethylorthoformate (10 ml). 3-Chloro-(5-trifluoromethyl)-pyridine-2-carboxaldehyde (0.15 g) was added and the solution stirred for 4 hours at room temperature. Sodium cyanoborohydride (1 ml, 0.1M solution in tetrahydrofuran) and acetic acid (0.07 ml) were then added and the mixture stirred for 16 hours at room temperature. Brine (5 ml) and water (10 ml) were then added and the mixture stirred for 20 minutes. The phases were separated and the organic phase evaporated. The crude material was purified by silica gel chromatography to give the title product, ^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.4 (3H, d), 2.6 (1H, broad s), 3.8 (1H, q), 3.9 (1H, s), 7.1–7.3 (3H, m), 7.9 (1H, s) and 8.8 (1H, s).

Example 3

Ethyl 2-[acetyl(benzyl)amino]-2-[3-chloro-5-(trifluoromethyl)-2-pyridyl]acetate (Compound 4)

To a solution of compound 1 (0.6 mmol) in diethyl ether was added triethylamine (0.7 mmol) followed by acetyl chloride in diethyl ether (0.7 mmol). The mixture was stirred for two hours at room temperature before the addition of hydrochloric acid (8 ml, 2M). The organic phase was isolated, washed with sodium bicarbonate (10ml), dried over magnesium sulfate and evaporated to yield the title compound, ^{1}H N.M.R ($CDCl_3$) (ppm) δ1.2 (3H, t), 2.3 (3H, s), 4.2 (2H, q), 4.8 (2H, q), 6.8-7.1 (6H, m), 7.5 (1H, s) and 8.5 (1H, s).

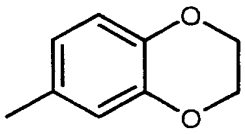
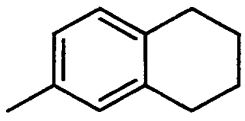
The following compounds of formula Iz (see Table A), i.e. compounds of general formula I where A^1 is 3-Cl-5-CF_3-2-pyridyl and L is –$CH(R^1)N(R^3)CH(R^2)$-, may be prepared by methods analogous to those of Examples 1, 2 and 3. The amine starting materials were obtained using methods described in international application PCT/GB/99/00304.

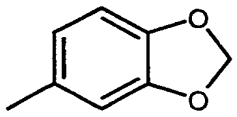


(Iz)

Table A

Cmp	R^1	R^2	R^3	A^2	m.p./°C
1	EtOC(=O)-	H	H	phenyl	oil
2	EtOC(=O)-	H	H	2-Cl-phenyl	oil
3	EtOC(=O)-	H	H	3,4-methylenedioxyphenyl	oil
4	EtOC(=O)-	H	MeC(=O)-	phenyl	oil
5	EtOC(=O)-	H	$MeOCH_2C(=O)$-	phenyl	oil
6	EtOC(=O)-	H	MeOC(=O)-C(=O)-	phenyl	104-7
7	EtOC(=O)-	H	MeC(=O)-	2-Cl-phenyl	77-81
8	EtOC(=O)-	H	$MeOCH_2C(=O)$-	2-Cl-phenyl	oil
9	EtOC(=O)-	H	MeOC(=O)-C(=O)-	2-Cl-phenyl	128-31
10	EtOC(=O)-	H	MeC(=O)-	3,4-methylenedioxyphenyl	oil

Cmp	R^1	R^2	R^3	A^2	m.p./°C
11	EtOC(=O)-	H	$MeOCH_2C(=O)$-	3,4-methylenedioxyphenyl	oil
12	EtOC(=O)-	H	MeOC(=O)-C(=O)-	3,4-methylenedioxyphenyl	106-9
13	H	$MeOC(=O)CH_2$-	H	phenyl	oil
14	H	$EtOC(=O)CH_2$-	H	phenyl	oil
15	H	H	H	phenyl	oil
16	H	H	H	2-Cl-6-F-phenyl	oil
17	H	Me	H	2-Cl-phenyl	oil
18	H	Me	H	2,6-diF-phenyl	oil
19	H	Me	H		oil
20	H	Me	H	4-tolyl	oil
21	H	H	H	2,5-diF-phenyll	oil
22	H	Me	H	4-NO_2-phenyl	oil
23	H	Me	H	3,4-diF-phenyl	oil
24	H	H	H	2-Cl-phenyl	oil
25	H	H	H	4-PhO-phenyl	oil
26	H	H	H	2-NO_2-phenyl	oil
27	Me	H	H	2-Cl-phenyl	117
28	Me	H	H	2-NO_2-phenyl	136
29	H	Me	H	phenyl	oil
30	H	Me	H	3-CF_3O-phenyl	oil
31	H	Me	H	4-CF_3O-phenyl	oil
32	H	Me	H		oil
33	H	Me	H	4-Cl-phenyl	oil
34	H	Me	H	4-Br-phenyl	oil
35	Me	H	H	cyclohexyl	oil
36	Me	H	H	2-F-phenyl	oil
37	Me	H	H	4-Cl-phenyl	oil
38	Me	H	H	2,5-diMeO-phenyl	oil

Cmp	R^1	R^2	R^3	A^2	m.p./°C
39	Me	H	H	2-Cl-6-F-phenyl	oil
40	Me	H	H	2-Br-phenyl	oil
41	Me	H	H	3-CF_3O-phenyl	oil
42	Me	H	H	4-MeS-phenyl	oil
43	Me	H	H	2,5-xylyl	oil
44	H	H	H	cyclohexyl	oil
45	H	H	H	3-Br-phenyl	oil
46	H	H	H	4-Me_2N-phenyl	oil
47	H	H	H	4-Cl-phenyl	oil
48	H	H	H	2-F-phenyl	oil
49	H	H	H	2,5-diMeO-phenyl	oil
50	H	H	H	2-Br-phenyl	oil
51	H	H	H	4-NO_2-phenyl	oil
52	H	H	H	2,5-xylyl	oil
53	H	Me	H		oil
54	H	H	H	pentaF-phenyl	oil

The ^1H N.M.R. or mass spectral data of those compounds in Table A which were not solid at room temperature are presented below.

Compound 1

^1H N.M.R ($CDCl_3$) δ (ppm) 1.2 (3H, t), 2.9 (1H, broad s), 3.8 (1H, q), 4.2 (2H, m), 5.0 (1H, s), 7.4-7.2 (5H, m), 7.9 (1H, s), 8.7 (1H, s).

Compound 2

^1H N.M.R ($CDCl_3$) δ (ppm) 1.2 (3H, t), 3.1 (1H, broad s), 4.0 (2H, q), 4.2 (2H, m), 5.1 (1H, s), 7.5-7.2 (4H, m), 8.0 (1H, s), 8.7 (1H, s).

Compound 3

^{1}H N.M.R ($CDCl_3$) δ (ppm) 1.2 (3H, t), 2.4 (1H, broad s), 3.8 (2H, q), 4.2 (2H, m), 5.0 (1H, s), 5.9 (2H, s), 6.74 (1H, d), 6.76 (1H, s), 6.83 (1H, s), 7.9 (1H, s), 8.7 (1H, s).

Compound 4

^{1}H N.M.R ($CDCl_3$) δ (ppm) 1.2 (3H, t), 2.3 (3H, s), 4.2 (2H, q), 4.8 (2H, q), 6.8-7.1 (6H, m), 7.5 (1H, s), 8.5 (1H, s).

Compound 5

m/z (APCI) 445 $(M+H)^+$.

Compound 8

m/z (APCI) 479 $(M+H)^+$.

Compound 10

m/z (APCI) 487 (M^-)

Compound 11

m/z (APCI) 459 $(M+H)^+$.

Compound 13

^{1}H N.M.R ($CDCl_3$) δ(ppm) 2.7 (1H, dd), 2.9 (1H, dd), 3.6 (3H, s), 3.9 (2H, s), 4.2 (1H, m), 7.3 (5H, m), 7.8 (1H, s), 8.8 (1H, m).

Compound 14

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.2 (3H, t), 2.7 (1H, dd), 2.8 (1h, dd), 3.9 (2H, s), 4.1 (2H, q), 4.2 (1H, m), 7.2-7.4 (5H, m), 7.8 (1H, s), 8.8 (1H, s).

Compound 15

^{1}H N.M.R ($CDCl_3$) δ(ppm) 4.2 (2H, s), 5.3 (2H, s), 7.3 (6H, m), 8.8 (1H, s), 8.9 (1H, s).

Compound 16

^{1}H N.M.R ($CDCl_3$) δ(ppm) 2.7 (1H, broad s), 4.05 (4H, s), 6.9-7.2 (3H, m), 7.8 (1H, s), 8.6 (1H, s).

Compound 17

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.4 (3H, d), 2.5 (1H, broad s), 3.9 (2H, m), 4.3 (1H, q), 7.1-7.3 (3H, m) 7.5 (1H, m) 7.8 (1H, s), 8.7 (1H, s).

Compound 18

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.5 (3H, d), 2.6 (1H, broad s), 3.8 (1H, m), 4.0 (1H, m) 4.3 (1H, q), 6.8 (2H, m) 7.1 (1H, m) 7.8 (1H, s) 8.6 (1H, s).

Compound 19

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.4 (3H, d) 2.6 (1H, broad s), 3.8 (1H, q), 4.0 (2H, s), 4.3 (4H, s) 6.8 (2H, s), 6.9 (1H, s), 7.9 (1H, s), 8.7 (1H, s).

Compound 20

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.5 (3H, d), 2.4 (3H, s), 2.8 (1H, broad s), 3.8 (1H, q), 4.0 (2H, m), 7.2 (2H, d), 7.3 (2H, d), 7.8 (1H, s), 8.7 (1H, s).

Compound 21

^{1}H N.M.R ($CDCl_3$) δ(ppm) 2.5 (1H, broad s), 4.0 (2H, s), 4.1 (2H, s), 6.8 (2H, m), 7.2 (1H, m), 7.8 (1H, s), 8.6 (1H, s).

Compound 22

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.4 (3H, d), 2.5 (1H, broad s), 3.86 (2H, s), 3.9 (1H, m), 7.5 (2H, d), 7.8 (1H, s), 8.1 (2H, d), 8.7 (1H, s).

Compound 23

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.4 (3H, d), 2.6 (1H, broad s), 3.8 (1H, q), 3.9 (1H, s), 7.1–7.3 (3H, m), 7.9 (1H, s), 8.8 (1H, s).

Compound 24

^{1}H N.M.R ($CDCl_3$) δ(ppm) 4.1 (4H, m), 4.4 (1H, dd), 4.6 (1H, dd), 6.5 (1H, broad s), 7.2-7.4 (5H, m), 7.8 (1H, s), 8.6 (1H, s).

Compound 25

^{1}H N.M.R ($CDCl_3$) δ(ppm) 3.9 (1H, dd), 4.2 (1H, dd), 4.4 (2H, m), 6.0 (1H, broad s), 6.9-7.0 (5H, m), 7.2-7.4 (4H, m), 8.0 (1H, s), 8.7 (1H, s).

Compound 26

^{1}H N.M.R ($CDCl_3$) δ(ppm) 4.3 (2H, m), 4.7 (2H, m), 7.0 (1H, broad s), 7.6 (1H, m), 7.7 (2H, m), 7.9 (1H, s), 8.2 (1H, m), 8.6 (1H, s).

Compound 29

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.5 (3H, s), 2.6 (1H, broad s), 3.9 (1H, q), 4.0 (2H, s), 7.2 –7.4 (5H, m), 7.8 (1H, s), 8.7 (1H, s).

Compound 30

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.4 (3H, d), 2.6 (1H, broad s), 3.8 (1H, q), 3.9 (2H, s), 4.0 (2H, s), 7.0 (1H, m), 7.2-7.3 (3H, m), 7.8 (1H, s), 8.7 (1H, s).

Compound 31

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.5 (3H, d), 2.7 (1H, broad s), 3.8 (1H, q), 6.5 (1H, m), 7.1 (2H, d), 7.4 (2H, d), 7.9 (1H, s), 8.8 (1H, s).

Compound 32

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.5 (3H, d), 1.8 (4H, m), 2.8 (4H, m), 3.8 (1H, q), 4.0 (2H, s), 7.1 (3H, m), 7.9 (1H, s), 8.8 (1H, s).

Compound 33

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.42 (3H, d), 2.62 (1H, broad, s), 3.83 (1H, q), 3.92 (2H, s), 7.3 (4H, s), 7.82 (1H, s); 8.75 (1H, s).

Compound 34

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.42 (3H, d), 2.58 (1H, s, broad), 3.82 (1H, q), 3.92 (2H, s), 7.25 (2H, m), 7.45 (2H, m), 7.85 (1H, s), 8.72 (1H, s).

Compound 35

^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 1.38 (3H, d), 4.35 (1H, q), 7.85 (1H, s), 8.75 (1H, s).

Compound 36

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.38 (3H, d), 2.35 (1H, broad, s), 3.68 (2H, m), 4.42 (1H, q), 6.95 (1H, m), 7.05 (1H, m), 7.16 (1H, m), 7.32 (1H, m), 7.82 (1H, s), 8.75 (1H, s).

Compound 37

^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 1.38 (3H, d), 3.56 (2H, m), 4.4 (1H, q), 7.88 (1H, s), 8.78 (1H, s).

Compound 39

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.3 (3H, d), 2.7 (1H, broad, s), 3.8 (2H, s), 4.4 (1H. q), 6.75 (1H, m), 6.98 (2H, m), 7.72 (1H, s), 8.55 (1H, s).

Compound 40

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.41 (3H, d), 2.3 (1H, broad, s), 3.72 (2H, m), 4.25 (1H, q), 7.05-7.5 (4H, m), 7.85 (1H, s), 8.75 (1H, s).

Compound 41

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.38 (3H, d), 2.4 (1H, s, broad), 3.6 (2H, m), 4.4 (1H, q), 7.05-7.5 (4H, m), 7.88 (1H, s), 8.78 (1H, s).

Compound 42

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.38 (3H, d), 2.48 (3H, s), 3.6 (2H, m), 4.45 (1H, q), 7.2 (4H, m), 7.88 (1H, s), 8.78 (1H, s).

Compound 43

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.4 (3H, d), 2.25 (3H, s), 2.32 (3H, s), 2.5 (1H, broad, s), 3.58 (2H, m), 4.48 (1H, q), 6.9-7.08 (3H, m), 7.9 (1H, s), 8.78 (1H, s).

Compound 44

^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 2.53 (2H, d), 4.1 (2H, s), 7.85 (1H, s), 8.75 (1H, s).

Compound 45

^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 3.85 (1H, s), 4.1 (1H, s), 7.9 (1H, s), 8.75 (1H, s).

Compound 46

^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 7.8 (1H, s), 8.68 (1H, s), 3.5 (1H, m), 3.9 (2H, m), 4.1 (1H, m).

Compound 47

^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 3.86 (2H, s), 4.08 (2H, s), 7.90 (1H, s), 8.72 (1H, s).

Compound 48

^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 2.65 (1H, s, broad), 3.98 (2H, s), 4.15 (2H, s), 7.9 (1H, s), 8.75 (1H, s).

Compound 49

^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 3.80 (3H, s), 3.85 (3H, s), 6.88 (2H, m), 7.06 (1H, m), 7.90 (1H, s), 8.72 (1H, s).

Compound 50

^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 4.0 (2H, s), 4.1 (2H, s), 7.85 (1H, s), 8.70 (1H, s).

Compound 51

^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 2.65 (1H, broad, s), 3.83 (2H, s), 4.0 (2H, s), 7.8 (1H, s), 8.65 (1H, s).

Compound 52

^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 3.83 (2H, s), 4.18 (2H, s), 7.9 (1H, s), 8.75 (1H, s).

Compound 53

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.4 (3H, d), 2.5 (1H, broad s), 3.8 (1H, q), 3.9 (2H, s), 6.0 (2H, s), 6.8-6.9 (3H, m), 7.9 (1H, s), 8.7 (1H, s).

Compound 54

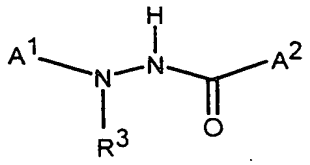
^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 7.75 (1H, s), 8.80 (1H, s).

Example 4

N'-1-[3-Chloro-5-(trifluoromethyl)-2-pyridyl]-2,6-dichloro-1-benzenecarbohydrazide (Compound 102)

3-Chloro-5-(trifluoromethyl)pyrid-2-ylhydrazine (0.32 g) was dissolved in dichloromethane (7 ml) and treated dropwise with 2,6-dichlorobenzoyl chloride (0.31 g) in dichloromethane (2 ml). Triethylamine (0.15 g) was then added and the reaction stirred at room temperature overnight. The organic solution was washed sequentially with sodium bicarbonate solution and brine and evaporated to give a solid. The residue was purified by trituration (dichloromethane) to give the title compound, m.p. 212-5 °C.

The following compounds of formula Iy (see Table B), i.e. compounds of general formula I where L is $-N(R^3)NHC(=O)-$, may be prepared by methods analogous to those of Example 4.



(Iy)

Table B

Cmp	A^1	R^3	A^2	m.p./°C
101	3-Cl-5-CF_3-phenyl	H	2-Cl-phenyl	168-70
102	3-Cl-5-CF_3-phenyl	H	2,6-diCl-phenyl	212-5
103	3-Cl-5-CF_3-phenyl	H	2-NO_2-phenyl	182-3
104	3-Cl-5-CF_3-phenyl	H	2,6-diMeO-phenyl	204-6
105	3-Cl-5-CF_3-phenyl	H	2-tolyl	168-9
106	3-Cl-5-CF_3-phenyl	H	4,6-diMeO-pyrimidin-2-yl	170-1
107	3-Cl-5-CF_3-phenyl	H	cyclopropyl	152-4
108	3-Cl-5-CF_3-phenyl	H	cyclohexyl	111-4
109	3-Cl-5-CF_3-phenyl	Me	2,6-diCl-phenyl	219-20
110	3-Cl-5-CF_3-phenyl	Me	2-NO_2-phenyl	198-9
111	3-Cl-5-CF_3-phenyl	Me	2,6-diMeO-phenyl	234-6
112	3-Cl-5-CF_3-phenyl	Me	2-tolyl	202-4
113	3-Cl-5-CF_3-phenyl	Me	2-Cl-6-F-phenyl	207-8
114	3-Cl-5-CF_3-phenyl	Me	4,6-diMeO-pyrimidin-2-yl	178-80
115	3-Cl-5-CF_3-phenyl	Me	cyclopropyl	159-60
116	3-Cl-5-CF_3-phenyl	Me	cyclohexyl	216-9
117	5-Cl-3-CF_3-phenyl	H	2,6-diCl-phenyl	199-203
118	5-Cl-3-CF_3-phenyl	H	2-NO_2-phenyl	156-8
119	5-Cl-3-CF_3-phenyl	H	2,6-diMeO-phenyl	194-5
120	5-Cl-3-CF_3-phenyl	H	2-tolyl	180-1
121	5-Cl-3-CF_3-phenyl	H	2-Cl-6-F-phenyl	173-5
122	5-Cl-3-CF_3-phenyl	H	4,6-diMeO-pyrimidin-2-yl	158
123	5-Cl-3-CF_3-phenyl	H	cyclopropyl	143-5
124	5-Cl-3-CF_3-phenyl	H	cyclohexyl	121

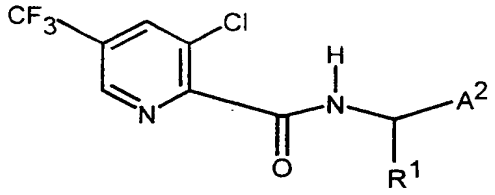
Cmp	A^1	R^3	A^2	m.p./°C
125	3-Cl-5-CF_3-phenyl	H	2,3,6-triF-phenyl	154-6
126	3-Cl-5-CF_3-phenyl	H	2-Cl-6-F-phenyl	192

Example 5

N-2-(Phenylethyl)-3-chloro-5-(trifluoromethyl)-2-pyridinecarboxamide (Compound 206)

3-Chloro-(5-trifluoromethyl)pyridine-2-carboxaldehyde (0.15 g) was dissolved in carbon tetrachloride (10 ml). 2,2'-Azobisisobutyronitrile (0.002 g) and *N*-bromosuccinimide (0.16 g) were added and the mixture was heated to reflux using a sun lamp. After 45 minutes the solution was cooled down to 0 °C. (R)-(+)-α-methylbenzylamine (0.09 g) in carbon tetrachloride (0.3 ml) was added and stirred for 20 minutes at 0°C, then for 3 hours at room temperature. The mixture was diluted with dichloromethane and washed with water. The organic layer was isolated, dried over magnesium sulphate and evaporated to give the crude compound. The crude material was purified by silica gel chromatography to give the title product, m.p. 88 °C.

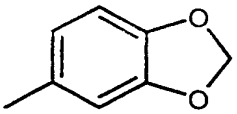
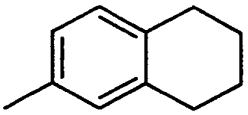
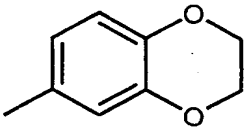
The following compounds of formula Ix (see Table C), i.e. compounds of general formula I where A^1 is 3-Cl-5-CF_3-2-pyridyl and L is –C(=O)NHCH(R^1)-, may be prepared by methods analogous to those of Example 5.



(Ix)

Table C

Cmp	R^1	A^2	m.p.(°C)
201	H	2,6-diF-phenyl	137
202	Me	2,6-diF-phenyl	97
203	H	2-Cl-phenyl	100-7
204	H	2,6-diCl-phenyl	114-6

Cmp	R^1	A^2	m.p.(°C)
205	Me	2-Cl-phenyl	120
206	Me	phenyl	88
207	Me	4-Cl-phenyl	129
208	Me	4-Br-phenyl	139
209	Me	3,4-diF-phenyl	127
210	Me		123
211	Me	4-CF_3O-phenyl	95
212	Me	3-CF_3O-phenyl	114
213	Me		125
214	Me		129
215	H	4-tolyl	113

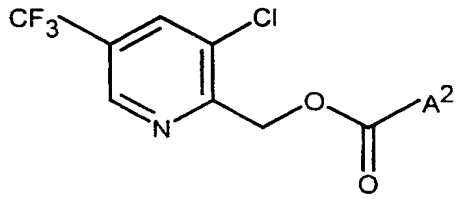
Example 6

[3-Chloro-5-(trifluoromethyl)-2-pyridyl]methyl 2-chlorobenzoate

Compound 301

To a solution of 2-chlorobenzoic acid (0.1 g) in dimethylformamide was added cesium carbonate (0.1 g) and the resulting solution was stirred for 1 hour. 3-Chloro-2-(chloromethyl)-5-trifluoromethyl pyridine (0.14 g) was added and stirring was continued for a further 48 hours. The solution was diluted with diethyl ether (10 ml) and washed with water (10 ml). The organic phase was separated, dried and evaporated to give a crude product. Silica gel chromatography (petrol/diethyl ether 7:3) gave the title compound, ^1H N.M.R ($CDCl_3$) δ(ppm) 5.6 (2H, s), 7.3 (1H, m), 7.4 (2H, m) 7.87 (1H, s), 7.88 (1H, d) and 8.8 (1H, s).

The following compounds of formula Iw (see Table D), i.e. compounds of general formula I where A^1 is 3-Cl-5-CF_3-2-pyridyl and L is $-CH_2O(C=O)-$, may be prepared by methods analogous to those of Example 6.



(Iw)

Table D

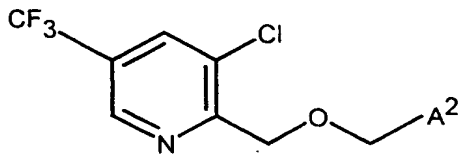
Cmp	A^2	m.p./°C
301	2-Cl-phenyl	oil
302	2,6-diCl-phenyl	93-5

Example 7

[3-Chloro-5-(trifluoromethyl)-2-pyridyl]methyl(2,4-dichlorobenzyl) ether (Compound 401)

To a solution of 2,4-dichlorobenzyl alcohol (0.27 g) in tetrahydrofuran under nitrogen was added sodium hydride (1.1 equivalents) portionwise. The resulting solution was stirred at room temperature for 1 hour before the addition of 3-chloro-2-(chloromethyl)-5-trifluoromethyl pyridine (0.35 g) in tetrahydrofuran dropwise. The solution was then stirred at room temperature for 16 hours. The solution was treated with a tetrahydrofuran/methanol solution and the solvent then evaporated. The residue was partitioned between water and ethyl acetate, the organic phase was isolated, washed with brine, dried and evaporated to yield the crude product. Silica gel chromatography (petrol/ethyl acetate 95:5) furnished the title compound, ^1H N.M.R ($CDCl_3$) δ(ppm) 4.8 (2H, s), 4.9 (2H, s), 7.3 (1H, m), 7.4 (1H, m), 7.5 (1H, m) 8.0 (1H, s) and 8.8 (1H, m).

The following compounds of formula Iv (see Table E), i.e. compounds of general formula I where A^1 is 3-Cl-5-CF_3-2-pyridyl and L is $-CH_2OCH_2-$, may be prepared by methods analogous to those of Example 7.



(Iv)

Table E

Cmp	A^2	m.p./°C
401	2.4-diCl-phenyl	oil
402	2,6-diCl-phenyl	oil

The ^{1}H N.M.R. data of those compounds in Table E which were not solid at room temperature are presented below.

Compound 402

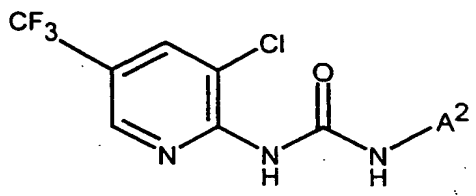
^{1}H N.M.R ($CDCl_3$) δ (ppm) 4.9 (2H, s), 5.0 (2H, s), 7.2 (1H, m), 7.3 (2H, m), 8.0 (1H, s), 8.8 (1H, s).

Example 8

N-[2-Chloro-5-(trifluoromethyl)-2-pyridyl]-*N'*-(2,6-dichlorophenyl)urea (Compound 501)

A solution of triphosgene (1.1 g) in dichloromethane (20 ml) was added over 30 minutes at room temperature to a stirred solution of 2-amino-3-chloro-5-(trifluoromethyl)pyridine (1.96 g) and triethylamine (2 ml) in dichloromethane (35 ml). After 15 minutes a solution of 2,6-dichloroaniline (1.62 g) and triethylamine (2 ml) in dichloromethane (20 ml) was added rapidly and the resulting mixture stirred for 30 minutes before solvent evaporation. The residue was suspended in ethyl acetate and the solid filtered off. The filtrate was washed with potassium hydrogen sulfate solution, sodium bicarbonate solution and then brine. Drying ($MgSO_4$) and solvent evaporation yielded the crude product, which was purified by silica gel chromatography to give the title compound, m.p. 155-8 °C.

The following compounds of formula Iu (see Table F), i.e. compounds of general formula I where A^1 is 3-Cl-5-CF_3-2-pyridyl and L is -NHC(=O)NH-, may be prepared by methods analogous to those of Example 8.



(Iu)

Table F

Cmp	A^2	m.p./°C
501	2,6-diCl-phenyl	155-8
502	phenyl	173-5
503	2-NO_2-phenyl	178-80

Example 9

3-[3-Chloro-5-(trifluoromethyl)-2-pyridyl]-1-(2-nitrophenyl)-2-propen-1-one (Compound 601)

Sodium hydroxide (0.55 g) was dissolved in water (5 ml) and the resulting solution was diluted with ethanol (3 ml). 2-Nitroacetophenone (1.8 g) was added at 20°C, and the solution was stirred for 5 minutes. 3-Chloro-5-(trifluoromethyl)pyridine-2-carboxaldehyde (2.25 g) was added and stirring was continued for 16 hours. The solution was acidified with acetic acid, the organic layer separated, dried over magnesium sulfate, filtered and evaporated to give a brown oil. Silica gel column chromatography, followed by recrystallisation (petrol) afforded the title compound, 88-9 °C.

Example 10

3-Chloro-5-(trifluoromethyl)-2-pyridinecarbaldehyde 2-(2-nitrophenyl)hydrazone (Compound 701)

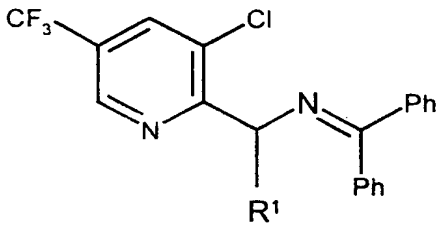
A mixture of 3-chloro-5-(trifluoromethyl)pyridine-2-carboxaldehyde (1.05 g) and 2-nitrophenylhydrazine (0.76 g) in ethanol (75 ml) was heated at reflux for 2.5 hours and then allowed to cool to room temperarure overnight. The resulting orange solid was isolated by filtration and recrystallised (petrol) to afford the title compound as a mixture of isomers, m.p. 127-35 °C.

Example 11

[3-Chloro-5-(trifluoromethyl)-2-pyridyl][(diphenylmethylene)amino]methyl cyanide (Compound 803)

To a suspension of 60% sodium hydride (4.0 g) in dimethylformamide under a nitrogen atmosphere at 0°C was added a solution of [(diphenylmethylene)amino]methyl cyanide (11.1g) in dimethylformamide dropwise, whilst maintaining the temperature between 0°C and 2°C. The solution was stirred at 0°C for 1 hour. 2,3-Dichloro-5-trifluoromethylpyridine (7 ml) in dimethylformamide was added dropwise and the mixture stirred for 30 minutes at 0°C before warming to ambient temperature over 3 hours. The mixture was cooled to 10°C, ethanol (3 ml) added and the solution stirred for 15 minutes. The reaction mixture was then poured as a thin stream into a vigorously stirred mixture of diethyl ether (500ml) and ammonium chloride solution (500 ml). The organic layer was separated and washed with ammonium chloride solution (2x150 ml), dried, filtered and evaporated to give a residue. Silica gel chromatography (diethyl ether:petrol 5:95) gave the title product as a pale brown solid, m.p. 108-10 °C.

The following compounds of formula It (see Table G), i.e. compounds of general formula I where A^1 is 3-Cl-5-CF_3-2-pyridyl, L is -CH(R^1)N=C(Ph)-, and A^2 is phenyl may be prepared by methods analogous to those of Example 11.



(It)

Table G

Cmp	R^1	m.p./°C
801	CH_2CN	82-4
802	CO_2Et	oil
803	CN	108-10

The mass spectral data of the compound in Table G which was not solid at room temperature is presented below.

Compound 802

m/z (EI) 373 (M^+-CO_2Et)

Example 12

1-Biphenylyl-1-ethanone *O*-1-[3-chloro-5-(trifluoromethyl)-2-pyridyl] oxime (Compound 936)

To 4-acetylbiphenyl oxime (2.5 g) in dimethylformamide (13 ml) under a nitrogen atmosphere was added sodium hydride (0.5 g) portionwise with cooling. The resulting mixture was stirred at 40°C for 20 minutes until the formation of a suspension occurred. 2,3-Dichloro-5-(trifluoromethyl)pyridine (2.5 g) in dimethylformamide (7 ml) was then added and the resulting mixture stirred for 18 hours at room temperature. The mixture was treated with isopropanol (2 ml) and stirred for 5 minutes before pouring into an ice water/brine solution (300 ml). The resulting precipitate was extracted with diethyl ether (2x125 ml), the organics washed with water, dried, filtered and evaporated to give a solid which on trituration (diethyl ether) and recrystallisation (toluene) yielded the title compound, m.p. 122 °C.

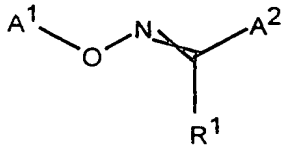
Preparation of Starting Material

4-Acetylbiphenyl Oxime

To a suspension of 4-acetylbiphenyl (25.4 g) in ethanol (230 ml) and water (4 ml) under a nitrogen atmosphere was added hydroxylamine hydrochloride (14.5 g) in water (25 ml) followed by 50% aqueous potassium hydroxide solution (40 g). The resulting mixture was heated at reflux for 18 hours and then cooled to room temperature. The mixture was added to ice/water (500 ml) and acidified to pH 2 to give a precipitate. The solid was filtered off, washed with water until the washings were at pH 6 and then recrystallised from ethanol to give the title compound.

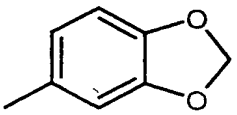
The following compounds of formula Is (see Table H), i.e. compounds of general formula I where L is –O-N=C(R^1)-, may be prepared by methods analogous to those of Example 12.

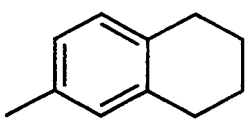
The crossed bond in Is indicates that the compounds may exist as cis or trans isomers about the double bond. Isolation of both isomers was possible for some compounds.



(Is)

Table H

Cmp	A^1	R^1	A^2	m.p.(°C)
901	3-Cl-5-CF_3-2-pyridyl	Me	2-Cl-phenyl	96-7
902	3-Cl-5-CF_3-2-pyridyl	H	4-pyridyl	205-6
903	3-Cl-5-CF_3-2-pyridyl	Me	3-(2-Cl-4-CF_3-phenoxy)phenyl	65-7
904	3-Cl-5-CF_3-2-pyridyl	H	2-Cl-6-F-phenyl	119-23
905	3-Cl-5-CF_3-2-pyridyl	H	2,6-diCl-phenyl	136-7
906	3-Cl-5-CF_3-2-pyridyl	Me	1-Me-2-pyrolyl	88-9
907	3-Cl-5-CF_3-2-pyridyl	Me	2-tolyl	oil
908	3-Cl-5-CF_3-2-pyridyl	Me	2-tolyl	oil
909	3-Cl-5-CF_3-2-pyridyl	Me	3-CF_3-phenyl	oil
910	3-Cl-5-CF_3-2-pyridyl	Me	2-CF_3-phenyl	oil
911	3-Cl-5-CF_3-2-pyridyl	Me		oil
912	3-Cl-5-CF_3-2-pyridyl	tBu	2-pyridyl	oil
913	3-Cl-5-CF_3-2-pyridyl	Me	2-thienyl	oil
914	3-Cl-5-CF_3-2-pyridyl	H	4-MeO-phenyl	oil
915	3-Cl-5-CF_3-2-pyridyl	Me	2,4-xylyl	oil
916	3-Cl-5-CF_3-2-pyridyl	H	6-Me-2-pyridyl	oil
917	3-Cl-5-CF_3-2-pyridyl	Me	2-naphthyl	oil
918	3-Cl-5-CF_3-2-pyridyl	Me	1-naphthyl	oil
919	3-Cl-5-CF_3-2-pyridyl	H	4-EtO-phenyl	oil
920	3-Cl-5-CF_3-2-pyridyl	H	2-tolyl	oil
921	3-Cl-5-CF_3-2-pyridyl	H	2-MeO-phenyl	oil

Cmp	A^1	R^1	A^2	m.p.(°C)
922	3-Cl-5-CF_3-2-pyridyl	Et	phenyl	oil
923	3-Cl-5-CF_3-2-pyridyl	H	3-NO_2-phenyl	116-8
924	3-Cl-5-CF_3-2-pyridyl	CF_3	2-tolyl	oil
925	3-Cl-5-CF_3-2-pyridyl	$(EtO)_2P(=O)$-	cyclohexyl	oil
926	3-Cl-5-CF_3-2-pyridyl	-CN	phenyl	76
927	3-Cl-5-CF_3-2-pyridyl	Me	phenyl	oil
928	3-Cl-5-CF_3-2-pyridyl	H	2-NO_2-phenyl	oil
929	3-Cl-5-CF_3-2-pyridyl	H	2-Cl-phenyl	87
930	3-Cl-5-CF_3-2-pyridyl	H	3-tolyl	oil
931	3-Cl-5-CF_3-2-pyridyl	H	3-pyridyl	oil
932	3-Cl-5-CF_3-2-pyridyl	H	3-pyridyl	137-8
933	3-Cl-5-CF_3-2-pyridyl	H	1-naphthyl	85-90
934	3,5-diCl-2-pyridyl	Me	2-Cl-phenyl	127
935	3,5-diCl-2-pyridyl	Me	2-Cl-phenyl	70-1
936	3-Cl-5-CF_3-2-pyridyl	Me	biphenylyl	122
937	3-Cl-5-CF_3-2-pyridyl	-CN	2,6-diCl-phenyl	128-9
938	3-Cl-5-CF_3-2-pyridyl	-CN	2,6-diCl-phenyl	71-2
939	3-Cl-5-CF_3-2-pyridyl	-CN	2-CN-phenyl	139-43
940	3-Cl-5-CF_3-2-pyridyl	-CN	2-Cl-phenyl	83-4
941	3-Cl-5-CF_3-2-pyridyl	-CN	2-Cl-phenyl	88
942	3-Cl-5-CF_3-2-pyridyl	Me	2-$MeSO_2$-phenyl	oil
943	3-Cl-5-CF_3-2-pyridyl	Ph	2-naphthyl	oil
944	3-Cl-5-CF_3-2-pyridyl	Me	6-MeO-2-naphthyl	oil
945	3-Cl-5-CF_3-2-pyridyl	Me	4-F-1-naphthyl	oil
946	3-Cl-5-CF_3-2-pyridyl	Me	4-cyclohexyl-phenyl	oil
947	3-Cl-5-CF_3-2-pyridyl	Me		oil
948	3-Cl-5-CF_3-2-pyridyl	Pr	4-Cl-phenyl	oil
949	3-Cl-5-CF_3-2-pyridyl	Me	cyclohexyl	oil

Cmp	A^1	R^1	A^2	m.p.(°C)
950	3-Cl-5-CF_3-2-pyridyl	Me	4-PhO-phenyl	oil
951	3-Cl-5-CF_3-2-pyridyl	Me	2,5-diMe-3-furyl	oil
952	3-Cl-5-CF_3-2-pyridyl	Me	3,5-diMe-isothiazol-4-yl	oil
953	3-Cl-5-CF_3-2-pyridyl	Et	2,4-diCl-phenyl	oil
954	3-Cl-5-CF_3-2-pyridyl	Me	2,3-diCl-phenyl	oil
955	3-Cl-5-CF_3-2-pyridyl	-CN	2-pyridyl	oil
956	3-Cl-5-CF_3-2-pyridyl	CF_3	2-thienyl	oil
957	3-Cl-5-CF_3-2-pyridyl	Me	4-pyridyl	oil
958	3-Cl-5-CF_3-2-pyridyl	4-Cl-phenyl	4-Cl-phenyl	oil

The ^{1}H N.M.R or mass spectral data of those compounds in Table H which were not solid at room temperature are presented below.

Compound 907

^{1}H N.M.R ($CDCl_3$) δ (ppm) 2.4 (6H, s), 7.2-7.4 (4H, m), 7.95 (1H, s), 8.45 (1H, s).

Compound 908

^{1}H N.M.R ($CDCl_3$) δ (ppm) 2.3 (3H), 2.4 (3H0, 7.1 (1H), 7.3 (3H, m), 7.8 (1H), 8.45(1H).

Compound 909

m/z (EI) 382 (M^+).

Compound 910

^{1}H N.M.R ($CDCl_3$) δ (ppm) 2.5 (3H), 7.45 (1H, d), 7.5-7.7 (2H, m), 7.75 (1H, d), 8.0 (1H, d), 8.5 (1H, d).

Compound 911

^{1}H N.M.R ($CDCl_3$) δ (ppm) 0.8 (t), 1.15 (d), 1.4 (quintet), 2.0 (s), 2.3 (s), 3.65 (dd), 7.7 (m), 7.95 (m).

Compound 912

m/z (EI) 357 (M^+).

Compound 913

m/z (EI) 320 (M^+).

Compound 914

m/z (EI) 330 (M^+).

Compound 915

m/z (EI) 342 (M^+).

Compound 916

m/z (EI) 315 (M^+).

Compound 917

m/z (EI) 364 (M^+).

Compound 918

m/z (EI) 364 (M^+).

Compound 919

m/z (EI) 344 (M^+).

Compound 920

^1H N.M.R ($CDCl_3$) δ (ppm) 2.35 (s), 2.5 (s), 7.4 (d), 7.8 (m), 7.9 (d).

Compound 921

^1H N.M.R ($CDCl_3$) δ (ppm) 3.9 (3H, m), 6.9-7.05 (2H, m), 7.5-7.75 (2H, m), 7.95 (1H, d), 8.0 (1H, d), 8.5 (1H), 9.1 (1H).

Compound 922

m/z (EI) 328 (M^+).

Compound 924

m/z (EI) 382 (M^+).

Compound 925

^1H N.M.R ($CDCl_3$) δ (ppm) 1.3 (m), 2.7 (m), 4.2 (m), 7.75 (d), 7.95 (d).

Compound 927

m/z (EI) 314 (M^+).

Compound 928

m/z (EI) 345 (M^+).

Compound 930

^1H N.M.R ($CDCl_3$) δ (ppm) 2.35 (d), 7.25 (m), 7.5 (d), 7.9 (d), 8.5 (d), 8.65 (s).

Compound 931

m/z (EI) 301 (M^+).

Compound 942

^1H N.M.R ($CDCl_3$) δ (ppm) 2.6 (3H, s), 3.05 (3H, s), 8.0 (5H, m), 8.5 (1H, s).

Compound 943

^1H N.M.R ($CDCl_3$) δ (ppm) 7.4-7.6 (7H, m), 7.8-8.0 (6H, m), 8.5 (1H, d).

Compound 944

m/z (EI) 393 (M^+).

Compound 945

^1H N.M.R ($CDCl_3$) δ (ppm) 2.7 (3H, s), 7.15 (1H, dd), 7.5-7.65 (3H, m), 7.95 (1H, d), 8.1-8.25 (2H, m), 8.5 (1H, d).

Compound 946

m/z (EI) 396 (M^+).

Compound 947

m/z (EI) 368 (M^+).

Compound 948

m/z (EI) 376 (M^+).

Compound 949

^1H N.M.R ($CDCl_3$) δ (ppm) 0.1-1.5 (5H, m), 1.7-1.9 (5H, m), 2.6 (1H, t), 8.0 (1H, m), 8.55 (1H, m).

Compound 950

m/z (EI) 406 (M^+).

Compound 951

m/z (EI) 332 (M^+).

Compound 952

m/z (EI) 349 (M^+).

Compound 953

^1H N.M.R ($CDCl_3$) δ (ppm) 1.4 (3H, t), 3.0 (2H, q), 7.2 (3H, t) isomer, 7.3 (1H, d), 7.55 (1H, dd), 8.0 (1H, d, m), 8.55 (1H, d, m).

Compound 954

^1H N.M.R ($CDCl_3$) δ (ppm) 2.5 (3H, m), 7.2-7.4 (2H, m), 7.5 (1H, d), 7.9 (1H), 8.4 (1H).

Compound 955

^1H N.M.R ($CDCl_3$) δ (ppm) 2.6 (s), 4.8 (s), 7.25 (t), 7.5 (dd), 7.9 (m), 8.05 (d), 8.15 (d), 8.5 (s), 8.8 (d).

Compound 956

m/z (EI) 374 (M^+).

Compound 957

m/z (EI) 314 (M^+).

Compound 958

^1H N.M.R ($CDCl_3$) δ (ppm) 7.35-7.6 (8H, m), 7.9 (1H), 8.5 (1H).

Example 13

N-(3-Chloro-5-trifluoromethyl-2-pyridyloxy)-1-naphthalenecarboxamide (Compound 1012)

A mixture of 1-naphthoic acid (0.46 g) and carbonyldiimidazole (0.44 g) in tetrahydrofuran (40 ml) was stirred for 16 hours under a nitrogen atmosphere. The product from stage b) (0.57 g) was then added, and the mixture stirred for 5 days. The solution was poured into saturated brine solution and the organic portion extracted with ethyl acetate (x3), dried ($MgSO_4$), filtered and evaporated. The residue was purified by silica gel chromatography (ethyl acetate/petrol) and triturated (diisopropyl ether) to give the title product, m.p.198-9 °C.

Preparation of Starting Materials

a) 2-{[3-Chloro-5-(trifluoromethyl)-2-pyridyl]oxy}-1,3-isoindolinedione

2,3-Dichloro-5-trifluoromethylpyridine (50.0 g) was added over 5 minutes to a stirred solution of *N*-hydroxyphthalimide (37.5 g) and triethylamine (25.8 g) in acetone (750 ml). The mixture was refluxed for 8 hours and allowed to stand at room temperature for 16 hours. The solution was filtered and the filtrate evaporated to yield a solid which was partitioned between ethyl acetate and sodium bicarbonate solution. The organic fraction was isolated and the aqueous material re-extracted using further portions of ethyl acetate. The combined organic extracts were washed with water, dried, filtered and evaporated to give the crude product. The residue was triturated with diisopropyl ether to furnish the title compound as a white solid.

b) *O*-[3-Chloro-5-(trifluoromethyl)-2-pyridyl]hydroxylamine

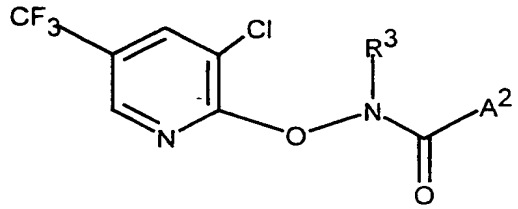
Hydrazine monohydrate (1.7 g) was added to a solution of the product from stage a) (11.3 g) in tetrahydrofuran (200 ml) and the mixture stirred for 16 hours. The mixture was then filtered and the residual solid washed with a small volume of tetrahydrofuran and ethyl acetate, then four times with a 0.02M solution of sodium hydroxide saturated with sodium chloride. The combined aqueous layers were extracted with dichloromethane (x2) and the combined organic extracts dried, filtered and evaporated to give the title compound.

Example 14

N-(3-Chloro-5-trifluoromethyl-2-pyridyloxy)-*N*-methyl-1-naphthalenecarboxamide (Compound 1017)

Iodomethane (0.82 g) was added to a stirred solution of the product from Example 13 (Compound1012) (1.93 g) and potassium *tert*-butoxide (0.61 g) in tetrahydrofuran (50 ml). The reaction mixture was stirred for 48 hours. The solvent was evaporated and the residue partitioned between ethyl acetate and saturated aqueous ammonium chloride. The aqueous layer was separated and extracted with 3 portions of ethyl acetate. The combined organic phases were dried, filtered and evaporated to give a residue which was purified by silica gel chromatography (ethyl acetate/petrol) to give the title compound, *m/z* (EI) 380 (M^+).

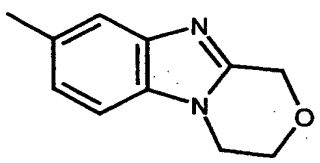
The following compounds of formula Ir (see Table J), i.e. compounds of general formula I where A^1 is 3-Cl-5-CF_3-2-pyridyl and L is –O-N(R^3)C(=O)-, may be prepared by methods analogous to those of Examples 13 and 14.



(Ir)

Table J

Cmp	R^3	A^2	m.p.(°C)
1001	H	5-Me-2-pyrazinyl	202-6

Cmp	R^3	A^2	m.p.(°C)
1002	H	4-tolyl	190-3
1003	H	2-Cl-4-CF_3-pyrimidin-5-yl	204-5
1004	H	4-Cl-phenyl	191-3
1005	H	2-NO_2-5-(2-Cl-4-CF_3-phenoxy)-phenyl	168-70
1006	H	3,5-diMe-4-isoxazolyl	108-11
1007	H	2,4-diMe-5-thiazolyl	152-5
1008	H	4,6-diMeO-2-(α,α-diMe-4-Cl-benzyl)-pyrimidin-5-yl	124-5
1009	H	5-(3,5-diCl-phenoxy)-2-furyl	120-2
1010	H	6-MeO-3-pyridyl	157-9
1011	H	2-naphthyl	180
1012	H	1-naphthyl	198-9
1013	H	2-Cl-phenyl	170
1014	H	3-quinolinyl	238-9
1015	H		oil
1016	H	4-morpholinyl-3-NO_2-phenyl	217-8
1017	Me	1-naphthyl	oil
1018	H	1-naphthyl	218-20
1019	H	2,6-diCl-phenyl	246-7

The mass spectral data of the compounds in Table J which were not solid at room temperature are presented below.

Compound 1015

m/z (EI) 412 (M^+).

Compound 1017

m/z (EI) 380 (M^+).

Example 15

2-Methyl-1,2,3,4-tetrahydro-1-naphthalenone *O*-1-[3-chloror-5-(trifluoromethyl)-2-pyridyl]oxime

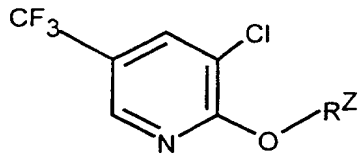
(Compound 1101)

The starting material (0.58 g) was dissolved in tetrahydrofuran (5 ml) and to this was added potassium *tert*-butoxide (0.42 g) dissolved in tetrahydrofuran (5 ml). The mixture was stirred overnight and a solution of 2,3-dichloro-5-trifluoromethyl pyridine (0.72 g) in tetrahydrofuran (2 ml) was added. The mixture was stirred for 48 hours at room temperature, then the solvent was evaporated. The residue was partitioned between ethyl acetate and water. The organic layer was isolated, dried, filtered and evaporated to yield the title product as a light yellow gum. *m/z* (EI) 354 (M^+).

a) 2-Methyl-1,2,3,4-tetrahydro-1-naphthalenone oxime

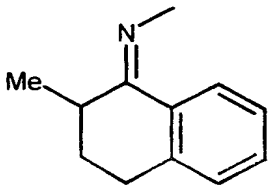
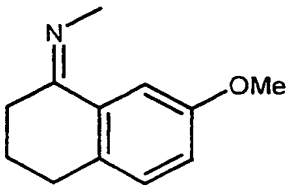
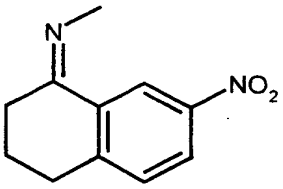
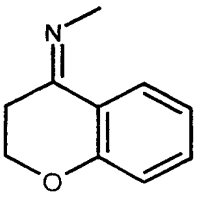
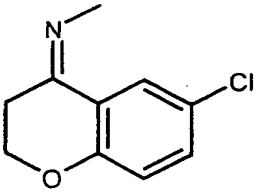
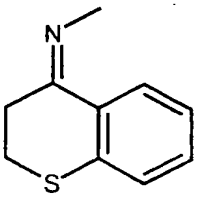
To a solution of 2-methyl-1-tetralone (3.20 g) in methanol (5 ml) was added hydroxylamine hydrochloride (1.81 g) in methanol (15 ml) and triethylamine (2.63 g). The mixture was stirred at 65°C for 5 hours, allowed to cool and stand at room temperature for 16 hours. The solvent was evaporated and water added to the residue. The product was extracted with ethyl acetate (3 portions) and the combined extracts were dried, filtered and evaporated to give an orange oil. On standing this separated into two layers. The top layer was removed and the bottom layer slowly solidified to give the title product as an orange solid.

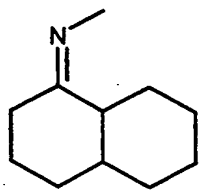
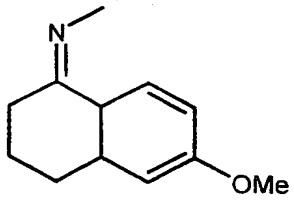
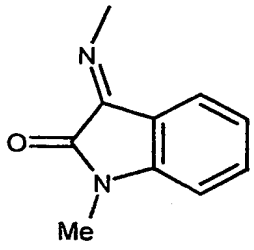
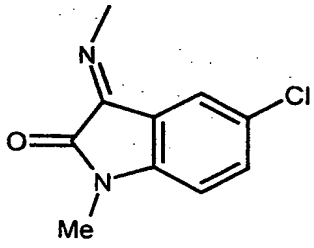
The following compounds of formula Iq (see Table K), i.e. compounds of general formula I where A^1 is 3-Cl-5-CF_3-2-pyridyl and L is –O-N=C(R^1)-, wherein R^1 and A^2, together with the interconnecting atoms forms a 5- or 6- membered ring, may be prepared by methods analogous to those of Example 15.



(Iq)

Table K

Cmp	R^Z	m.p.(°C)
1101		oil
1102		oil
1103		oil
1104		oil
1105		oil
1106		oil

Cmp	R^Z	m.p.(°C)
1107		oil
1108		oil
1109		oil
1110		oil

Those compounds in Table K which do not have discrete melting points have the following characteristic mass spectral data.

Compound 1101

m/z (EI) 354 (M^+).

Compound 1102

m/z (EI) 370 (M^+).

Compound 1103

m/z (EI) 385 (M^+).

Compound 1104

m/z (EI) 342 (M^+).

Compound 1105

m/z (EI) 376 (M^+).

Compound 1106

m/z (EI) 358 (M^+).

Compound 1107

m/z (EI) 346 (M^+).

Compound 1108

m/z (EI) 370 (M^+).

Compound 1109

m/z (EI) 355 (M^+).

Compound 1110

m/z (EI) 389 (M^+).

Example 16

2-{[2-(3-Bromo-4-methoxyphenyl)-1*H*-1-imidazolyl]methyl}-3-chloro-5-(trifluoromethyl)pyridine

(Compound 1201)

To a solution of 2-(3-bromo-4-methoxyphenyl)-1*H*-imidazole (0.5 g) in tetrahydrofuran was added sodium hydride (0.08 g). After 30 minutes 3-chloro-2-(chloromethyl)-5-trifluoromethyl pyridine (0.46 g) was added and the solution heated until the reaction was complete. The reaction mixture was cooled, poured onto water and the organic phase extracted using dichloromethane, dried and evaporated to yield the crude product as an orange gum. Silica gel column chromatography yielded a gum which was further treated with diisopropyl ether and filtered. Evaporation of the filtrate afforded the title compound, *m/z* (APCI) 445 (M^-).

2-(3-Bromo-4-methoxyphenyl)imidazole was synthesised from 3-bromo-4-methoxybenzonitrile using a method known to the skilled chemist.

Test Example

Compounds were assessed for activity against one or more of the following:

Phytophthora infestans: late tomato blight

Plasmopara viticola: vine downy mildew

Erysiphe graminis f. sp. tritici: wheat powdery mildew

Pyricularia oryzae: rice blast

Leptosphaeria nodorum: glume blotch

Aqueous solutions or dispersions of the compounds at the desired concentration, including a wetting agent, were applied by spray or by drenching the stem base of the test plants, as appropriate. After a given time, plants or plant parts were inoculated with appropriate test pathogens before or after application of the compounds as appropriate, and kept under controlled environmental conditions suitable for maintaining plant growth and development of the disease. After an appropriate time, the degree of infection of the affected part of the plant was visually estimated. Compounds are assessed on a score of 1 to 3 where 1 is little or no control, 2 is moderate control and 3 is good to total control. At a concentration of 500 ppm (w/v) or less, the following compounds scored 2 or more against the fungi specified.

Phytophthora infestans: 49, 102, 119, 126, 202, 214, 215, 601, 902, 912, 927, 953, 1101 and 1102.

Plasmopara viticola: 5-7, 9, 10, 12, 102, 109, 126, 214, 215, 601, 901, 907, 914, 915, 921, 926-30, 958, 1001 and 1013.

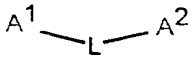
Erysiphe graminis f. sp. tritici: 501, 901, 906, 913-5, 923, 926-931, 933, 935, 936, 948-50, 952, 954, 1008, 1102, 1104, 1107 and 1108.

Pyricularia oryzae: 7, 9, 11, 17, 126, 901, 906, 907, 913, 922, 923, 926-31, 937, 938, 939 and 1001.

Leptosphaeria nodorum: 23, 51, 53, 126, 207, 208, 906, 923, 926, 929, 933, 1007 and 1109.

Claims

1. The use of a compound of general formula I or salts thereof as phytopathogenic fungicides



(I)

where

A^1 is 2-pyridyl or its *N*-oxide, each of which may be substituted by up to four groups at least one of which is haloalkyl;

A^2 is optionally substituted heterocyclyl or optionally substituted carbocyclyl;

L is a 3-atom linker selected from the list: $-CH(R^1)N(R^3)CH(R^2)-$, $-N(R^3)N(R^4)C(=X)-$, $-C(=X)N(R^3)CH(R^1)-$, $-CH(R^1)OC(=X)-$, $-CH(R^1)OCH(R^2)-$, $-N(R^3)C(=X)N(R^4)-$, $-C(R^1)=C(R^2)C(=X)-$, $-C(R^1)=N-N(R^3)-$, $-CH(R^1)N=C(R^2)-$, $-O-N=C(R^1)-$, $-O-N(R^3)C(=X)-$, $-N(R^3)N(R^4)CH(R^1)$, $-N(R^3)C(Y)=N-$, $-N=C(Y)-N(R^3)-$, $-N(R^3)N=C(Y)-$, $-C(=X)-N(R^3)N(R^4)-$, $-C(Y)=N-N(R^4)-$ and $-N(R^3)CH(R^1)C(=X)-$; wherein A^1 is attached to the left hand side of linker L;

where R^1 and R^2, which may be the same or different, are R^b, cyano, nitro, halogen, $-OR^b$, $-SR^b$ or optionally substituted amino;

R^3 and R^4, which may be the same or different, are R^b, cyano or nitro;

or any R^1, R^2, R^3 or R^4 group, together with the interconnecting atoms, can form a 5- or 6-membered ring with any other R^1, R^2, R^3 or R^4, or any R^1, R^2, R^3 or R^4 group, together with the interconnecting atoms can form a 5- or 6-membered ring with A^2;

X is oxygen, sulfur, $N-OR^b$, $N-R^b$ or $N-N(R^b)_2$; and

Y is halogen, $-OR^b$, $-SR^b$, $-N(R^b)_2$, $-NR^b(OR^b)$ or $-NR^bN(R^b)_2$;

wherein R^b is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted; or hydrogen or acyl, or two adjacent R^b groups together with the nitrogen atom to which they are attached may form a 5- or 6-membered ring.

2. A pesticidal composition comprising at least one compound as claimed in claim 1 in admixture with an agriculturally acceptable diluent or carrier.

3. A method of combating pests at a locus infested or liable to be infested therewith, which comprises applying to the locus a compound as claimed in claim 1.

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference	FOR FURTHER ACTION	See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)
99C110		
International application No.	International filing date *(day/month/year)*	Priority date *(day/month/year)*
PCT/EP00/08268	11/08/2000	18/08/1999
International Patent Classification (IPC) or national classification and IPC C07D213/61		
Applicant AVENTIS CROPSCIENCE GMBH et al.		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 7 sheets, including this cover sheet.

   ☒ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which hav been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

   These annexes consist of a total of 48 sheets.

3. This report contains indications relating to the following items:

   - I ☒ Basis of the report
   - II ☐ Priority
   - III ☒ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
   - IV ☐ Lack of unity of invention
   - V ☒ Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations suporting such statement
   - VI ☒ Certain documents cited
   - VII ☐ Certain defects in the international application
   - VIII ☒ Certain observations on the international application

Date of submission of the demand	Date of completion of this report
22/02/2001	20.11.2001
Name and mailing address of the international preliminary examining authority: European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized officer Zellner, A Telephone No. +49 89 2399 8078

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/EP00/08268

I. Basis of the report

1. With regard to the **elements** of the international application *(Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17))*:
Description, pages:

1-46	as received on	07/11/2001	with letter of	05/11/2001

Claims, No.:

1-3	as received on	07/11/2001	with letter of	05/11/2001

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

   These elements were available or furnished to this Authority in the following language: , which is:

   - ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
   - ☐ the language of publication of the international application (under Rule 48.3(b)).
   - ☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

   - ☐ contained in the international application in written form.
   - ☐ filed together with the international application in computer readable form.
   - ☐ furnished subsequently to this Authority in written form.
   - ☐ furnished subsequently to this Authority in computer readable form.
   - ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
   - ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

   - ☐ the description, pages:
   - ☐ the claims, Nos.:
   - ☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)):

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application.

☒ claims Nos. 1-3 (part).

because:

☐ the said international application, or the said claims Nos. relate to the following subject matter which does not require an international preliminary examination (*specify*):

☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):

☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.

☒ no international search report has been established for the said claims Nos. 1-3 (part).

2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:

☐ the written form has not been furnished or does not comply with the standard.

☐ the computer readable form has not been furnished or does not comply with the standard.

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes:	Claims	1-3 (part)
	No:	Claims	
Inventive step (IS)	Yes:	Claims	
	No:	Claims	1-3 (part)
Industrial applicability (IA)	Yes:	Claims	1-3 (part)

No: Claims

2. Citations and explanations
see separate sheet

VI. Certain documents cited

1. Certain published documents (Rule 70.10)

and / or

2. Non-written disclosures (Rule 70.9)

see separate sheet

VIII. Certain observations on the international application

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:
see separate sheet

The following documents (D) are referred to:

D1: WO-A-99 42447
D3: EP-A-0 648 752
D4: EP-A-0 573 883
D5: EP-A-0 469 711
D6: EP-A-0 288 976
D7: EP-A-0 270 061
D8: PATENT ABSTRACTS OF JAPAN vol. 1995, no. 04, 31 May 1995 (1995-05-31) -& JP 07 025853 A (ISHIHARA SANGYO KAISHA LTD), 27 January 1995 (1995-01-27)
D10: WO-A-99 07687
D11: WO-A-98 50352

1. The present application relates to the use of a compound of general formula I or salts thereof as phytopathogenic fungicides, to a pesticidal composition comprising at least one of said compound I and to a method of combating pests.

2. The amendments filed with letter dated 05.11.2001 were found to be in accordance with Art. 34(2)b) PCT. Basis for the amendment of claim 1 (limitation of A^1) can be found in the description (see examples). The introduction of the proviso excludes subject-matter disclosed in documents D3 to D6. Deletion of several groups L does not contravene Art. 34(2)b) PCT either. A basis for the limitation of the method according to claim 3 to a method of combating plant pests can be found in the description (p. 5). The description was amended according to the claims.

item **III**

The international search report only covers part of the originally claimed subject-matter, i.e. subject-matter relating to compounds of formula I, wherein A1 represents 3-chloro-5-trifluoromethyl-pyrid-2-yl and A2 is (opt. substit.) phenyl, pyridyl, pyrimidyl, pyrazinyl, furanyl, thienyl, (iso)thiazolyl and (iso)oxazolyl and closely related compounds. The international search report does not cover subject-matter related

to compounds wherein A2 is not selected from the groups cited above, i.e. compounds generally comprising a group A2 being optionally substituted heterocyclyl or optionally substituted carbocyclyl. The present report therefore only relates to said subject-matter as well (Rule 66.1(e) PCT).

item **V**

1. Novelty (Art. 33(2) PCT)

Due to the amendments filed, the present application does fulfill the requirements of Art. 33(2) PCT, the claimed subject-matter can be considered novel in view of the cited prior art.

2. Inventive step (Art. 33(3) PCT)

2.1. The problem to be solved by the present application can be considered as to provide alternative compounds which can be used as phytopathogenic fungicides and as pesticides in general, since claim 2 is not limited to fungicidal compositions. The problem was solved by the provision of compounds of general formula (I) as defined in amended claim 1. The compounds of formula (I) comprise a 3-Cl-5-CF_3-2-pyridyl group being linked to an optionally substituted heterocyclyl or optionally substituted carbocyclyl via a linker selected from a group of different 3-atom linker.

2.2. Fungicidally and pesticidally active compounds comprising a 3-Cl-5-CF_3-2-pyridyl group are known to the skilled person. Several of these compounds comprise a further group which is either an optionally substituted heterocyclic or an optionally substituted carbocyclic group. The cited prior art furthermore discloses a wide variety of fungicidally active compounds as well as compounds being active against other types of pests which additionally comprise a 3-atom linker between the said two moieties (D6: e.g. examples 1.3 and 1.4; D10: compound 53b; D9: compound 205; and D3: compounds 304-307, 345, 346; D4: example 172; D5: compounds 90-92, 151; D7: example 16; D8: example 21).

2.3. The difference between the compounds according to general formula (I) of the present application and the compounds disclosed in the prior art is either the exact structure of the 3-atom linker or the fact that the compounds according to the state of the art are excluded by way of a disclaimer. The effect of the exact arrangement of the atoms forming the backbone of the 3-atom linker does not appear to be disclosed in the application documents presently on file. It would thus appear obvious for the skilled person to provide further compounds having a structure "(3-Cl-5-CF_3-2-pyridyl) - (3-atom linker) - (optionally substituted heterocyclyl or optionally substituted carbocyclyl)" in order to solve the technical problem with the reasonable expectation to obtain compounds having pesticidal or fungicidal activity. The provision of a pesticidal composition according to present claim 2 can therefore not be considered comprising an inventive step. The use of the said compounds according to present claim 2 and the method of present claim 3 are not considered based on an inventive step either. The application does not meet the requiremnts of Art. 33(3) PCT.

3. Industrial applicability (Art. 33(4) PCT)

Can be acknowledged for the present claims.

item **VI**

Document D1 was published after the priority date of the present application but before its international filing date. Its content would be considered as forming part of the state of the art if the priority of the present application was found to be invalid. Applicant's attention is drawn to the fact that the said document will also have to be considered under Art. 54(3) EPC in the European phase of the present application.

item **VIII**

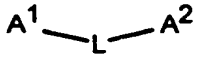
Table B appears to contain an obvious error, "phenyl" is used instead of "pyridyl" (see ex. 4, and original claim 1).

Fungicides

This invention relates to compounds having fungicidal activity.

As a prior art including compounds similar to the compound according to the invention in the chemical structure, there have hitherto been known the specification of EP0288976. It discloses that the compounds protect plants against attack by harmful microorganisms, for example phytopathogenic fungi, bacteria and viruses. Nevertheless, nothing is written about an eventual action of this sort of compounds on the metabolism phtyopatogen organisms.

In a first aspect the invention provides the use of a compound of general formula I or salts thereof as phytopathogenic fungicides



(I)

where

A^1 is 3-Cl-5-CF_3-2-pyridyl;

A^2 is optionally substituted heterocyclyl or optionally substituted carbocyclyl (A^2 is preferably phenyl, cyclohexyl, cyclopropyl or heterocyclyl, each of which may be substituted); excepted when L is -$N(R_3)N(R_4)C(=O)$- or -CH_2OCH_2-, then A_2 can not contain any heterocyclyl containing N or O;

L is a 3-atom linker selected from the list: -$CH(R^1)N(R^3)CH(R^2)$-, -$N(R^3)N(R^4)C(=X)$-, -$C(=X)N(R^3)CH(R^1)$-, -$CH(R^1)OC(=X)$-, -$CH(R^1)OCH(R^2)$-, -$N(R^3)C(=X)N(R^4)$-, -$C(R^1)=C(R^2)C(=X)$-, -$CH(R^1)N=C(R^2)$-, -O-$N=C(R^1)$-, -O-$N(R^3)C(=X)$-, -$N(R^3)N(R^4)CH(R^1)$, -$N(R^3)C(Y)=N$-, -$N=C(Y)-N(R^3)$-, -$C(=X)-N(R^3)N(R^4)$-, -$C(Y)=N-N(R^4)$- and -$N(R^3)CH(R^1)C(=X)$-; wherein A^1 is attached to the left hand side of linker L (L is preferably selected from the list: -$CH(R^1)N(R^3)CH(R^2)$-, -$N(R^3)N(R^4)C(=X)$-, -$C(=X)N(R^3)CH(R^1)$-, -$CH(R^1)OC(=X)$-, -$CH(R^1)OCH(R^2)$-, -$N(R^3)C(=X)N(R^4)$-, -$C(R^1)=C(R^2)C(=X)$-, -$CH(R^1)N=C(R^2)$-, -O-$N=C(R^1)$-, -O-$N(R^3)C(=X)$-);

where R^1 and R^2, which may be the same or different, are R^b, cyano, nitro, halogen, $-OR^b$, $-SR^b$ or optionally substituted amino (R^1 and R^2 are preferably hydrogen, acyl, optionally substituted alkyl, cyano or optionally substituted phenyl);

R^3 and R^4, which may be the same or different, are R^b, cyano or nitro (R^3 and R^4 are preferably hydrogen, acyl or optionally substituted alkyl);

or any R^1, R^2, R^3 or R^4 group, together with the interconnecting atoms, can form a 5- or 6-membered ring with any other R^1, R^2, R^3 or R^4, or any R^1, R^2, R^3 or R^4 group, together with the interconnecting atoms can form a 5- or 6-membered ring with A^2;

X is oxygen, sulfur, $N\text{-}OR^b$, $N\text{-}R^b$ or $N\text{-}N(R^b)_2$ (X is preferably oxygen or sulfur); and

Y is halogen, $-OR^b$, $-SR^b$, $-N(R^b)_2$, $-NR^b(OR^b)$ or $-NR^bN(R^b)_2$;

wherein R^b is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted; or hydrogen or acyl, or two adjacent R^b groups together with the nitrogen atom to which they are attached may form a 5- or 6-membered ring.

Preferred substituents on the 2-pyridyl group (A^1) are halogen, hydroxy, cyano, nitro, SF_5, trialkylsilyl, optionally substituted amino, acyl, or a group $-R^a$, $-OR^a$ or $-SR^a$, or a group $-C(R^a)=N\text{-}Q$, where Q is $-R^a$, $-OR^a$, $-SR^a$ or optionally substituted amino, wherein R^a is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted; or two adjacent substituents together with the atoms to which they are attached form an optionally substituted ring which can contain up to 3 hetero atoms. Especially preferred substituents are alkoxy, alkyl, cyano, halogen, nitro, alkoxycarbonyl, alkylsulfinyl, alkylsulfonyl and trifluoromethyl, particularly chlorine and trifluoromethyl.

Preferably, the 2-pyridyl group is substituted at the 3 and/or 5 position.

The invention also includes any of the compounds specifically exemplified hereinafter.

Any alkyl group may be straight or branched and is preferably of 1 to 10 carbon atoms, especially 1 to 7 and particularly 1 to 5 carbon atoms.

Any alkenyl or alkynyl group may be straight or branched and is preferably of 2 to 7 carbon atoms and may contain up to 3 double or triple bonds which may be conjugated, for example vinyl, allyl, butadienyl or propargyl.

Any carbocyclyl group may be saturated, unsaturated or aromatic, and contain 3 to 8 ring-atoms. Preferred saturated carbocyclyl groups are cyclopropyl, cyclopentyl or cyclohexyl. Preferred unsaturated carbocyclyl groups contain up to 3 double bonds. A preferred aromatic carbocyclyl group is phenyl. The term carbocylic should be similarly construed. In addition, the term carbocyclyl includes any fused combination of carbocyclyl groups, for example naphthyl, phenanthryl, indanyl and indenyl.

Any heterocyclyl group may be saturated, unsaturated or aromatic, and contain 5 to 7 ring-atoms up to 4 of which may be hetero-atoms such as nitrogen, oxygen and sulfur. Examples of heterocyclyl groups are furyl, thienyl, pyrrolyl, pyrrolinyl, pyrrolidinyl, imidazolyl, dioxolanyl, oxazolyl, thiazolyl, imidazolyl, imidazolinyl, imidazolidinyl, pyrazolyl, pyrazolinyl, pyrazolidinyl, isoxazolyl, isothiazolyl, oxadiazolyl, triazolyl, thiadiazolyl, pyranyl, pyridyl, piperidinyl, dioxanyl, morpholino, dithianyl, thiomorpholino, pyridazinyl, pyrimidinyl, pyrazinyl, piperazinyl, sulfolanyl, tetrazolyl, triazinyl, azepinyl, oxazepinyl, thiazepinyl, diazepinyl and thiazolinyl. In addition, the term heterocyclyl includes fused heterocyclyl groups, for example benzimidazolyl, benzoxazolyl, imidazopyridinyl, benzoxazinyl, benzothiazinyl, oxazolopyridinyl, benzofuranyl, quinolinyl, quinazolinyl, quinoxalinyl, dihydroquinazolinyl, benzothiazolyl, phthalimido, benzofuranyl, benzodiazepinyl, indolyl and isoindolyl. The term heterocyclic should be similarly construed.

Any alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl group, when substituted, may be substituted by one or more substituents, which may be the same or different, and may be selected from the list: hydroxy; mercapto; azido; nitro; halogen; cyano; acyl; optionally substituted amino; optionally substituted carbocyclyl; optionally substituted heterocyclyl; cyanato; thiocyanato; $-SF_5$; $-OR^a$; $-SR^a$ and $-Si(R^a)_3$, where R^a is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted. In the case of any carbocyclyl or heterocyclyl group the list includes additionally: alkyl, alkenyl and alkynyl, each of which may be substituted. Preferred substituents on any alkyl, alkenyl or alkynyl group are alkoxy, haloalkoxy or alkylthio, each containing 1 to 5 carbon atoms; halogen; or

optionally substituted phenyl. Preferred substituents on any carbocyclyl or heterocyclyl group are alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio, each containing 1 to 5 carbon atoms; halogen; or optionally substituted phenyl.

In the case of any alkyl group or any unsaturated ring-carbon in any carbocyclyl or heterocyclyl group the list includes a divalent group such as oxo or imino, which may be substituted by optionally substituted amino, R^a or $-OR^a$. Preferred groups are oxo, imino, alkylimino, oximino, alkyloximino or hydrazono.

Any amino group, when substituted and where appropriate, may be substituted by one or two substituents which may be the same or different, selected from the list: optionally substituted alkyl, optionally substituted amino, $-OR^a$ and acyl groups. Alternatively two substituents together with the nitrogen to which they are attached may form a heterocyclyl group, preferably a 5 to 7-membered heterocyclyl group, which may be substituted and may contain other hetero atoms, for example morpholino, thiomorpholino or piperidinyl.

The term acyl includes the residues of sulfur and phosphorus-containing acids as well as carboxylic acids. Typically the residues are covered by the general formulae $-C(=X^a)R^c$, $-S(O)_pR^c$ and $-P(=X^a)(OR^a)(OR^a)$, where appropriate X^a is O or S, R^c is as defined for R^a, $-OR^a$, $-SR^a$, optionally substituted amino or acyl; and p is 1 or 2. Preferred groups are $-C(=O)R^d$, $-C(=S)R^d$, and $-S(O)_pR^d$ where R^d is alkyl, C_1 to C_5 alkoxy, C_1 to C_5 alkylthio, phenyl, heterocyclyl or amino, each of which may be substituted.

Complexes of compounds of the invention are usually formed from a salt of formula MAn_2, in which M is a divalent metal cation, e.g. copper, manganese, cobalt, nickel, iron or zinc and An is an anion, e.g. chloride, nitrate or sulfate.

In cases where the compounds of the invention exist as the E and Z isomers, the invention includes individual isomers as well as mixtures thereof.

In cases where compounds of the invention exist as tautomeric isomers, the invention includes individual tautomers as well as mixtures thereof.

In cases where the compounds of the invention exist as optical isomers, the invention includes individual isomers as well as mixtures thereof.

The compounds of the invention have activity as fungicides, especially against fungal diseases of plants, e.g. mildews and particularly cereal powdery mildew (*Erysiphe graminis*) and vine downy mildew (*Plasmopara viticola*), rice blast (*Pyricularia oryzae*), cereal eyespot (*Pseudocercosporella herpotrichoides*), rice sheath blight (*Pellicularia sasakii*), grey mould (*Botrytis cinerea*), damping off (*Rhizoctonia solani*), wheat brown rust (*Puccinia recondita*), late tomato or potato blight (*Phytophthora infestans*), apple scab (*Venturia inaequalis*), and glume blotch (*Leptosphaeria nodorum*). Other fungi against which the compounds may be active include other powdery mildews, other rusts, and other general pathogens of Deuteromycete, Ascomycete, Phycomycete and Basidomycete origin.

The invention thus also provides a method of combating fungi at a locus infested or liable to be infested therewith, which comprises applying to the locus a compound of formula I.

The invention also provides an agricultural composition comprising a compound of formula I in admixture with an agriculturally acceptable diluent or carrier.

The composition of the invention may of course include more than one compound of the invention.

In addition, the composition can comprise one or more additional active ingredients, for example compounds known to possess plant-growth regulant, herbicidal, fungicidal, insecticidal, acaricidal, antimicrobial or antibacterial properties. Alternatively the compound of the invention can be used in sequence with the other active ingredient.

The diluent or carrier in the composition of the invention can be a solid or a liquid optionally in association with a surface-active agent, for example a dispersing agent, emulsifying agent or wetting agent. Suitable surface-active agents include anionic compounds such as a carboxylate, for example a metal carboxylate of a long chain fatty acid; an *N*-acylsarcosinate; mono- or di-esters of phosphoric acid with fatty alcohol ethoxylates or alkyl phenol ethoxylates or salts of such esters; fatty alcohol sulfates such as sodium dodecyl sulfate, sodium octadecyl sulfate or sodium cetyl sulfate; ethoxylated fatty

alcohol sulfates; ethoxylated alkylphenol sulfates; lignin sulfonates; petroleum sulfonates; alkyl-aryl sulfonates such as alkyl-benzene sulfonates or lower alkylnaphthalene sulfonates, e.g. butyl-naphthalene sulfonate; salts of sulfonated naphthalene-formaldehyde condensates; salts of sulfonated phenol-formaldehyde condensates; or more complex sulfonates such as the amide sulfonates, e.g. the sulfonated condensation product of oleic acid and *N*-methyl taurine; the dialkyl sulfosuccinates, e.g. the sodium sulfonate of dioctyl succinate; acid derivatives of alkyl glycosides and alkylpolyglycosides materials and their metal salts, e.g. alkyl polyglycoside citrate or tartrate materials; or mono-, di- and tri-alkyl esters of citric acid and their metal salts.

Nonionic agents include condensation products of fatty acid esters, fatty alcohols, fatty acid amides or fatty-alkyl- or alkenyl-substituted phenols with ethylene and/or propylene oxide; fatty esters of polyhydric alcohol ethers, e.g. sorbitan fatty acid esters; condensation products of such esters with ethylene oxide, e.g. polyoxyethylene sorbitan fatty acid esters; alkyl glycosides, alkyl polyglycoside materials; block copolymers of ethylene oxide and propylene oxide; acetylenic glycols such as 2,4,7,9-tetramethyl-5-decyne-4,7-diol, ethoxylated acetylenic glycols; acrylic based graft copolymers; alkoxylated siloxane surfactants; or imidazoline type surfactants, e.g. 1-hydroxyethyl-2-alkylimidazoline.

Examples of a cationic surface-active agent include, for instance, an aliphatic mono-, di-, or polyamine as an acetate, naphthenate or oleate; an oxygen-containing amine such as an amine oxide, polyoxyethylene alkylamine or polyoxypropylene alkylamine; an amide-linked amine prepared by the condensation of a carboxylic acid with a di- or polyamine; or a quaternary ammonium salt.

The compositions of the invention can take any form known in the art for the formulation of agrochemicals, for example, a solution, an aerosol, a dispersion, an aqueous emulsion, a microemulsion, a dispersible concentrate, a dusting powder, a seed dressing, a fumigant, a smoke, a dispersible powder, an emulsifiable concentrate, granules or an impregnated strip. Moreover it can be in a suitable form for direct application or as a concentrate or primary composition which requires dilution with a suitable quantity of water or other diluent before application.

A dispersible concentrate comprises a compound of the invention dissolved in one or more water miscible or semi-water miscible solvents together with one or more surface activeand/or polymeric material. Addition of the formulation to water results in the crystalisation of the active ingredient, the process being controlled by the surfactants and/or polymers resulting in a fine dispersion.

A dusting powder comprises a compound of the invention intimately mixed and ground with a solid pulverulent diluent, for example, kaolin.

An emulsifiable concentrate comprises a compound of the invention dissolved in a water-immiscible solvent which forms an emulsion or microemulsion on addition to water in the presence of an emulsifying agent.

A granular solid comprises a compound of the invention associated with similar diluents to those that may be employed in dusting powders, but the mixture is granulated by known methods. Alternatively it comprises the active ingredient absorbed or coated on a pre-formed granular carrier, for example, Fuller's earth, attapulgite, silica or limestone grit.

Wettable powders, granules or grains usually comprise the active ingredient in admixture with suitable surfactants and an inert powder diluent such as clay or diatomaceous earth.

Another suitable concentrate is a flowable suspension concentrate which is formed by grinding the compound with water or other liquid, surfactants and a suspending agent.

The concentration of the active ingredient in the composition of the present invention, as applied to plants is preferably within the range of 0.0001 to 1.0 per cent by weight, especially 0.0001 to 0.01 per cent by weight. In a primary composition, the amount of active ingredient can vary widely and can be, for example, from 5 to 95 per cent by weight of the composition.

The invention is generally applied to seeds, plants or their habitat. Thus, the compound can be applied directly to the soil before, at or after drilling so that the presence of active compound in the soil can control the growth of fungi which may attack seeds. When the soil is treated directly the active compound can be applied in any manner which all ws it to be

intimately mixed with the soil such as by spraying, by broadcasting a solid form of granules, or by applying the active ingredient at the same time as drilling by inserting it in the same drill as the seeds. A suitable application rate is within the range of from 5 to 1000 g per hectare, more preferably from 10 to 500 g per hectare.

Alternatively the active compound can be applied directly to the plant by, for example, spraying or dusting either at the time when the fungus has begun to appear on the plant or before the appearance of fungus as a protective measure. In both such cases the preferred mode of application is by foliar spraying. It is generally important to obtain good control of fungi in the early stages of plant growth, as this is the time when the plant can be most severely damaged. The spray or dust can conveniently contain a pre- or post-emergence herbicide if this is thought necessary. Sometimes, it is practicable to treat the roots, bulbs, tubers or other vegetative propagule of a plant before or during planting, for example, by dipping the roots in a suitable liquid or solid composition. When the active compound is applied directly to the plant a suitable rate of application is from 0.025 to 5 kg per hectare, preferably from 0.05 to 1 kg per hectare.

In addition, the compounds of the invention can be applied to harvested fruits, vegetables or seeds to prevent infection during storage.

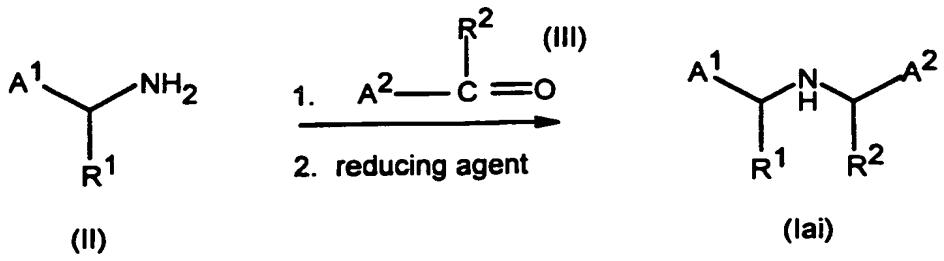
In addition, the compounds of the invention can be applied to plants or parts thereof which have been genetically modified to exhibit a trait such as fungal and/or herbicidal resistance.

In addition the compounds of the invention can be used to treat fungal infestations in timber and in public health applications. Also the compounds of the invention can be used to treat insect and fungus infestations in domestic and farm animals.

Compounds of the invention may be prepared, in known manner, in a variety of ways.

Compounds of formula Iai, i.e. compounds of general formula I where L is $-CH(R^1)NHCH(R^2)-$, may be prepared according to reaction scheme 1. Compounds of formula II or their hydrochloride salts can be condensed with compounds of formula III and the intermediate reduced with a suitable reagent such as sodium cyanoborohydride to give compounds of formula Iai.

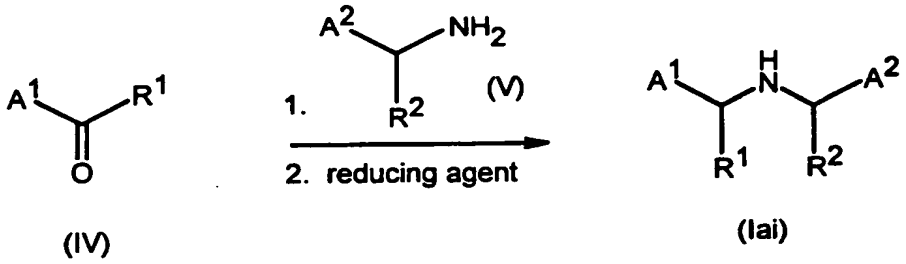
Scheme 1



Compounds of formula II may be prepared by methods described in international application PCT/GB/99/00304.

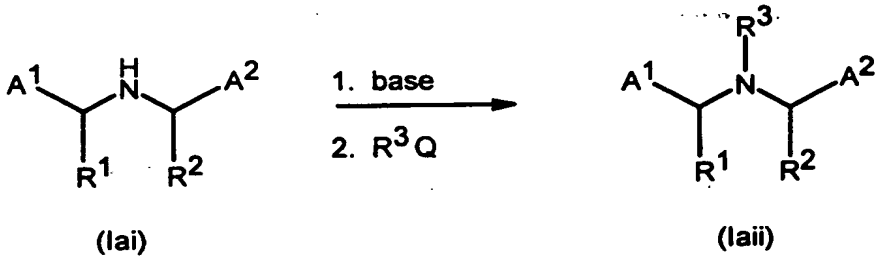
Compounds of formula Iai may also be prepared by reacting compounds of formula IV with compounds of formula V in the same manner as above (Scheme 2).

Scheme 2



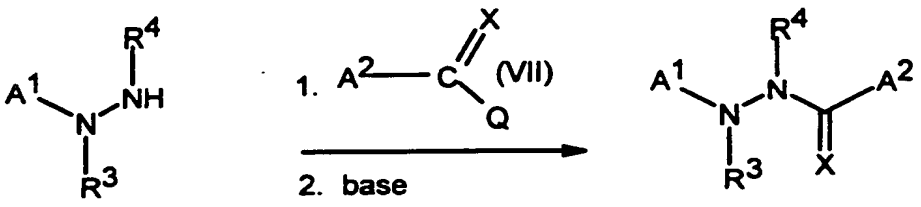
Compounds of formula Iaii, i.e. compounds of general formula I where L is $-CH(R^1)N(R^3)CH(R^2)-$ and R^3 is not hydrogen, may be prepared by reacting compounds f formula Iai with a base and R^3Q, where Q is a leaving group such as a halogen. A suitable base is triethylamine (Scheme 3).

Scheme 3



Compounds of formula Ib, i.e. compounds of general formula I where L is $-N(R^3)N(R^4)C(=X)-$, may be prepared according to reaction scheme 4 by reacting compounds of f rmula VI with compounds of formula VII, where Q is a leaving group such as halogen, preferably chlorine. A preferred base is triethylamine.

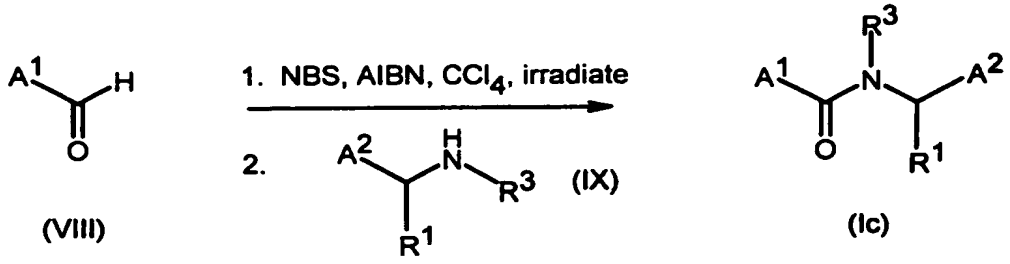
Scheme 4



(VI) (Ib)

Compounds of formula Ic, i.e. compounds of general formula I where L is -C(=O)N(R^3)CH(R^1)-, may be prepared by radical bromination of compounds of formula VIII, followed by reaction of these intermediates with compounds of formula IX according to scheme 5. Preferred reaction conditions are irradiation of a solution of VIII in carbon tetrachloride in the presence of *N*-bromosuccinimide and a catalytic amount of 2,2'-azobisisobutyronitrile, followed by addition of IX..

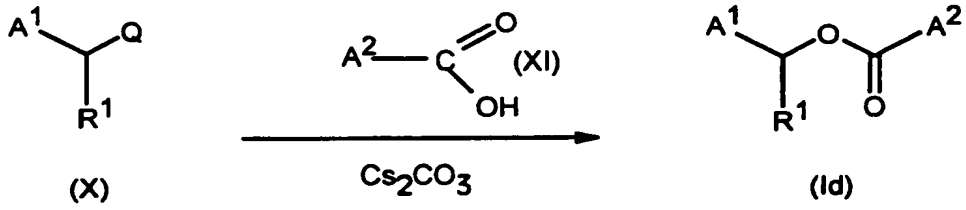
Scheme 5



(VIII) (Ic)

Compounds of formula Id, i.e. compounds of general formula I where L is -CH(R^1)O(C=O)-, may be prepared according to reaction scheme 6 by formation of the cesium salt of compounds of formula XI, followed by reaction with compounds of formula X where Q is a suitable leaving group, such as chlorine.

Scheme 6

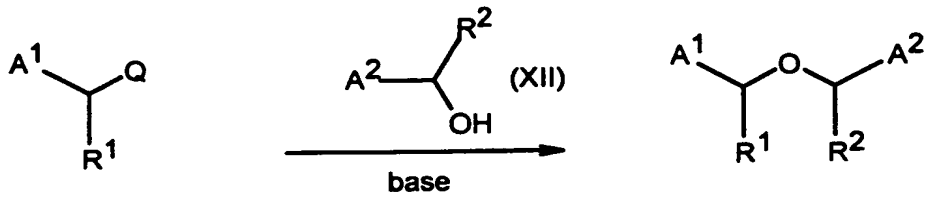


(X) (Id)

Compounds of formula Ie, i.e. compounds of general formula I where L is -CH(R^1)OCH(R^2)-, may be prepared by reaction of compounds of formula XII with a

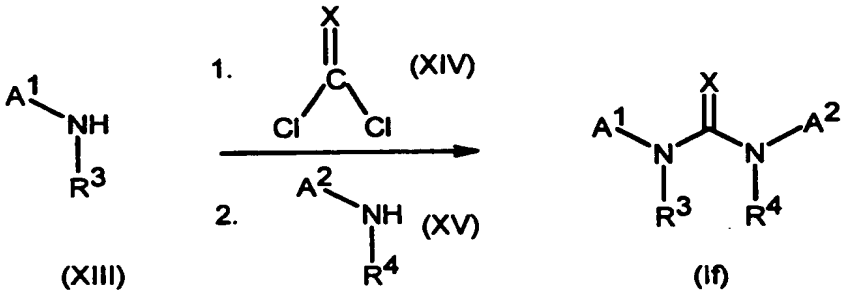
suitable base such as sodium hydride, followed by reaction of the resulting anion with compounds of formula X, where Q is a suitable leaving group such as halogen, according to reaction scheme 7.

Scheme 7



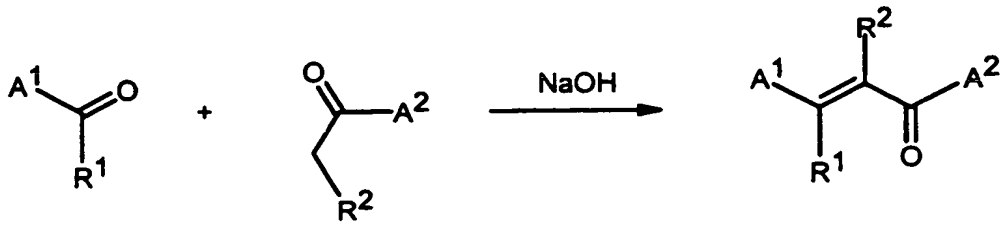
Compounds of formula If, i.e. compounds of general formula I where L is $-N(R^3)C(=X)N(R^4)-$ and X is O or S, may be prepared according to reaction scheme 8 by reaction of compounds of formula XIII with compounds of formula XIV, where X is O or S, followed by the addition of compounds of formula XV. The order of addition of compounds of formulae XIII and XV may be reversed.

Scheme 8



Compounds of formula Ig, i.e. compounds of general formula I where L is $-C(R^1)=C(R^2)C(=O)-$, may be prepared according to reaction scheme 9 by reaction of compounds of formula XVI with compounds of formula XVII in the presence of sodium hydroxide.

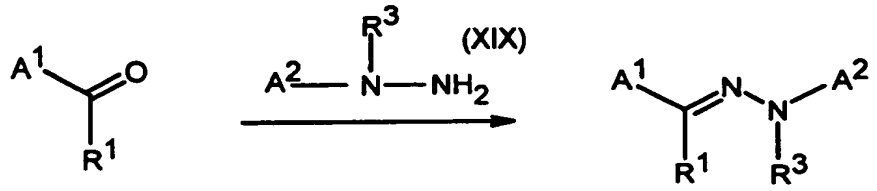
Scheme 9



(XVI) (XVII) (Ig)

Compounds of formula Ih, i.e. compounds of general formula I where L is $-C(R^1)=N-N(R^3)-$, may be prepared by reacting compounds of formula XVIII with compounds of formula XIX according to reaction scheme 10.

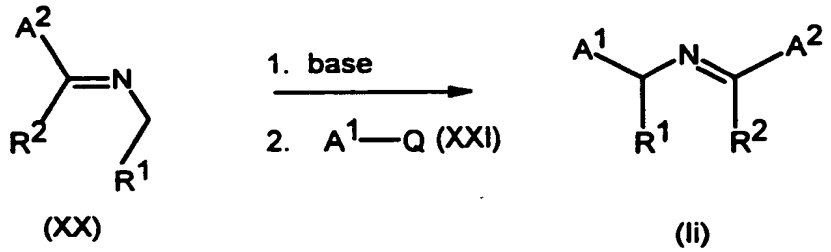
Scheme 10



(XVIII) (Ih)

Compounds of formula Ii, i.e. compounds of general formula I where L is $-CH(R^1)N=C(R^2)-$, may be prepared according to reaction scheme 11 by reacting compounds of formula XX with a base, followed by reaction with compounds of formula XXI, where Q is a suitable leaving group, preferably chlorine. A suitable base is sodium hydride. Compounds of formula XX are known or can be prepared in a known manner by a skilled chemist.

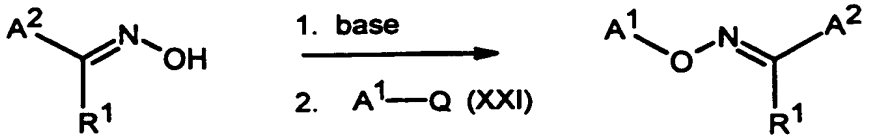
Scheme 11



(XX) (Ii)

Compounds of formula Ij, i.e. compounds of general formula I where L is -O-N=C(R^1)-, may be prepared according to reaction scheme 12 by the reaction of compounds of formula XXII with a base, followed by reaction with compounds of formula XXI, where Q is a suitable leaving group, preferably chlorine. A suitable base is sodium hydride.

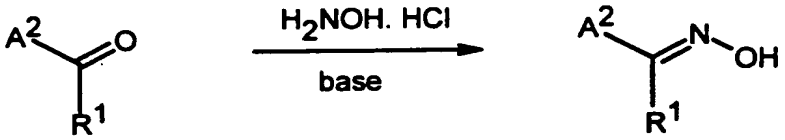
Scheme 12



(XXII) (Ij)

Compounds of formula XXII may be prepared according to reaction scheme 13.

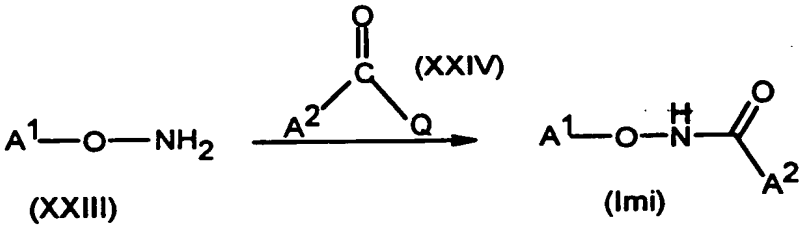
Scheme 13



(XXII)

Compounds of formula Imi, i.e. compounds of general formula I where L is -O-NHC(=O)-, may be prepared according to reaction scheme 14 by the reaction of compounds of formula XXIII with compounds of formula XXIV, where Q is a suitable leaving group.

Scheme 14

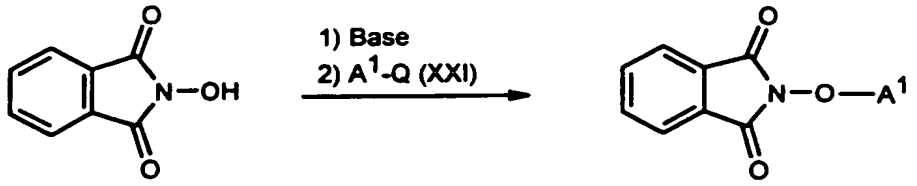


(XXIII) (Imi)

Compounds of formula XXIV can be prepared from the corresponding hydroxy compounds by methods known to the skilled chemist. Compounds of formula XXIV can be isolated and used according to scheme 14 or generated *in situ* and used without isolation. A typical method, known to the skilled chemist, uses carbonyldiimidazole to generate compounds of formula XXIV *in situ*.

Compounds of formula XXIII can be prepared according to reaction scheme 15.

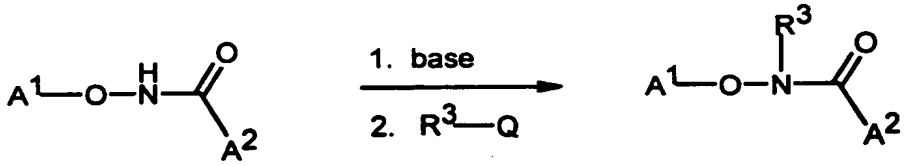
Scheme 15



(XXIII)

Compounds of formula Imii, i.e. compounds of general formula I where L is -O-N(R^3)C(=O)- wherein R^3 is not hydrogen, may be prepared by reaction of compounds of formula Imi with a base, followed by reaction with R^3Q, where Q is a suitable leaving group, such as a halogen. A suitable base is potassium *tert*-butoxide (Scheme 16).

Scheme 16



(Imi) (Imii)

Other methods will be apparent to the chemist skilled in the art, as will be the methods for preparing starting materials and intermediates.

Collections of compounds of formula I may also be prepared in a parallel manner, either manually, automatically or semi-automatically. This parallel preparation may be applied to the reaction procedure, work-up or purification of products or intermediates. For a review of such procedures see by S.H. DeWitt in "Annual Reports in Combinatorial Chemistry and Molecular Diversity: Automated synthesis", Volume 1, Verlag Escom 1997, pages 69 to 77.

Furthermore, compounds of the formula I may be prepared using solid-supported methods, where the reactants are bound to a synthetic resin. See for example: Barry A. Bunin in "The

Combinatorial Index", Academic Press, 1998 and "The tea-bag method" (Houghten, US 4,631,211; Houghten et al., Proc. Natl. Acad. Sci, 1985, 82, 5131-5135).

The invention is illustrated in the following Examples. Structures of isolated, novel compounds were confirmed by NMR and/or other appropriate analyses.

Example 1

N-(2-Chlorobenzyl)-*N*-{1-[3-chloro-5-(trifluorormethyl)-2-pyridyl]ethyl}amine (Compound 27)

α-Methyl-[3-chloro-5-(trifluoromethyl)-2-pyridyl]methylamine (0.2 g) was dissolved in trimethylorthoformate (10 ml) and triethylamine (0.22 ml) was added. After 5 minutes 2-chlorobenzaldehyde (0.26 g) was added and the resulting mixture stirred for 3.5 hours at room temperature to give a precipitate. Sodium cyanoborohydride (1.5 ml, 0.1M solution in tetrahydrofuran) and acetic acid (0.1 ml) were then added and the mixture stirred for 16 hours at room temperature. Brine (5 ml) and water (10 ml) were then added and the mixture stirred for 20 minutes. The phases were separated and the organic phase evaporated. The residue was purified by silica gel chromatography to give the title product, m.p.117 °C.

Example 2

N-{[3-Chloro-5-(trifluoromethyl)-2-pyridyl]methyl}-N-[1-(3,4-difluorophenyl)-ethyl]amine (Compound 23)

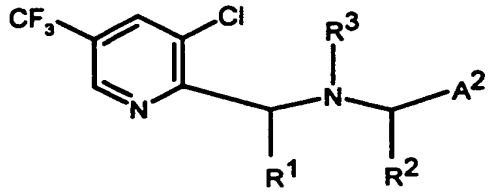
Triethylamine (0.08 ml) and 1-(3,4-difluorophenyl)-1-ethanamine (0.11 g) were dissolved in trimethylorthoformate (10 ml). 3-Chloro-(5-trifluoromethyl)-pyridine-2-carboxaldehyde (0.15 g) was added and the solution stirred for 4 hours at room temperature. Sodium cyanoborohydride (1 ml, 0.1M solution in tetrahydrofuran) and acetic acid (0.07 ml) were then added and the mixture stirred for 16 hours at room temperature. Brine (5 ml) and water (10 ml) were then added and the mixture stirred for 20 minutes. The phases were separated and the organic phase evaporated. The crude material was purified by silica gel chromatography to give the title product, ^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.4 (3H, d), 2.6 (1H, broad s), 3.8 (1H, q), 3.9 (1H, s), 7.1–7.3 (3H, m), 7.9 (1H, s) and 8.8 (1H, s).

Example 3

Ethyl 2-[acetyl(benzyl)amino]-2-[3-chloro-5-(trifluoromethyl)-2-pyridyl]acetate (Compound 4)

To a solution of compound 1 (0.6 mmol) in diethyl ether was added triethylamine (0.7 mmol) followed by acetyl chloride in diethyl ether (0.7 mmol). The mixture was stirred for two hours at room temperature before the addition of hydrochloric acid (8 ml, 2M). The organic phase was isolated, washed with sodium bicarbonate (10ml), dried over magnesium sulfate and evaporated to yield the title compound, ^{1}H N.M.R ($CDCl_3$) (ppm) δ1.2 (3H, t), 2.3 (3H, s), 4.2 (2H, q), 4.8 (2H, q), 6.8-7.1 (6H, m), 7.5 (1H, s) and 8.5 (1H, s).

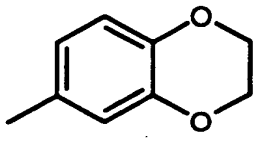
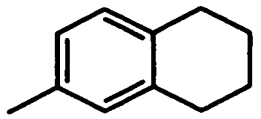
The following compounds of formula Iz (see Table A), i.e. compounds of general formula I where A^1 is 3-Cl-5-CF_3-2-pyridyl and L is $-CH(R^1)N(R^3)CH(R^2)-$, may be prepared by methods analogous to those of Examples 1, 2 and 3. The amine starting materials were obtained using methods described in international application PCT/GB/99/00304.

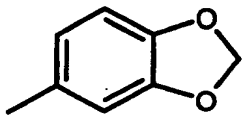


(Iz)

Table A

Cmp	R^1	R^2	R^3	A^2	m.p./°C
1	EtOC(=O)-	H	H	phenyl	oil
2	EtOC(=O)-	H	H	2-Cl-phenyl	oil
3	EtOC(=O)-	H	H	3,4-methylenedioxyphenyl	oil
4	EtOC(=O)-	H	MeC(=O)-	phenyl	oil
5	EtOC(=O)-	H	$MeOCH_2C(=O)$-	phenyl	oil
6	EtOC(=O)-	H	MeOC(=O)-C(=O)-	phenyl	104-7
7	EtOC(=O)-	H	MeC(=O)-	2-Cl-phenyl	77-81
8	EtOC(=O)-	H	$MeOCH_2C(=O)$-	2-Cl-phenyl	oil
9	EtOC(=O)-	H	MeOC(=O)-C(=O)-	2-Cl-phenyl	128-31
10	EtOC(=O)-	H	MeC(=O)-	3,4-methylenedioxyphenyl	oil
11	EtOC(=O)-	H	$MeOCH_2C(=O)$-	3,4-methylenedioxyphenyl	oil
12	EtOC(=O)-	H	MeOC(=O)-C(=O)-	3,4-methylenedioxyphenyl	106-9
13	H	$MeOC(=O)CH_2$-	H	phenyl	oil

Cmp	R^1	R^2	R^3	A^2	m.p./°C
14	H	EtOC(=O)CH_2-	H	phenyl	oil
15	H	H	H	phenyl	oil
16	H	H	H	2-Cl-6-F-phenyl	oil
17	H	Me	H	2-Cl-phenyl	oil
18	H	Me	H	2,6-diF-phenyl	oil
19	H	Me	H		oil
20	H	Me	H	4-tolyl	oil
21	H	H	H	2,5-diF-phenyll	oil
22	H	Me	H	4-NO_2-phenyl	oil
23	H	Me	H	3,4-diF-phenyl	oil
24	H	H	H	2-Cl-phenyl	oil
25	H	H	H	4-PhO-phenyl	oil
26	H	H	H	2-NO_2-phenyl	oil
27	Me	H	H	2-Cl-phenyl	117
28	Me	H	H	2-NO_2-phenyl	136
29	H	Me	H	phenyl	oil
30	H	Me	H	3-CF_3O-phenyl	oil
31	H	Me	H	4-CF_3O-phenyl	oil
32	H	Me	H		oil
33	H	Me	H	4-Cl-phenyl	oil
34	H	Me	H	4-Br-phenyl	oil
35	Me	H	H	cyclohexyl	oil
36	Me	H	H	2-F-phenyl	oil
37	Me	H	H	4-Cl-phenyl	oil
38	Me	H	H	2,5-diMeO-phenyl	oil
39	Me	H	H	2-Cl-6-F-phenyl	oil
40	Me	H	H	2-Br-phenyl	oil
41	Me	H	H	3-CF_3O-phenyl	oil
42	Me	H	H	4-MeS-phenyl	il

Cmp	R^1	R^2	R^3	A^2	m.p./°C
43	Me	H	H	2,5-xylyl	oil
44	H	H	H	cyclohexyl	oil
45	H	H	H	3-Br-phenyl	oil
46	H	H	H	4-Me_2N-phenyl	oil
47	H	H	H	4-Cl-phenyl	oil
48	H	H	H	2-F-phenyl	oil
49	H	H	H	2,5-diMeO-phenyl	oil
50	H	H	H	2-Br-phenyl	oil
51	H	H	H	4-NO_2-phenyl	oil
52	H	H	H	2,5-xylyl	oil
53	H	Me	H		oil
54	H	H	H	pentaF-phenyl	oil

The ^{1}H N.M.R. or mass spectral data of those compounds in Table A which were not solid at room temperature are presented below.

Compound 1

^{1}H N.M.R ($CDCl_3$) δ (ppm) 1.2 (3H, t), 2.9 (1H, broad s), 3.8 (1H, q), 4.2 (2H, m), 5.0 (1H, s), 7.4-7.2 (5H, m), 7.9 (1H, s), 8.7 (1H, s).

Compound 2

^{1}H N.M.R ($CDCl_3$) δ (ppm) 1.2 (3H, t), 3.1 (1H, broad s), 4.0 (2H, q), 4.2 (2H, m), 5.1 (1H, s), 7.5-7.2 (4H, m), 8.0 (1H, s), 8.7 (1H, s).

Compound 3

^{1}H N.M.R ($CDCl_3$) δ (ppm) 1.2 (3H, t), 2.4 (1H, broad s), 3.8 (2H, q), 4.2 (2H, m), 5.0 (1H, s), 5.9 (2H, s), 6.74 (1H, d), 6.76 (1H, s), 6.83 (1H, s), 7.9 (1H, s), 8.7 (1H, s).

Compound 4

^{1}H N.M.R ($CDCl_3$) δ (ppm) 1.2 (3H, t), 2.3 (3H, s), 4.2 (2H, q), 4.8 (2H, q), 6.8-7.1 (6H, m), 7.5 (1H, s), 8.5 (1H, s).

Compound 5

m/z (APCI) 445 $(M+H)^+$.

Compound 8

m/z (APCI) 479 $(M+H)^+$.

Compound 10

m/z (APCI) 487 (M^-)

Compound 11

m/z (APCI) 459 $(M+H)^+$.

Compound 13

^{1}H N.M.R ($CDCl_3$) δ(ppm) 2.7 (1H, dd), 2.9 (1H, dd), 3.6 (3H, s), 3.9 (2H, s), 4.2 (1H, m), 7.3 (5H, m), 7.8 (1H, s), 8.8 (1H, m).

Compound 14

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.2 (3H, t), 2.7 (1H, dd), 2.8 (1h, dd), 3.9 (2H, s), 4.1 (2H, q), 4.2 (1H, m), 7.2-7.4 (5H, m), 7.8 (1H, s), 8.8 (1H, s).

Compound 15

^{1}H N.M.R ($CDCl_3$) δ(ppm) 4.2 (2H, s), 5.3 (2H, s), 7.3 (6H, m), 8.8 (1H, s), 8.9 (1H, s).

Compound 16

^{1}H N.M.R ($CDCl_3$) δ(ppm) 2.7 (1H, broad s), 4.05 (4H, s), 6.9-7.2 (3H, m), 7.8 (1H, s), 8.6 (1H, s).

Compound 17

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.4 (3H, d), 2.5 (1H, broad s), 3.9 (2H, m), 4.3 (1H, q), 7.1-7.3 (3H, m) 7.5 (1H, m) 7.8 (1H, s), 8.7 (1H, s).

Compound 18

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.5 (3H, d), 2.6 (1H, broad s), 3.8 (1H, m), 4.0 (1H, m) 4.3 (1H, q), 6.8 (2H, m) 7.1 (1H, m) 7.8 (1H, s) 8.6 (1H, s).

Compound 19

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.4 (3H, d) 2.6 (1H, broad s), 3.8 (1H, q), 4.0 (2H, s), 4.3 (4H, s) 6.8 (2H, s), 6.9 (1H, s), 7.9 (1H, s), 8.7 (1H, s).

Compound 20

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.5 (3H, d), 2.4 (3H, s), 2.8 (1H, broad s), 3.8 (1H, q), 4.0 (2H, m), 7.2 (2H, d), 7.3 (2H, d), 7.8 (1H, s), 8.7 (1H, s).

Compound 21

^{1}H N.M.R ($CDCl_3$) δ(ppm) 2.5 (1H, broad s), 4.0 (2H, s), 4.1 (2H, s), 6.8 (2H, m), 7.2 (1H, m), 7.8 (1H, s), 8.6 (1H, s).

Compound 22

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.4 (3H, d), 2.5 (1H, broad s), 3.86 (2H, s), 3.9 (1H, m), 7.5 (2H, d), 7.8 (1H, s), 8.1 (2H, d), 8.7 (1H, s).

Compound 23

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.4 (3H, d), 2.6 (1H, broad s), 3.8 (1H, q), 3.9 (1H, s), 7.1–7.3 (3H, m), 7.9 (1H, s), 8.8 (1H, s).

Compound 24

^{1}H N.M.R ($CDCl_3$) δ(ppm) 4.1 (4H, m), 4.4 (1H, dd), 4.6 (1H, dd), 6.5 (1H, broad s), 7.2-7.4 (5H, m), 7.8 (1H, s), 8.6 (1H, s).

Compound 25

^{1}H N.M.R ($CDCl_3$) δ(ppm) 3.9 (1H, dd), 4.2 (1H, dd), 4.4 (2H, m), 6.0 (1H, broad s), 6.9-7.0 (5H, m), 7.2-7.4 (4H, m), 8.0 (1H, s), 8.7 (1H, s).

Compound 26

^{1}H N.M.R ($CDCl_3$) δ(ppm) 4.3 (2H, m), 4.7 (2H, m), 7.0 (1H, broad s), 7.6 (1H, m), 7.7 (2H, m), 7.9 (1H, s), 8.2 (1H, m), 8.6 (1H, s).

Compound 29

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.5 (3H, s), 2.6 (1H, broad s), 3.9 (1H, q), 4.0 (2H, s), 7.2 –7.4 (5H, m), 7.8 (1H, s), 8.7 (1H, s).

Compound 30

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.4 (3H, d), 2.6 (1H, broad s), 3.8 (1H, q), 3.9 (2H, s), 4.0 (2H, s), 7.0 (1H, m), 7.2-7.3 (3H, m), 7.8 (1H, s), 8.7 (1H, s).

Compound 31

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.5 (3H, d), 2.7 (1H, broad s), 3.8 (1H, q), 6.5 (1H, m), 7.1 (2H, d), 7.4 (2H, d), 7.9 (1H, s), 8.8 (1H, s).

Compound 32

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.5 (3H, d), 1.8 (4H, m), 2.8 (4H, m), 3.8 (1H, q), 4.0 (2H, s), 7.1 (3H, m), 7.9 (1H, s), 8.8 (1H, s).

Compound 33

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.42 (3H, d), 2.62 (1H, broad, s), 3.83 (1H, q), 3.92 (2H, s), 7.3 (4H, s), 7.82 (1H, s); 8.75 (1H, s).

Compound 34

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.42 (3H, d), 2.58 (1H, s, broad), 3.82 (1H, q), 3.92 (2H, s), 7.25 (2H, m), 7.45 (2H, m), 7.85 (1H, s), 8.72 (1H, s).

Compound 35

^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 1.38 (3H, d), 4.35 (1H, q), 7.85 (1H, s), 8.75 (1H, s).

Compound 36

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.38 (3H, d), 2.35 (1H, broad, s), 3.68 (2H, m), 4.42 (1H, q), 6.95 (1H, m), 7.05 (1H, m), 7.16 (1H, m), 7.32 (1H, m), 7.82 (1H, s), 8.75 (1H, s).

Compound 37

^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 1.38 (3H, d), 3.56 (2H, m), 4.4 (1H, q), 7.88 (1H, s), 8.78 (1H, s).

Compound 39

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.3 (3H, d), 2.7 (1H, broad, s), 3.8 (2H, s), 4.4 (1H. q), 6.75 (1H, m), 6.98 (2H, m), 7.72 (1H, s), 8.55 (1H, s).

Compound 40

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.41 (3H, d), 2.3 (1H, broad, s), 3.72 (2H, m), 4.25 (1H, q), 7.05-7.5 (4H, m), 7.85 (1H, s), 8.75 (1H, s).

Compound 41

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.38 (3H, d), 2.4 (1H, s, broad), 3.6 (2H, m), 4.4 (1H, q), 7.05-7.5 (4H, m), 7.88 (1H, s), 8.78 (1H, s).

Compound 42

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.38 (3H, d), 2.48 (3H, s), 3.6 (2H, m), 4.45 (1H, q), 7.2 (4H, m), 7.88 (1H, s), 8.78 (1H, s).

Compound 43

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.4 (3H, d), 2.25 (3H, s), 2.32 (3H, s), 2.5 (1H, broad, s), 3.58 (2H, m), 4.48 (1H, q), 6.9-7.08 (3H, m), 7.9 (1H, s), 8.78 (1H, s).

Compound 44

^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 2.53 (2H, d), 4.1 (2H, s), 7.85 (1H, s), 8.75 (1H, s).

Compound 45

^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 3.85 (1H, s), 4.1 (1H, s), 7.9 (1H, s), 8.75 (1H, s).

Compound 46

^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 7.8 (1H, s), 8.68 (1H, s), 3.5 (1H, m), 3.9 (2H, m), 4.1 (1H, m).

Compound 47

^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 3.86 (2H, s), 4.08 (2H, s), 7.90 (1H, s), 8.72 (1H, s).

Compound 48

^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 2.65 (1H, s, broad), 3.98 (2H, s), 4.15 (2H, s), 7.9 (1H, s), 8.75 (1H, s).

Compound 49

^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 3.80 (3H, s), 3.85 (3H, s), 6.88 (2H, m), 7.06 (1H, m), 7.90 (1H, s), 8.72 (1H, s).

Compound 50

^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 4.0 (2H, s), 4.1 (2H, s), 7.85 (1H, s), 8.70 (1H, s).

Compound 51

^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 2.65 (1H, broad, s), 3.83 (2H, s), 4.0 (2H, s), 7.8 (1H, s), 8.65 (1H, s).

Compound 52

^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 3.83 (2H, s), 4.18 (2H, s), 7.9 (1H, s), 8.75 (1H, s).

Compound 53

^{1}H N.M.R ($CDCl_3$) δ(ppm) 1.4 (3H, d), 2.5 (1H, broad s), 3.8 (1H, q), 3.9 (2H, s), 6.0 (2H, s), 6.8-6.9 (3H, m), 7.9 (1H, s), 8.7 (1H, s).

Compound 54

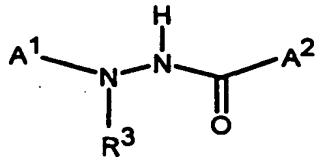
^{1}H N.M.R ($CDCl_3$) δ(ppm) selected peaks at 7.75 (1H, s), 8.80 (1H, s).

Example 4

N'-1-[3-Chloro-5-(trifluoromethyl)-2-pyridyl]-2,6-dichloro-1-benzenecarbohydrazide (Compound 102)

3-Chloro-5-(trifluoromethyl)pyrid-2-ylhydrazine (0.32 g) was dissolved in dichloromethane (7 ml) and treated dropwise with 2,6-dichlorobenzoyl chloride (0.31 g) in dichloromethane (2 ml). Triethylamine (0.15 g) was then added and the reaction stirred at room temperature overnight. The organic solution was washed sequentially with sodium bicarbonate solution and brine and evaporated to give a solid. The residue was purified by trituration (dichloromethane) to give the title compound, m.p. 212-5 °C.

The following compounds of formula Iy (see Table B), i.e. compounds of general formula I where L is $-N(R^3)NHC(=O)-$, may be prepared by methods analogous to those of Example 4.



(Iy)

Table B

Cmp	A^1	R^3	A^2	m.p./°C
101	3-Cl-5-CF_3-phenyl	H	2-Cl-phenyl	168-70
102	3-Cl-5-CF_3-phenyl	H	2,6-diCl-phenyl	212-5

Cmp	A^1	R^3	A^2	m.p./°C
103	3-Cl-5-CF_3-phenyl	H	2-NO_2-phenyl	182-3
104	3-Cl-5-CF_3-phenyl	H	2,6-diMeO-phenyl	204-6
105	3-Cl-5-CF_3-phenyl	H	2-tolyl	168-9
107	3-Cl-5-CF_3-phenyl	H	cyclopropyl	152-4
108	3-Cl-5-CF_3-phenyl	H	cyclohexyl	111-4
109	3-Cl-5-CF_3-phenyl	Me	2,6-diCl-phenyl	219-20
110	3-Cl-5-CF_3-phenyl	Me	2-NO_2-phenyl	198-9
111	3-Cl-5-CF_3-phenyl	Me	2,6-diMeO-phenyl	234-6
112	3-Cl-5-CF_3-phenyl	Me	2-tolyl	202-4
113	3-Cl-5-CF_3-phenyl	Me	2-Cl-6-F-phenyl	207-8
115	3-Cl-5-CF_3-phenyl	Me	cyclopropyl	159-60
116	3-Cl-5-CF_3-phenyl	Me	cyclohexyl	216-9
117	5-Cl-3-CF_3-phenyl	H	2,6-diCl-phenyl	199-203
118	5-Cl-3-CF_3-phenyl	H	2-NO_2-phenyl	156-8
119	5-Cl-3-CF_3-phenyl	H	2,6-diMeO-phenyl	194-5
120	5-Cl-3-CF_3-phenyl	H	2-tolyl	180-1
121	5-Cl-3-CF_3-phenyl	H	2-Cl-6-F-phenyl	173-5
123	5-Cl-3-CF_3-phenyl	H	cyclopropyl	143-5
124	5-Cl-3-CF_3-phenyl	H	cyclohexyl	121
125	3-Cl-5-CF_3-phenyl	H	2,3,6-triF-phenyl	154-6
126	3-Cl-5-CF_3-phenyl	H	2-Cl-6-F-phenyl	192

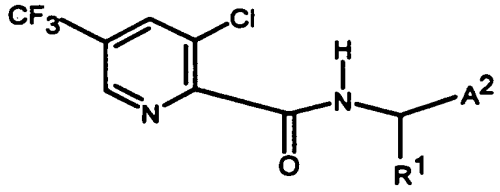
Example 5

N-2-(Phenylethyl)-3-chloro-5-(trifluoromethyl)-2-pyridinecarboxamide (Compound 206)

3-Chloro-(5-trifluoromethyl)pyridine-2-carboxaldehyde (0.15 g) was dissolved in carbon tetrachloride (10 ml). 2,2'-Azobisisobutyronitrile (0.002 g) and *N*-bromosuccinimide (0.16 g) were added and the mixture was heated to reflux using a sun lamp. After 45 minutes the solution was cooled down to 0 °C. (R)-(+)-α-methylbenzylamine (0.09 g) in carbon tetrachloride (0.3 ml) was added and stirred for 20 minutes at 0°C, then for 3 hours at room temperature. The mixture was diluted with dichloromethane and washed with water. The

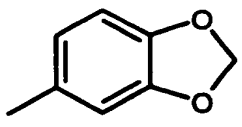
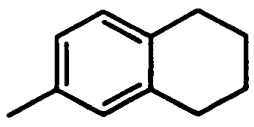
organic layer was isolated, dried over magnesium sulphate and evaporated to give the crude compound. The crude material was purified by silica gel chromatography to give the title product, m.p. 88 °C.

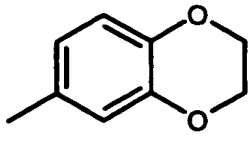
The following compounds of formula Ix (see Table C), i.e. compounds of general formula I where A^1 is 3-Cl-5-CF_3-2-pyridyl and L is –C(=O)NHCH(R^1)-, may be prepared by methods analogous to those of Example 5.



(Ix)

Table C

Cmp	R^1	A^2	m.p.(°C)
201	H	2,6-diF-phenyl	137
202	Me	2,6-diF-phenyl	97
203	H	2-Cl-phenyl	100-7
204	H	2,6-diCl-phenyl	114-6
205	Me	2-Cl-phenyl	120
206	Me	phenyl	88
207	Me	4-Cl-phenyl	129
208	Me	4-Br-phenyl	139
209	Me	3,4-diF-phenyl	127
210	Me		123
211	Me	4-CF_3O-phenyl	95
212	Me	3-CF_3O-phenyl	114
213	Me		125

Cmp	R^1	A^2	m.p.(°C)
214	Me		129
215	H	4-tolyl	113

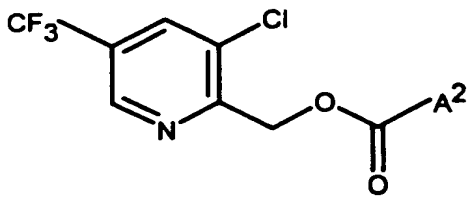
Example 6

[3-Chloro–5-(trifluoromethyl)-2-pyridyl]methyl 2-chlorobenzoate

Compound 301

To a solution of 2-chlorobenzoic acid (0.1 g) in dimethylformamide was added cesium carbonate (0.1 g) and the resulting solution was stirred for 1 hour. 3-Chloro-2-(chloromethyl)-5-trifluoromethyl pyridine (0.14 g) was added and stirring was continued for a further 48 hours. The solution was diluted with diethyl ether (10 ml) and washed with water (10 ml). The organic phase was separated, dried and evaporated to give a crude product. Silica gel chromatography (petrol/diethyl ether 7:3) gave the title compound, ^1H N.M.R ($CDCl_3$) δ(ppm) 5.6 (2H, s), 7.3 (1H, m), 7.4 (2H, m) 7.87 (1H, s), 7.88 (1H, d) and 8.8 (1H, s).

The following compounds of formula Iw (see Table D), i.e. compounds of general formula I where A^1 is 3-Cl-5-CF_3-2-pyridyl and L is $-CH_2O(C=O)-$, may be prepared by methods analogous to those of Example 6.



(Iw)

Table D

Cmp	A^2	m.p./°C
301	2-Cl-phenyl	oil

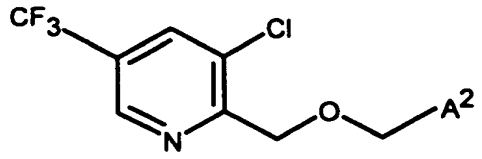
Cmp	A^2	m.p./°C
302	2,6-diCl-phenyl	93-5

Example 7

[3-Chloro-5-(trifluoromethyl)-2-pyridyl]methyl(2,4-dichlorobenzyl) ether (Compound 401)

To a solution of 2,4-dichlorobenzyl alcohol (0.27 g) in tetrahydrofuran under nitrogen was added sodium hydride (1.1 equivalents) portionwise. The resulting solution was stirred at room temperature for 1 hour before the addition of 3-chloro-2-(chloromethyl)-5-trifluoromethyl pyridine (0.35 g) in tetrahydrofuran dropwise. The solution was then stirred at room temperature for 16 hours. The solution was treated with a tetrahydrofuran/methanol solution and the solvent then evaporated. The residue was partitioned between water and ethyl acetate, the organic phase was isolated, washed with brine, dried and evaporated to yield the crude product. Silica gel chromatography (petrol/ethyl acetate 95:5) furnished the title compound, ^{1}H N.M.R ($CDCl_3$) δ(ppm) 4.8 (2H, s), 4.9 (2H, s), 7.3 (1H, m), 7.4 (1H, m), 7.5 (1H, m) 8.0 (1H, s) and 8.8 (1H, m).

The following compounds of formula Iv (see Table E), i.e. compounds of general formula I where A^1 is 3-Cl-5-CF_3-2-pyridyl and L is $-CH_2OCH_2-$, may be prepared by methods analogous to those of Example 7.



(Iv)

Table E

Cmp	A^2	m.p./°C
401	2,4-diCl-phenyl	oil
402	2,6-diCl-phenyl	oil

The ^{1}H N.M.R. data of those compounds in Table E which were not solid at room temperature are presented below.

Compound 402

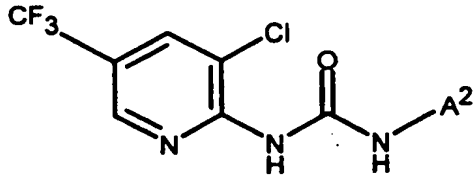
^{1}H N.M.R ($CDCl_3$) δ (ppm) 4.9 (2H, s), 5.0 (2H, s), 7.2 (1H, m), 7.3 (2H, m), 8.0 (1H, s), 8.8 (1H, s).

Example 8

N-[2-Chloro-5-(trifluoromethyl)-2-pyridyl]-*N'*-(2,6-dichlorophenyl)urea (Compound 501)

A solution of triphosgene (1.1 g) in dichloromethane (20 ml) was added over 30 minutes at room temperature to a stirred solution of 2-amino-3-chloro-5-(trifluoromethyl)pyridine (1.96 g) and triethylamine (2 ml) in dichloromethane (35 ml). After 15 minutes a solution of 2,6-dichloroaniline (1.62 g) and triethylamine (2 ml) in dichloromethane (20 ml) was added rapidly and the resulting mixture stirred for 30 minutes before solvent evaporation. The residue was suspended in ethyl acetate and the solid filtered off. The filtrate was washed with potassium hydrogen sulfate solution, sodium bicarbonate solution and then brine. Drying ($MgSO_4$) and solvent evaporation yielded the crude product, which was purified by silica gel chromatography to give the title compound, m.p. 155-8 °C.

The following compounds of formula Iu (see Table F), i.e. compounds of general formula I where A^1 is 3-Cl-5-CF_3-2-pyridyl and L is -NHC(=O)NH-, may be prepared by methods analogous to those of Example 8.



(Iu)

Table F

Cmp	A^2	m.p./°C
501	2,6-diCl-phenyl	155-8
502	phenyl	173-5
503	2-NO_2-phenyl	178-80

Example 9

3-[3-Chloro-5-(trifluoromethyl)-2-pyridyl]-1-(2-nitrophenyl)-2-propen-1-one

(Compound 601)

Sodium hydroxide (0.55 g) was dissolved in water (5 ml) and the resulting solution was diluted with ethanol (3 ml). 2-Nitroacetophenone (1.8 g) was added at 20°C, and the solution was stirred for 5 minutes. 3-Chloro-5-(trifluoromethyl)pyridine-2-carboxaldehyde (2.25 g) was added and stirring was continued for 16 hours. The solution was acidified with acetic acid, the organic layer separated, dried over magnesium sulfate, filtered and evaporated to give a brown oil. Silica gel column chromatography, followed by recrystallisation (petrol) afforded the title compound, 88-9 °C.

Example 10

3-Chloro-5-(trifluoromethyl)-2-pyridinecarbaldehyde 2-(2-nitrophenyl)hydrazone

(Compound 701)

A mixture of 3-chloro-5-(trifluoromethyl)pyridine-2-carboxaldehyde (1.05 g) and 2-nitrophenylhydrazine (0.76 g) in ethanol (75 ml) was heated at reflux for 2.5 hours and then allowed to cool to room temperarure overnight. The resulting orange solid was isolated by filtration and recrystallised (petrol) to afford the title compound as a mixture of isomers, m.p. 127-35 °C.

Example 11

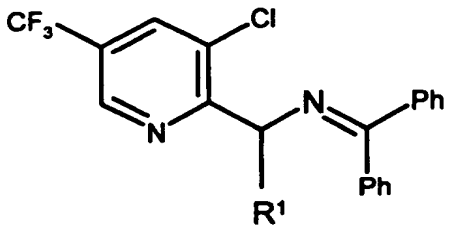
[3-Chloro-5-(trifluoromethyl)-2-pyridyl][(diphenylmethylene)amino]methyl cyanide

(Compound 803)

To a suspension of 60% sodium hydride (4.0 g) in dimethylformamide under a nitrogen atmosphere at 0°C was added a solution of [(diphenylmethylene)amino]methyl cyanide (11.1g) in dimethylformamide dropwise, whilst maintaining the temperature between 0°C and 2°C. The solution was stirred at 0°C for 1 hour. 2,3-Dichloro-5-trifluoromethylpyridine (7 ml) in dimethylformamide was added dropwise and the mixture stirred for 30 minutes at 0°C before warming to ambient temperature over 3 hours. The mixture was cooled to 10°C, ethanol (3 ml) added and the solution stirred for 15 minutes. The reaction mixture was then poured as a thin stream into a vigorously stirred mixture of diethyl ether (500ml) and ammonium chloride solution (500 ml). The organic layer was separated and washed with ammonium chloride solution (2x150 ml), dried, filtered and evaporated to give a residue.

Silica gel chromatography (diethyl ether:petrol 5:95) gave the title product as a pale brown solid, m.p. 108-10 °C.

The following compounds of formula It (see Table G), i.e. compounds of general formula I where A^1 is 3-Cl-5-CF_3-2-pyridyl, L is -CH(R^1)N=C(Ph)-, and A^2 is phenyl may be prepared by methods analogous to those of Example 11.



(It)

Table G

Cmp	R^1	m.p./°C
801	CH_2CN	82-4
802	CO_2Et	oil
803	CN	108-10

The mass spectral data of the compound in Table G which was not solid at room temperature is presented below.

Compound 802

m/z (EI) 373 (M^+-CO_2Et)

Example 12

1-Biphenylyl-1-ethanone *O*-1-[3-chloro-5-(trifluoromethyl)-2-pyridyl] oxime (Compound 936)

To 4-acetylbiphenyl oxime (2.5 g) in dimethylformamide (13 ml) under a nitrogen atmosphere was added sodium hydride (0.5 g) portionwise with cooling. The resulting mixture was stirred at 40°C for 20 minutes until the formation of a suspension occurred. 2,3-Dichloro-5-(trifluoromethyl)pyridine (2.5 g) in dimethylformamide (7 ml) was then added and the resulting mixture stirred for 18 hours at room temperature. The mixture was treated

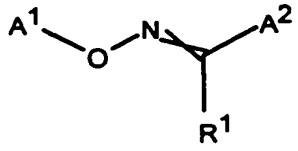
with isopropanol (2 ml) and stirred for 5 minutes before pouring into an ice water/brine solution (300 ml). The resulting precipitate was extracted with diethyl ether (2x125 ml), the organics washed with water, dried, filtered and evaporated to give a solid which on trituration (diethyl ether) and recrystallisation (toluene) yielded the title compound, m.p. 122 °C.

Preparation of Starting Material

4-Acetylbiphenyl Oxime

To a suspension of 4-acetylbiphenyl (25.4 g) in ethanol (230 ml) and water (4 ml) under a nitrogen atmosphere was added hydroxylamine hydrochloride (14.5 g) in water (25 ml) followed by 50% aqueous potassium hydroxide solution (40 g). The resulting mixture was heated at reflux for 18 hours and then cooled to room temperature. The mixture was added to ice/water (500 ml) and acidified to pH 2 to give a precipitate. The solid was filtered off, washed with water until the washings were at pH 6 and then recrystallised from ethanol to give the title compound.

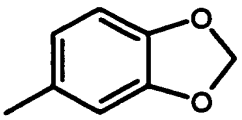
The following compounds of formula Is (see Table H), i.e. compounds of general formula I where L is –O-N=C(R^1)-, may be prepared by methods analogous to those of Example 12. The crossed bond in Is indicates that the compounds may exist as cis or trans isomers about the double bond. Isolation of both isomers was possible for some compounds.

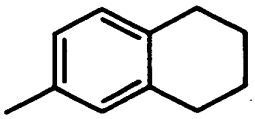


(Is)

Table H

Cmp	A^1	R^1	A^2	m.p.(°C)
901	3-Cl-5-CF_3-2-pyridyl	Me	2-Cl-phenyl	96-7
902	3-Cl-5-CF_3-2-pyridyl	H	4-pyridyl	205-6
903	3-Cl-5-CF_3-2-pyridyl	Me	3-(2-Cl-4-CF_3-phenoxy)phenyl	65-7
904	3-Cl-5-CF_3-2-pyridyl	H	2-Cl-6-F-phenyl	119-23
905	3-Cl-5-CF_3-2-pyridyl	H	2,6-diCl-phenyl	136-7
906	3-Cl-5-CF_3-2-pyridyl	Me	1-Me-2-pyrolyl	88-9

Cmp	A^1	R^1	A^2	m.p.(°C)
907	3-Cl-5-CF_3-2-pyridyl	Me	2-tolyl	oil
908	3-Cl-5-CF_3-2-pyridyl	Me	2-tolyl	oil
909	3-Cl-5-CF_3-2-pyridyl	Me	3-CF_3-phenyl	oil
910	3-Cl-5-CF_3-2-pyridyl	Me	2-CF_3-phenyl	oil
911	3-Cl-5-CF_3-2-pyridyl	Me		oil
912	3-Cl-5-CF_3-2-pyridyl	tBu	2-pyridyl	oil
913	3-Cl-5-CF_3-2-pyridyl	Me	2-thienyl	oil
914	3-Cl-5-CF_3-2-pyridyl	H	4-MeO-phenyl	oil
915	3-Cl-5-CF_3-2-pyridyl	Me	2,4-xylyl	oil
916	3-Cl-5-CF_3-2-pyridyl	H	6-Me-2-pyridyl	oil
917	3-Cl-5-CF_3-2-pyridyl	Me	2-naphthyl	oil
918	3-Cl-5-CF_3-2-pyridyl	Me	1-naphthyl	oil
919	3-Cl-5-CF_3-2-pyridyl	H	4-EtO-phenyl	oil
920	3-Cl-5-CF_3-2-pyridyl	H	2-tolyl	oil
921	3-Cl-5-CF_3-2-pyridyl	H	2-MeO-phenyl	oil
922	3-Cl-5-CF_3-2-pyridyl	Et	phenyl	oil
923	3-Cl-5-CF_3-2-pyridyl	H	3-NO_2-phenyl	116-8
924	3-Cl-5-CF_3-2-pyridyl	CF_3	2-tolyl	oil
925	3-Cl-5-CF_3-2-pyridyl	$(EtO)_2P(=O)$-	cyclohexyl	oil
926	3-Cl-5-CF_3-2-pyridyl	-CN	phenyl	76
927	3-Cl-5-CF_3-2-pyridyl	Me	phenyl	oil
928	3-Cl-5-CF_3-2-pyridyl	H	2-NO_2-phenyl	oil
929	3-Cl-5-CF_3-2-pyridyl	H	2-Cl-phenyl	87
930	3-Cl-5-CF_3-2-pyridyl	H	3-tolyl	oil
931	3-Cl-5-CF_3-2-pyridyl	H	3-pyridyl	oil
932	3-Cl-5-CF_3-2-pyridyl	H	3-pyridyl	137-8
933	3-Cl-5-CF_3-2-pyridyl	H	1-naphthyl	85-90
934	3,5-diCl-2-pyridyl	Me	2-Cl-phenyl	127

Cmp	A^1	R^1	A^2	m.p.(°C)
935	3,5-diCl-2-pyridyl	Me	2-Cl-phenyl	70-1
936	3-Cl-5-CF_3-2-pyridyl	Me	biphenylyl	122
937	3-Cl-5-CF_3-2-pyridyl	-CN	2,6-diCl-phenyl	128-9
938	3-Cl-5-CF_3-2-pyridyl	-CN	2,6-diCl-phenyl	71-2
939	3-Cl-5-CF_3-2-pyridyl	-CN	2-CN-phenyl	139-43
940	3-Cl-5-CF_3-2-pyridyl	-CN	2-Cl-phenyl	83-4
941	3-Cl-5-CF_3-2-pyridyl	-CN	2-Cl-phenyl	88
942	3-Cl-5-CF_3-2-pyridyl	Me	2-$MeSO_2$-phenyl	oil
943	3-Cl-5-CF_3-2-pyridyl	Ph	2-naphthyl	oil
944	3-Cl-5-CF_3-2-pyridyl	Me	6-MeO-2-naphthyl	oil
945	3-Cl-5-CF_3-2-pyridyl	Me	4-F-1-naphthyl	oil
946	3-Cl-5-CF_3-2-pyridyl	Me	4-cyclohexyl-phenyl	oil
947	3-Cl-5-CF_3-2-pyridyl	Me		oil
948	3-Cl-5-CF_3-2-pyridyl	Pr	4-Cl-phenyl	oil
949	3-Cl-5-CF_3-2-pyridyl	Me	cyclohexyl	oil
950	3-Cl-5-CF_3-2-pyridyl	Me	4-PhO-phenyl	oil
951	3-Cl-5-CF_3-2-pyridyl	Me	2,5-diMe-3-furyl	oil
952	3-Cl-5-CF_3-2-pyridyl	Me	3,5-diMe-isothiazol-4-yl	oil
953	3-Cl-5-CF_3-2-pyridyl	Et	2,4-diCl-phenyl	oil
954	3-Cl-5-CF_3-2-pyridyl	Me	2,3-diCl-phenyl	oil
955	3-Cl-5-CF_3-2-pyridyl	-CN	2-pyridyl	oil
956	3-Cl-5-CF_3-2-pyridyl	CF_3	2-thienyl	oil
957	3-Cl-5-CF_3-2-pyridyl	Me	4-pyridyl	oil
958	3-Cl-5-CF_3-2-pyridyl	4-Cl-phenyl	4-Cl-phenyl	oil

The ^1H N.M.R or mass spectral data of those compounds in Table H which were not solid at room temperature are presented below.

Compound 907

^{1}H N.M.R ($CDCl_3$) δ (ppm) 2.4 (6H, s), 7.2-7.4 (4H, m), 7.95 (1H, s), 8.45 (1H, s).

Compound 908

^{1}H N.M.R ($CDCl_3$) δ (ppm) 2.3 (3H), 2.4 (3H0, 7.1 (1H), 7.3 (3H, m), 7.8 (1H), 8.45(1H).

Compound 909

m/z (EI) 382 (M^+).

Compound 910

^{1}H N.M.R ($CDCl_3$) δ (ppm) 2.5 (3H), 7.45 (1H, d), 7.5-7.7 (2H, m), 7.75 (1H, d), 8.0 (1H, d), 8.5 (1H, d).

Compound 911

^{1}H N.M.R ($CDCl_3$) δ (ppm) 0.8 (t), 1.15 (d), 1.4 (quintet), 2.0 (s), 2.3 (s), 3.65 (dd), 7.7 (m), 7.95 (m).

Compound 912

m/z (EI) 357 (M^+).

Compound 913

m/z (EI) 320 (M^+).

Compound 914

m/z (EI) 330 (M^+).

Compound 915

m/z (EI) 342 (M^+).

Compound 916

m/z (EI) 315 (M^+).

Compound 917

m/z (EI) 364 (M^+).

Compound 918

m/z (EI) 364 (M^+).

Compound 919

m/z (EI) 344 (M^+).

Compound 920

^1H N.M.R ($CDCl_3$) δ (ppm) 2.35 (s), 2.5 (s), 7.4 (d), 7.8 (m), 7.9 (d).

Compound 921

^1H N.M.R ($CDCl_3$) δ (ppm) 3.9 (3H, m), 6.9-7.05 (2H, m), 7.5-7.75 (2H, m), 7.95 (1H, d), 8.0 (1H, d), 8.5 (1H), 9.1 (1H).

Compound 922

m/z (EI) 328 (M^+).

Compound 924

m/z (EI) 382 (M^+).

Compound 925

^1H N.M.R ($CDCl_3$) δ (ppm) 1.3 (m), 2.7 (m), 4.2 (m), 7.75 (d), 7.95 (d).

Compound 927

m/z (EI) 314 (M^+).

Compound 928

m/z (EI) 345 (M^+).

Compound 930

^1H N.M.R ($CDCl_3$) δ (ppm) 2.35 (d), 7.25 (m), 7.5 (d), 7.9 (d), 8.5 (d), 8.65 (s).

Compound 931

m/z (EI) 301 (M^+).

Compound 942

^1H N.M.R ($CDCl_3$) δ (ppm) 2.6 (3H, s), 3.05 (3H, s), 8.0 (5H, m), 8.5 (1H, s).

Compound 943

^1H N.M.R ($CDCl_3$) δ (ppm) 7.4-7.6 (7H, m), 7.8-8.0 (6H, m), 8.5 (1H, d).

Compound 944

m/z (EI) 393 (M^+).

Compound 945

^1H N.M.R ($CDCl_3$) δ (ppm) 2.7 (3H, s), 7.15 (1H, dd), 7.5-7.65 (3H, m), 7.95 (1H, d), 8.1-8.25 (2H, m), 8.5 (1H, d).

Compound 946

m/z (EI) 396 (M^+).

Compound 947

m/z (EI) 368 (M^+).

Compound 948

m/z (EI) 376 (M^+).

Compound 949

^1H N.M.R ($CDCl_3$) δ (ppm) 0.1-1.5 (5H, m), 1.7-1.9 (5H, m), 2.6 (1H, t), 8.0 (1H, m), 8.55 (1H, m).

Compound 950

m/z (EI) 406 (M^+).

Compound 951

m/z (EI) 332 (M^+).

Compound 952

m/z (EI) 349 (M^+).

Compound 953

^1H N.M.R ($CDCl_3$) δ (ppm) 1.4 (3H, t), 3.0 (2H, q), 7.2 (3H, t) isomer, 7.3 (1H, d), 7.55 (1H, dd), 8.0 (1H, d, m), 8.55 (1H, d, m).

Compound 954

^1H N.M.R ($CDCl_3$) δ (ppm) 2.5 (3H, m), 7.2-7.4 (2H, m), 7.5 (1H, d), 7.9 (1H), 8.4 (1H).

Compound 955

^1H N.M.R ($CDCl_3$) δ (ppm) 2.6 (s), 4.8 (s), 7.25 (t), 7.5 (dd), 7.9 (m), 8.05 (d), 8.15 (d), 8.5 (s), 8.8 (d).

Compound 956

m/z (EI) 374 (M^+).

Compound 957

m/z (EI) 314 (M^+).

Compound 958

^1H N.M.R ($CDCl_3$) δ (ppm) 7.35-7.6 (8H, m), 7.9 (1H), 8.5 (1H).

Example 13

N-(3-Chloro-5-trifluoromethyl-2-pyridyloxy)-1-naphthalenecarboxamide

(Compound 1012)

A mixture of 1-naphthoic acid (0.46 g) and carbonyldiimidazole (0.44 g) in tetrahydrofuran (40 ml) was stirred for 16 hours under a nitrogen atmosphere. The product from stage b) (0.57 g) was then added, and the mixture stirred for 5 days. The solution was poured into saturated brine solution and the organic portion extracted with ethyl acetate (x3), dried

($MgSO_4$), filtered and evaporated. The residue was purified by silica gel chromatography (ethyl acetate/petrol) and triturated (diisopropyl ether) to give the title product, m.p.198-9 °C.

Preparation of Starting Materials

a) 2-{[3-Chloro-5-(trifluoromethyl)-2-pyridyl]oxy}-1,3-isoindolinedione

2,3-Dichloro-5-trifluoromethylpyridine (50.0 g) was added over 5 minutes to a stirred solution of *N*-hydroxyphthalimide (37.5 g) and triethylamine (25.8 g) in acetone (750 ml). The mixture was refluxed for 8 hours and allowed to stand at room temperature for 16 hours. The solution was filtered and the filtrate evaporated to yield a solid which was partitioned between ethyl acetate and sodium bicarbonate solution. The organic fraction was isolated and the aqueous material re-extracted using further portions of ethyl acetate. The combined organic extracts were washed with water, dried, filtered and evaporated to give the crude product. The residue was triturated with diisopropyl ether to furnish the title compound as a white solid.

b) *O*-[3-Chloro-5-(trifluoromethyl)-2-pyridyl]hydroxylamine

Hydrazine monohydrate (1.7 g) was added to a solution of the product from stage a) (11.3 g) in tetrahydrofuran (200 ml) and the mixture stirred for 16 hours. The mixture was then filtered and the residual solid washed with a small volume of tetrahydrofuran and ethyl acetate, then four times with a 0.02M solution of sodium hydroxide saturated with sodium chloride. The combined aqueous layers were extracted with dichloromethane (x2) and the combined organic extracts dried, filtered and evaporated to give the title compound.

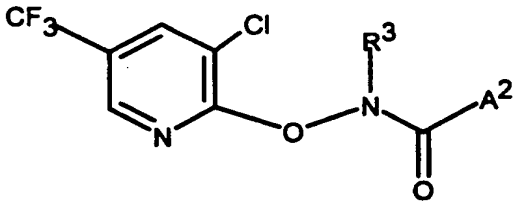
Example 14

N-(3-Chloro-5-trifluoromethyl-2-pyridyloxy)-*N*-methyl-1-naphthalenecarboxamide (Compound 1017)

Iodomethane (0.82 g) was added to a stirred solution of the product from Example 13 (Compound1012) (1.93 g) and potassium *tert*-butoxide (0.61 g) in tetrahydrofuran (50 ml). The reaction mixture was stirred for 48 hours. The solvent was evaporated and the residue partitioned between ethyl acetate and saturated aqueous ammonium chloride. The aqueous layer was separated and extracted with 3 portions of ethyl acetate. The combined organic

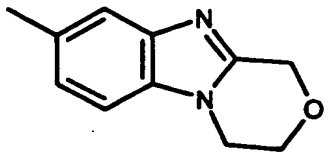
phases were dried, filtered and evaporated to give a residue which was purified by silica gel chromatography (ethyl acetate/petrol) to give the title compound, *m/z* (EI) 380 (M^+).

The following compounds of formula Ir (see Table J), i.e. compounds of general formula I where A^1 is 3-Cl-5-CF_3-2-pyridyl and L is –O-N(R^3)C(=O)-, may be prepared by methods analogous to those of Examples 13 and 14.



(Ir)

Table J

Cmp	R^3	A^2	m.p.(°C)
1001	H	5-Me-2-pyrazinyl	202-6
1002	H	4-tolyl	190-3
1003	H	2-Cl-4-CF_3-pyrimidin-5-yl	204-5
1004	H	4-Cl-phenyl	191-3
1005	H	2-NO_2-5-(2-Cl-4-CF_3-phenoxy)-phenyl	168-70
1006	H	3,5-diMe-4-isoxazolyl	108-11
1007	H	2,4-diMe-5-thiazolyl	152-5
1008	H	4,6-diMeO-2-(α,α-diMe-4-Cl-benzyl)-pyrimidin-5-yl	124-5
1009	H	5-(3,5-diCl-phenoxy)-2-furyl	120-2
1010	H	6-MeO-3-pyridyl	157-9
1011	H	2-naphthyl	180
1012	H	1-naphthyl	198-9
1013	H	2-Cl-phenyl	170
1014	H	3-quinolinyl	238-9
1015	H		oil

Cmp	R^3	A^2	m.p.(°C)
1016	H	4-morpholinyl-3-NO_2-phenyl	217-8
1017	Me	1-naphthyl	oil
1018	H	1-naphthyl	218-20
1019	H	2,6-diCl-phenyl	246-7

The mass spectral data of the compounds in Table J which were not solid at room temperature are presented below.

Compound 1015

m/z (EI) 412 (M^+).

Compound 1017

m/z (EI) 380 (M^+).

Example 15

2-Methyl-1,2,3,4-tetrahydro-1-naphthalenone *O*-1-[3-chloror-5-(trifluoromethyl)-2-pyridyl]oxime

(Compound 1101)

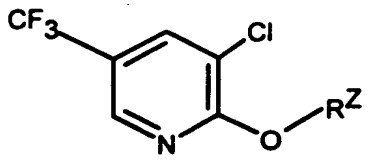
The starting material (0.58 g) was dissolved in tetrahydrofuran (5 ml) and to this was added potassium *tert*-butoxide (0.42 g) dissolved in tetrahydrofuran (5 ml). The mixture was stirred overnight and a solution of 2,3-dichloro-5-trifluoromethyl pyridine (0.72 g) in tetrahydrofuran (2 ml) was added. The mixture was stirred for 48 hours at room temperature, then the solvent was evaporated. The residue was partitioned between ethyl acetate and water. The organic layer was isolated, dried, filtered and evaporated to yield the title product as a light yellow gum, *m/z* (EI) 354 (M^+).

a) 2-Methyl-1,2,3,4-tetrahydro-1-naphthalenone oxime

To a solution of 2-methyl-1-tetralone (3.20 g) in methanol (5 ml) was added hydroxylamine hydrochloride (1.81 g) in methanol (15 ml) and triethylamine (2.63 g). The mixture was stirred at 65°C for 5 hours, allowed to cool and stand at room temperature for 16 hours. The solvent was evaporated and water added to the residue. The product was extracted with ethyl acetate (3 portions) and the combined extracts were dried, filtered and evaporated t give an orange oil. On standing this

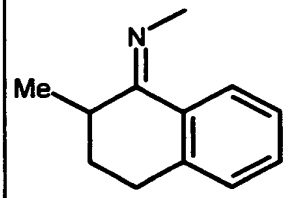
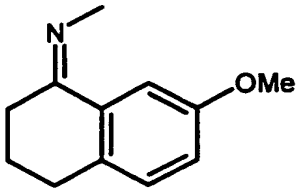
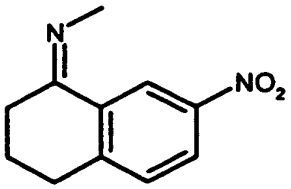
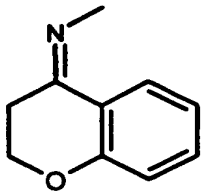
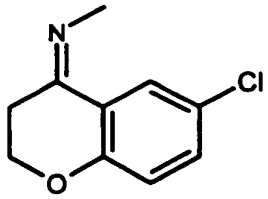
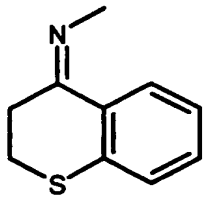
separated into two layers. The top layer was removed and the bottom layer slowly solidified to give the title product as an orange solid.

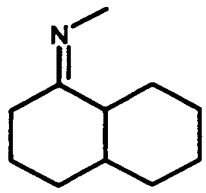
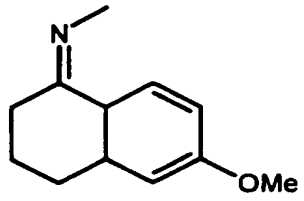
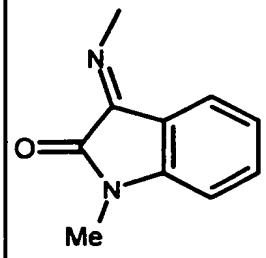
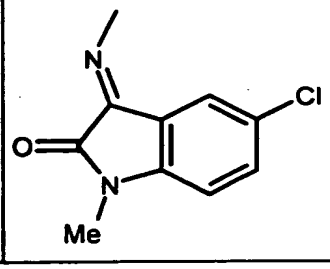
The following compounds of formula Iq (see Table K), i.e. compounds of general formula I where A^1 is 3-Cl-5-CF_3-2-pyridyl and L is –O-N=C(R^1)-, wherein R^1 and A^2, together with the interconnecting atoms forms a 5- or 6- membered ring, may be prepared by methods analogous to those of Example 15.



(Iq)

Table K

Cmp	R^Z	m.p.(°C)
1101		oil
1102		oil
1103		oil
1104		oil
1105		oil
1106		oil

Cmp	R^Z	m.p.(°C)
1107		oil
1108		oil
1109		oil
1110		oil

Those compounds in Table K which do not have discrete melting points have the following characteristic mass spectral data.

Compound 1101

m/z (EI) 354 (M^+).

Compound 1102

m/z (EI) 370 (M^+).

Compound 1103

m/z (EI) 385 (M^+).

Compound 1104

m/z (EI) 342 (M^+).

Compound 1105

m/z (EI) 376 (M^+).

Compound 1106

m/z (EI) 358 (M^+).

Compound 1107

m/z (EI) 346 (M^+).

Compound 1108

m/z (EI) 370 (M^+).

Compound 1109

m/z (EI) 355 (M^+).

Compound 1110

m/z (EI) 389 (M^+).

Example 16

2-{[2-(3-Bromo-4-methoxyphenyl)-1*H*-1-imidazolyl]methyl}-3-chloro-5-(trifluoromethyl)pyridine

(Compound 1201)

To a solution of 2-(3-bromo-4-methoxyphenyl)-1*H*-imidazole (0.5 g) in tetrahydrofuran was added sodium hydride (0.08 g). After 30 minutes 3-chloro-2-(chloromethyl)-5-trifluoromethyl pyridine (0.46 g) was added and the solution heated until the reaction was complete. The reaction mixture was cooled, poured onto water and the organic phase extracted using dichloromethane, dried and evaporated to yield the crude product as an orange gum. Silica gel column chromatography yielded a gum which was further treated with diisopropyl ether and filtered. Evaporation of the filtrate afforded the title compound, *m/z* (APCI) 445 (M^-).

2-(3-Bromo-4-methoxyphenyl)imidazole was synthesised from 3-bromo-4-methoxybenzonitrile using a method known to the skilled chemist.

Test Example

Compounds were assessed for activity against one or more of the following:

Phytophthora infestans: late tomato blight

Plasmopara viticola: vine downy mildew

Erysiphe graminis f. sp. tritici: wheat powdery mildew

Pyricularia oryzae: rice blast

Leptosphaeria nodorum: glume blotch

Aqueous solutions or dispersions of the compounds at the desired concentration, including a wetting agent, were applied by spray or by drenching the stem base of the test plants, as appropriate. After a given time, plants or plant parts were inoculated with appropriate test pathogens before or after application of the compounds as appropriate, and kept under controlled environmental conditions suitable for maintaining plant growth and development of the disease. After an appropriate time, the degree of infection of the affected part of the plant was visually estimated. Compounds are assessed on a score of 1 to 3 where 1 is little or no control, 2 is moderate control and 3 is good to total control. At a concentration of 500 ppm (w/v) or less, the following compounds scored 2 or more against the fungi specified.

Phytophthora infestans: 49, 102, 119, 126, 202, 214, 215, 601, 902, 912, 927, 953, 1101 and 1102.

Plasmopara viticola: 5-7, 9, 10, 12, 102, 109, 126, 214, 215, 601, 901, 907, 914, 915, 921, 926-30, 958, 1001 and 1013.

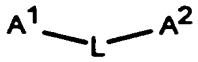
Erysiphe graminis f. sp. tritici: 501, 901, 906, 913-5, 923, 926-931, 933, 935, 936, 948-50, 952, 954, 1008, 1102, 1104, 1107 and 1108.

Pyricularia oryzae: 7, 9, 11, 17, 126, 901, 906, 907, 913, 922, 923, 926-31, 937, 938, 939 and 1001.

Leptosphaeria nodorum: 23, 51, 53, 126, 207, 208, 906, 923, 926, 929, 933, 1007 and 1109.

Claims

1. The use of a compound of general formula I or salts thereof as phytopathogenic fungicides



(I)

where

A^1 is 3-Cl-5-CF_3-2-pyridyl;

A^2 is optionally substituted heterocyclyl or optionally substituted carbocyclyl; excepted when L is -$N(R_3)N(R_4)C(=O)$- or -CH_2OCH_2-, then A_2 can not contain any heterocyclyl containing N or O;

L is a 3-atom linker selected from the list: -$CH(R^1)N(R^3)CH(R^2)$-, -$N(R^3)N(R^4)C(=X)$-, -$C(=X)N(R^3)CH(R^1)$-, -$CH(R^1)OC(=X)$-, -$CH(R^1)OCH(R^2)$-, -$N(R^3)C(=X)N(R^4)$-, -$C(R^1)=C(R^2)C(=X)$-, -$CH(R^1)N=C(R^2)$-, -O-$N=C(R^1)$-, -O-$N(R^3)C(=X)$-, -$N(R^3)N(R^4)CH(R^1)$, -$N(R^3)C(Y)=N$-, -$N=C(Y)$-$N(R^3)$-, -$C(=X)$-$N(R^3)N(R^4)$-, -$C(Y)=N$-$N(R^4)$- and -$N(R^3)CH(R^1)C(=X)$-; wherein A^1 is attached to the left hand side of linker L;

where R^1 and R^2, which may be the same or different, are R^b, cyano, nitro, halogen, -OR^b, -SR^b or optionally substituted amino;

R^3 and R^4, which may be the same or different, are R^b, cyano or nitro;

or any R^1, R^2, R^3 or R^4 group, together with the interconnecting atoms, can form a 5- or 6-membered ring with any other R^1, R^2, R^3 or R^4, or any R^1, R^2, R^3 or R^4 group, together with the interconnecting atoms can form a 5- or 6-membered ring with A^2;

X is oxygen, sulfur, N-OR^b, N-R^b or N-$N(R^b)_2$; and

Y is halogen, –OR^b, –SR^b, -$N(R^b)_2$, -$NR^b(OR^b)$ or -$NR^bN(R^b)_2$;

wherein R^b is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted; or hydrogen or acyl, or two adjacent R^b groups together with the nitrogen atom to which they are attached may form a 5- or 6-membered ring.

2. A pesticidal composition comprising at least one compound as claimed in claim 1 in admixture with an agriculturally acceptable diluent or carrier.

3. A method of combating plant pests at a locus infested or liable to be infested therewith, which comprises applying to the locus a compound as claimed in claim 1.